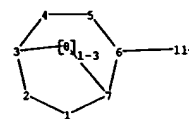
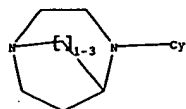


528361



chain nodes :

11

ring nodes :

1 2 3 4 5 6 7 8

chain bonds :

6-11

ring bonds :

1-2 1-7 2-3 3-4 3-8 4-5 5-6 6-7 7-8

exact/norm bonds :

1-2 1-7 2-3 3-4 3-8 4-5 5-6 6-7 6-11 7-8

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 11:Atom

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=> d his

(FILE 'HOME' ENTERED AT 20:32:13 ON 04 APR 2006)

FILE 'REGISTRY' ENTERED AT 20:32:27 ON 04 APR 2006

L1 STRUCTURE UPLOADED

L2 16 S L1

L3 1014 S L1 SSS FUL

FILE 'CAPLUS' ENTERED AT 20:35:17 ON 04 APR 2006

L4 47 S L3

L5 36 S L4 AND PATENT/DT

L6 11 S L4 NOT L5

L7 0 S L6 AND (2006 OR 2005 OR 2004 OR 2003)/SO

FILE 'REGISTRY' ENTERED AT 20:36:24 ON 04 APR 2006

L8 721 S L3 AND NRS>2

L9 293 S L3 NOT L8

FILE 'CAPLUS' ENTERED AT 20:37:15 ON 04 APR 2006

L10 37 S L8

L11 1 S US20040127491/PN

SELECT RN L11 1-

FILE 'REGISTRY' ENTERED AT 20:38:16 ON 04 APR 2006

L12 68 S E1-68

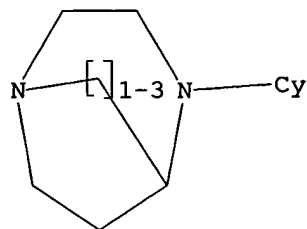
L13 43 S L8 AND L12

L14 25 S L12 NOT L13

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

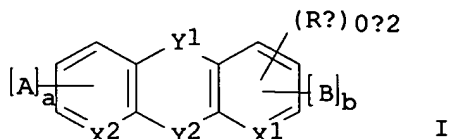
=> d ibib abs hitstr total l10

YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

10/528,361

~~10~~ ANSWER 1 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1132908 CAPLUS
DOCUMENT NUMBER: 143:405799
TITLE: Preparation of amino-substituted tricyclic derivatives
as modulators of $\alpha 7$ nicotinic receptors and
methods of use
INVENTOR(S): Schrimpf, Michael R.; Sippy, Kevin B.; Ji, Jianguo;
Li, Tao; Frost, Jennifer M.; Briggs, Clark A.;
Bunnelle, William H.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 90 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005234031	A1	20051020	US 2005-51437	20050204
PRIORITY APPLN. INFO.:			US 2004-541651P	P 20040204
OTHER SOURCE(S):	MARPAT	143:405799		
GI				



AB The title compds. I [A and B = H, halo, alkoxy, amino, etc.; X1, X2 = C, CH, N; provided that when one of X1 and X2 = N, the other = C or CH; Y1 = C(O), CH2, CH(OH), C(S), etc.; Y2 is a bond or Y2 = O, S, and N(R12); R12 = H, alkyl; Rx = H, halo, alkoxy, amino, alkylamino, dialkylamino, acylamino, dialkylaminoalkyl, and cyano; a = 0-1; b = 0-1; provided that when one of a and b = 0, the other = 1] and compns. containing I are contemplated as well as methods for treating conditions or disorders prevented by or ameliorated by $\alpha 7$ nAChR ligands that encompass compds. I and other tricyclic derivs. Compds. I had Ki values of from .apprx.1 nM to .apprx.10 μ M when tested by the [3H]-methyllycaconitine binding assay, many having a Ki of <1 μ M. (3H)-Cytisine binding values of I ranged from .apprx.50 nM to at least 100 μ M. Preferred compds. typically exhibited greater potency at $\alpha 7$ receptors compared to $\alpha 4\beta 2$ receptors. Although the methods of preparation are not claimed, 67 example preps. are included. For example, 2,7-bis[[(2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one di-p-toluenesulfonate was prepared in 4 steps (54, 89, 26 and 74 % yields) starting from 2,7-dihydroxyfluoren-9-one, (2R)-(+)-1-Boc-2-pyrrolidinemethanol and involving intermediates 2,7-bis[[(2R)-1-Boc-pyrrolidin-2-yl)methoxy]fluoren-9-one, 2,7-bis[[(2R)-pyrrolidin-2-yl)methoxy]fluoren-9-one, and 2,7-bis[[(2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one.

IT 867373-96-4P 867374-15-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

10/528,361

(Uses)

(preparation of amino-substituted tricyclic derivs. as modulators of $\alpha 7$ nicotinic receptors and methods of use)

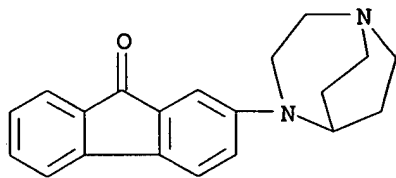
RN 867373-96-4 CAPLUS

CN 9H-Fluoren-9-one, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 867373-95-3

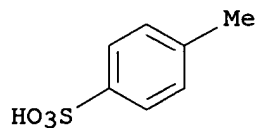
CMF C20 H20 N2 O



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



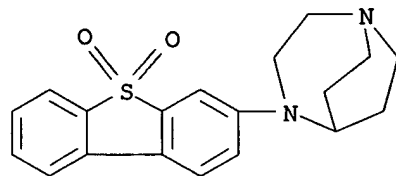
RN 867374-15-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5,5-dioxido-3-dibenzothienyl)-,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 867374-14-9

CMF C19 H20 N2 O2 S

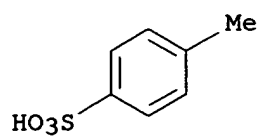


CM 2

CRN 104-15-4

CMF C7 H8 O3 S

10/528,361



110 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:823709 CAPLUS

DOCUMENT NUMBER: 143:229836

TITLE: Preparation of dimeric azacyclic compounds and their use as nicotine receptor ligands

INVENTOR(S): Peters, Dan; Olsen, Gunnar M.; Nielsen, Elsebet
Ostergaard; Jorgensen, Tino Dyhring; Timmermann,
Daniel B.

PATENT ASSIGNEE(S): Neurosearch A/S, Den.

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005075479	A1	20050818	WO 2005-EP50403	20050201
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

DK 2004-170

A 20040204

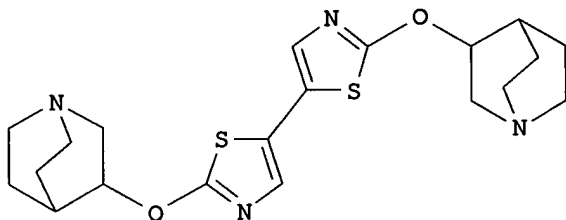
US 2004-541752P

P 20040205

OTHER SOURCE(S):

MARPAT 143:229836

GI



II

AB Title compds. AZA-X'-A'-Y'-L-Y''-A''-X''-AZA [AZA = azacyclic group, e.g., quinuclidinyl, etc.; X', X'' = absent, O, OCH₂, etc.; A', A'' = (un)substituted aromatic cyclic, etc.; Y', Y'' = absent, bond; L = absent, bond; I] are prepared For instance, II is prepared in two steps from 3-quinuclidinol and 2,5-dibromothiazole. II has an IC₅₀ = 0.20 μ M for the nicotinic α -bungarotoxin receptor. I are useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, or disorders related to neurodegeneration, diseases or disorders related to inflammation, pain,

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and withdrawal symptoms caused by the termination of abuse of chemical substances.

IT 862554-05-0P 862554-06-1P 862554-08-3P

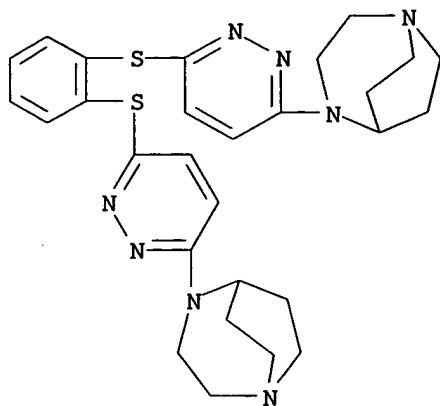
862554-09-4P 862554-10-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dimeric azacyclic compds. and their use as nicotine receptor ligands)

RN 862554-05-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4,4'-[1,2-phenylenebis(thio-6,3-pyridazinediyl)]bis- (9CI) (CA INDEX NAME)



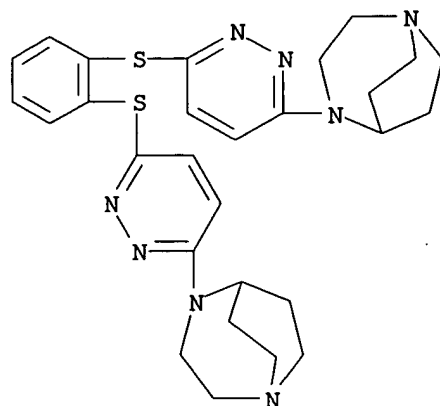
RN 862554-06-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4,4'-[1,2-phenylenebis(thio-6,3-pyridazinediyl)]bis-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862554-05-0

CMF C28 H34 N8 S2

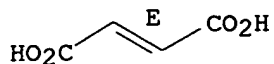


CM 2

10/528,361

CRN 110-17-8
CMF C4 H4 O4

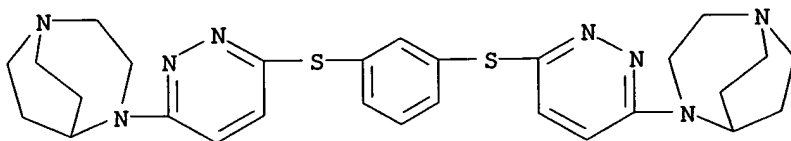
Double bond geometry as shown.



RN 862554-08-3 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4,4'-[1,3-phenylenebis(thio-6,3-pyridazinediyl)]bis-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

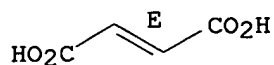
CRN 862554-07-2
CMF C28 H34 N8 S2



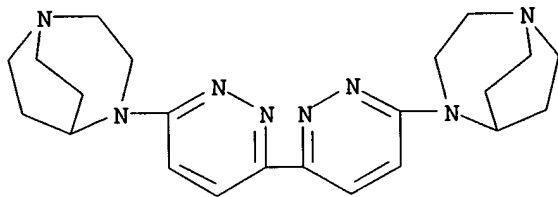
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 862554-09-4 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4,4'-[3,3'-bipyridazine]-6,6'-diylbis- (9CI) (CA INDEX NAME)

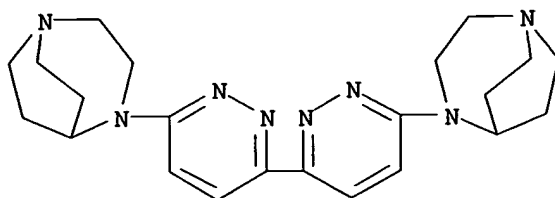


RN 862554-10-7 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4,4'-pyridazinediylbis-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

10/528,361

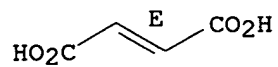
CRN 862554-09-4
CMF C22 H30 N8



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



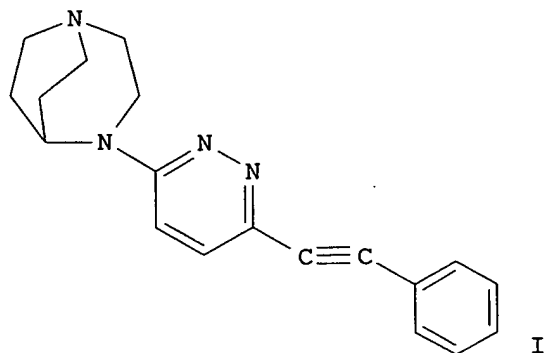
REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~NO~~ ANSWER 3 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:823579 CAPLUS
 DOCUMENT NUMBER: 143:222538
 TITLE: Diazabicyclic aryl derivatives as cholinergic receptor modulators
 INVENTOR(S): Peters, Dan; Olsen, Gunnar M.; Nielsen, Elsebet Ostergaard; Jorgensen, Tino Dyhring; Timmermann, Daniel B.
 PATENT ASSIGNEE(S): Neurosearch A/S, Den.
 SOURCE: PCT Int. Appl., 51 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005074940	A1	20050818	WO 2005-EP50404	20050201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			DK 2004-171	A 20040204
			US 2004-541753P	P 20040205
			DK 2004-812	A 20040524
			US 2004-573347P	P 20040524
OTHER SOURCE(S):			MARPAT 143:222538	
GI				



AB This invention relates to novel diazabicyclic aryl derivs. which are found to be cholinergic ligands at the nicotinic acetylcholine receptors and

modulators of the monoamine receptors and transporters. Due to their pharmacol. profile the compds. of the invention may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, diseases or disorders related to neuro-degeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chems. substances. Among a number of compds. prepared was I fumarate which is an effect inhibitor of 3H- α -bungarotoxin binding.

IT 862665-27-8P 862665-33-6P 862665-35-8P

862665-37-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(diazabicyclic aryl derivs. as cholinergic receptor modulators)

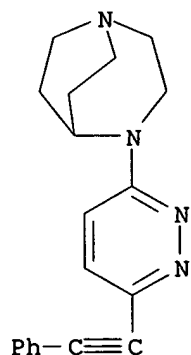
RN 862665-27-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(phenylethynyl)-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862665-26-7

CMF C19 H20 N4

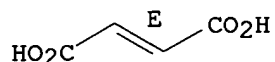


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



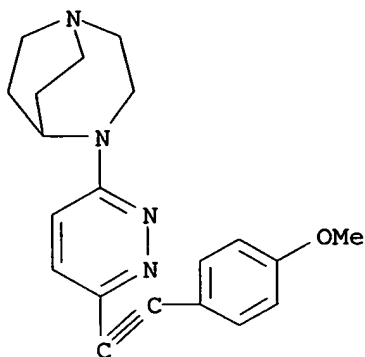
RN 862665-33-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-[(4-methoxyphenyl)ethynyl]-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

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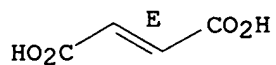
CRN 862665-32-5
CMF C20 H22 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

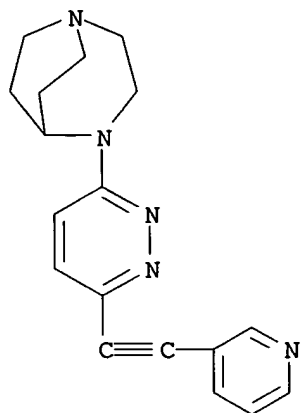
Double bond geometry as shown.



RN 862665-35-8 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-pyridinylethynyl)-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862665-34-7
CMF C18 H19 N5



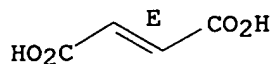
10/528,361

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



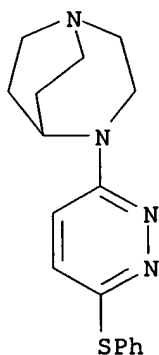
RN 862665-37-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(phenylthio)-3-pyridazinyl]-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862665-36-9

CMF C17 H20 N4 S

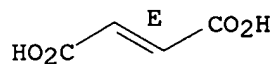


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



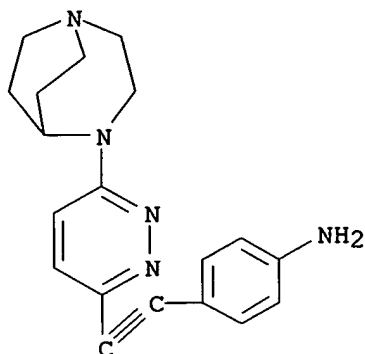
IT 862665-29-0P 862665-31-4P 862665-39-2P
862665-41-6P 862665-43-8P 862665-44-9P
862665-48-3P 862665-49-4P 862665-50-7P
862665-51-8P 862665-53-0P 862665-54-1P
862665-55-2P 862665-56-3P 862665-57-4P
862665-58-5P 862665-59-6P 862665-60-9P
862665-61-0P 862665-62-1P 862665-63-2P
862665-64-3P 862665-65-4P 862665-66-5P

862665-67-6P 862665-68-7P 862665-69-8P
 862665-70-1P 862665-71-2P 862665-72-3P
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 862665-76-7P 862665-78-9P 862665-79-0P
 862665-80-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (diazabicyclic aryl derivs. as cholinergic receptor modulators)

RN 862665-29-0 CAPLUS

CN Benzenamine, 4-[[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridazinyl]ethynyl]- (9CI) (CA INDEX NAME)

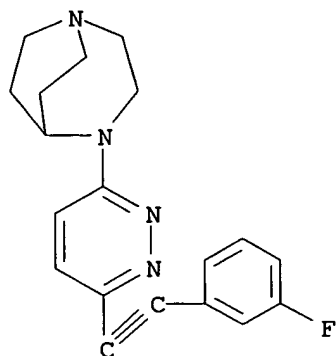


RN 862665-31-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-[(3-fluorophenyl)ethynyl]-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862665-30-3
 CMF C19 H19 F N4

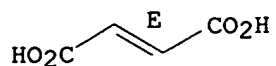


CM 2

CRN 110-17-8
 CMF C4 H4 O4

10/528,361

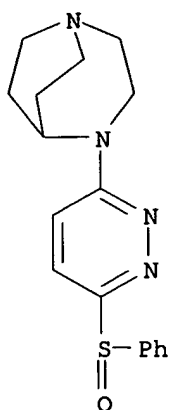
Double bond geometry as shown.



RN 862665-39-2 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(phenylsulfinyl)-3-pyridazinyl]-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

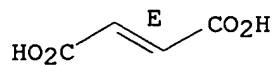
CRN 862665-38-1
CMF C17 H20 N4 O S



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

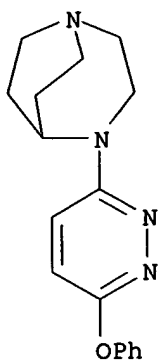


RN 862665-41-6 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenoxy-3-pyridazinyl)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862665-40-5
CMF C17 H20 N4 O

10/528,361

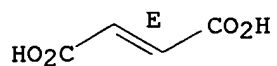


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



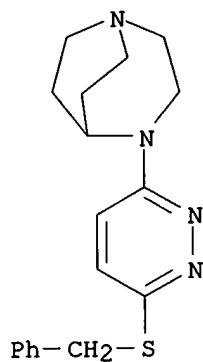
RN 862665-43-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-[(phenylmethyl)thio]-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862665-42-7

CMF C18 H22 N4 S



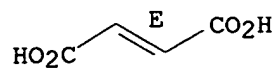
CM 2

CRN 110-17-8

CMF C4 H4 O4

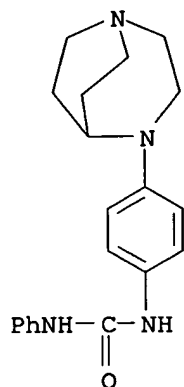
10/528,361

Double bond geometry as shown.



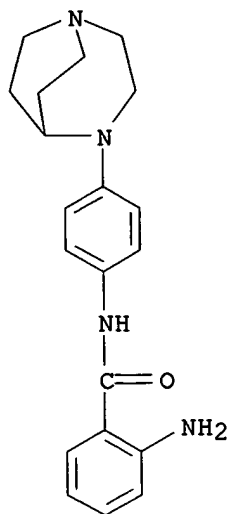
RN 862665-44-9 CAPLUS

CN Urea, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



RN 862665-48-3 CAPLUS

CN Benzamide, 2-amino-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

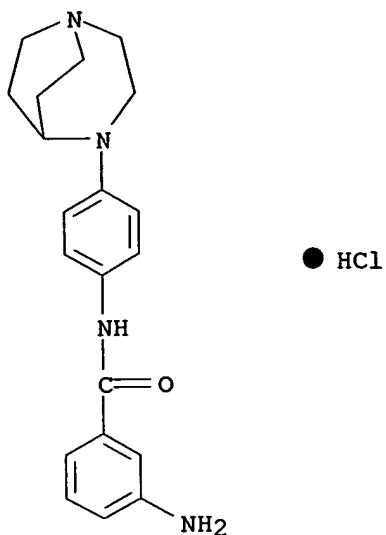


● HCl

RN 862665-49-4 CAPLUS

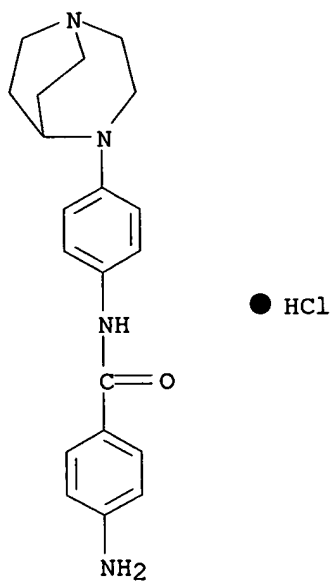
CN Benzamide, 3-amino-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/528,361



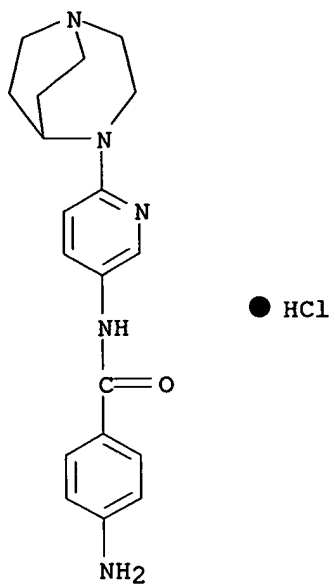
RN 862665-50-7 CAPLUS

CN Benzamide, 4-amino-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



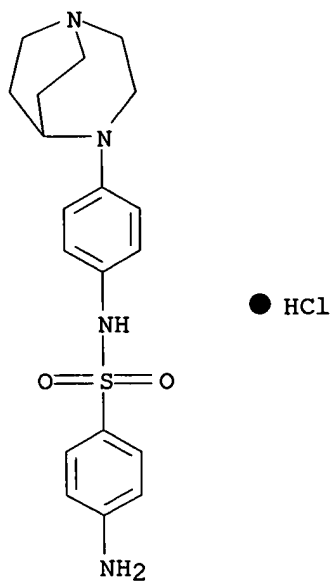
RN 862665-51-8 CAPLUS

CN Benzamide, 4-amino-N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 862665-53-0 CAPLUS

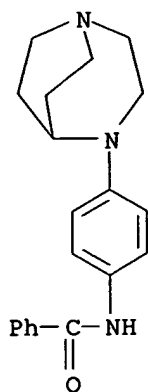
CN Benzenesulfonamide, 4-amino-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 862665-54-1 CAPLUS

CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

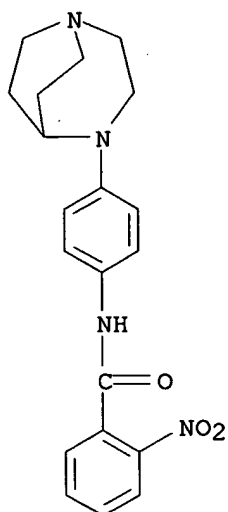
10/528,361



● HCl

RN 862665-55-2 CAPLUS

CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-2-nitro-,
monohydrochloride (9CI) (CA INDEX NAME)

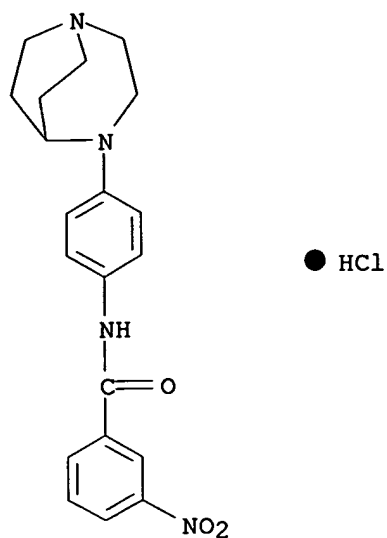


● HCl

RN 862665-56-3 CAPLUS

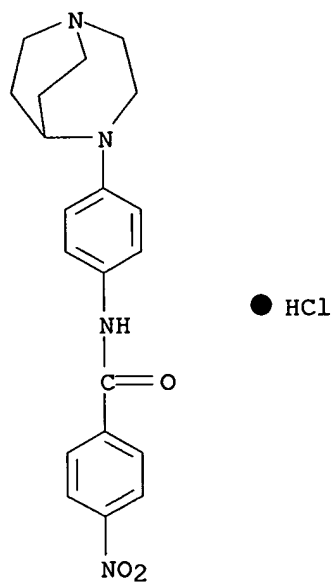
CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-3-nitro-,
monohydrochloride (9CI) (CA INDEX NAME)

10/528,361



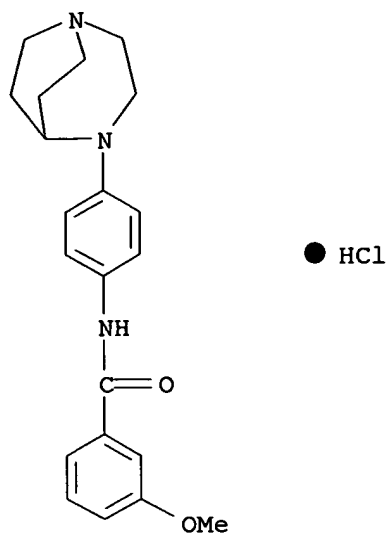
RN 862665-57-4 CAPLUS

CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-4-nitro-,
monohydrochloride (9CI) (CA INDEX NAME)



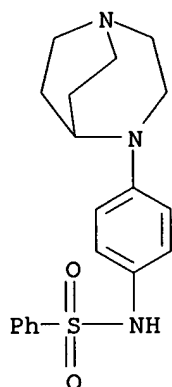
RN 862665-58-5 CAPLUS

CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-3-methoxy-,
monohydrochloride (9CI) (CA INDEX NAME)



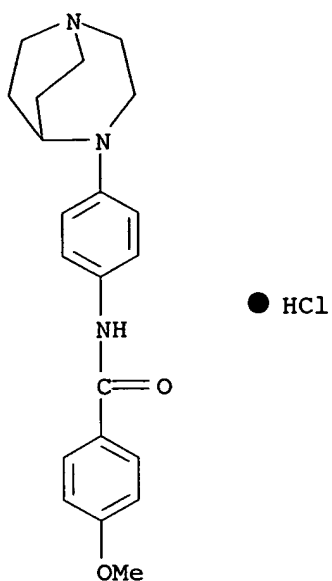
RN 862665-59-6 CAPLUS

CN Benzenesulfonamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]- (9CI)
(CA INDEX NAME)



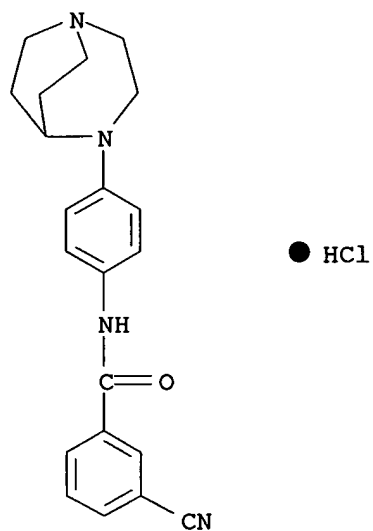
RN 862665-60-9 CAPLUS

CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-4-methoxy-,
monohydrochloride (9CI) (CA INDEX NAME)



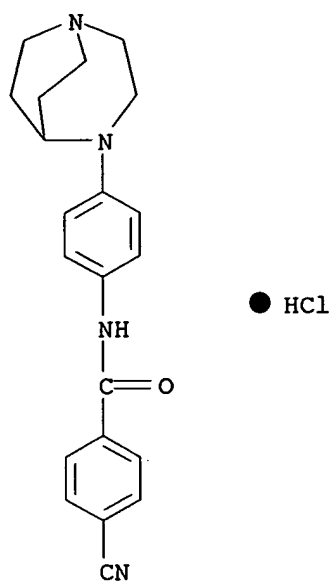
RN 862665-61-0 CAPLUS

CN Benzamide, 3-cyano-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



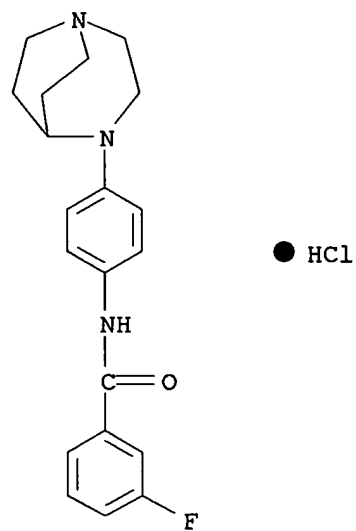
RN 862665-62-1 CAPLUS

CN Benzamide, 4-cyano-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 862665-63-2 CAPLUS

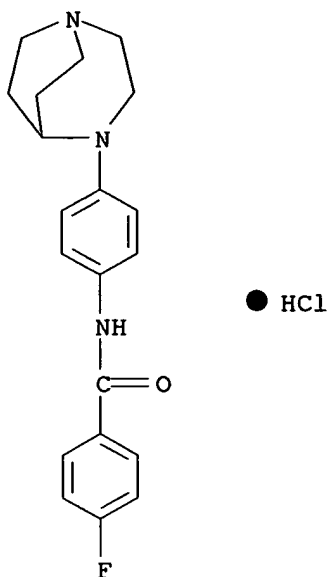
CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-3-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)



RN 862665-64-3 CAPLUS

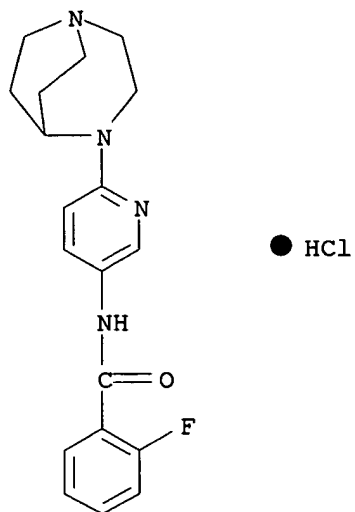
CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

10/528,361



RN 862665-65-4 CAPLUS

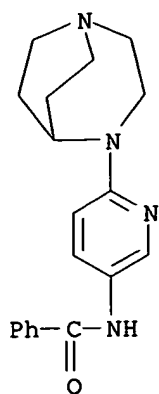
CN Benzamide, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-2-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)



RN 862665-66-5 CAPLUS

CN Benzamide, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

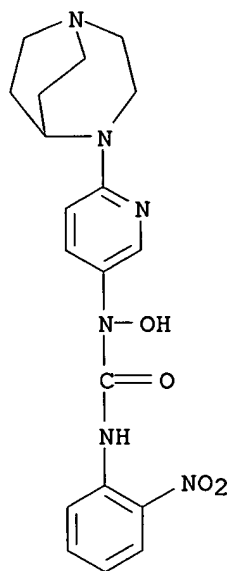
10/528,361



● HCl

RN 862665-67-6 CAPLUS

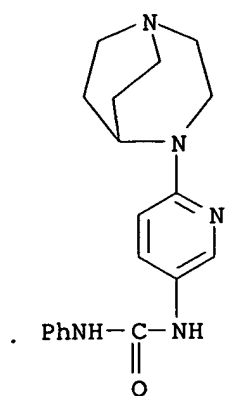
CN Urea, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-N-hydroxy-N'-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 862665-68-7 CAPLUS

CN Urea, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-N'-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

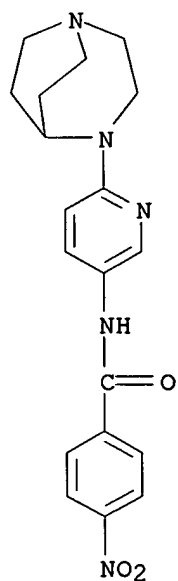
10/528,361



● HCl

RN 862665-69-8 CAPLUS

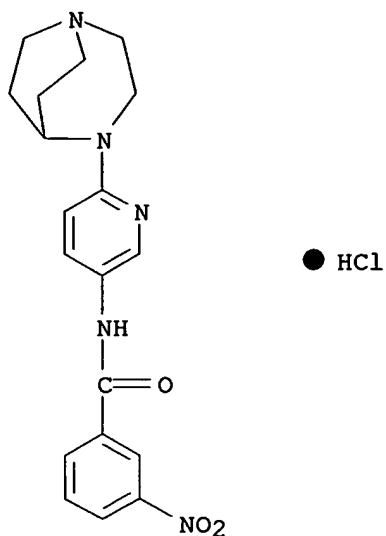
CN Benzamide, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-4-nitro-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

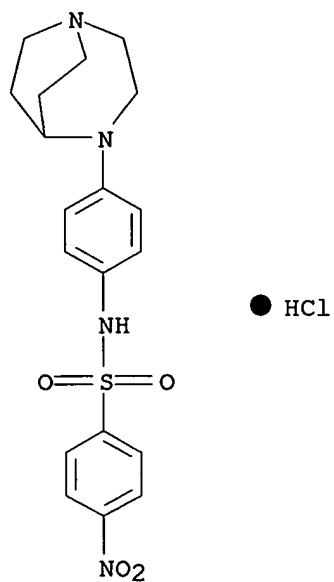
RN 862665-70-1 CAPLUS

CN Benzamide, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-3-nitro-,
monohydrochloride (9CI) (CA INDEX NAME)



RN 862665-71-2 CAPLUS

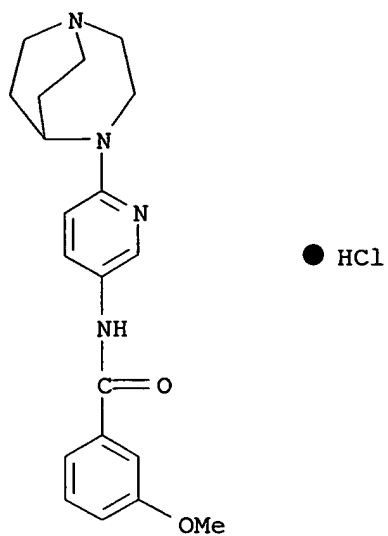
CN Benzenesulfonamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-4-nitro-, monohydrochloride (9CI) (CA INDEX NAME)



RN 862665-72-3 CAPLUS

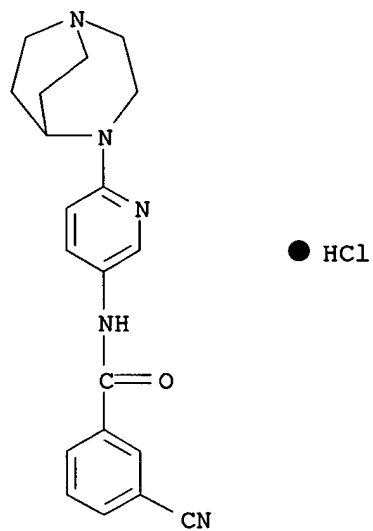
CN Benzamide, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

10/528,361



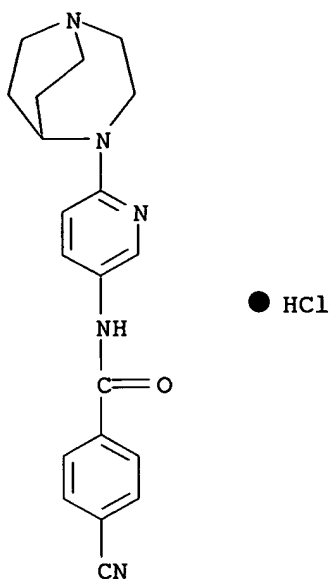
RN 862665-73-4 CAPLUS

CN Benzamide, 3-cyano-N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



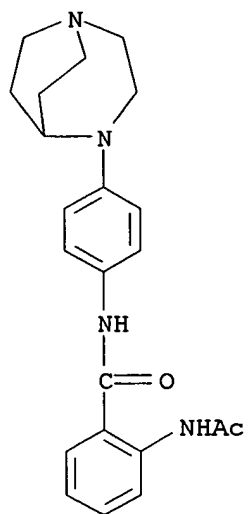
RN 862665-74-5 CAPLUS

CN Benzamide, 4-cyano-N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 862665-75-6 CAPLUS

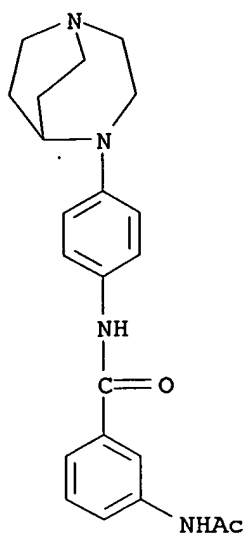
CN Benzamide, 2-(acetylamino)-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-
(9CI) (CA INDEX NAME)



RN 862665-76-7 CAPLUS

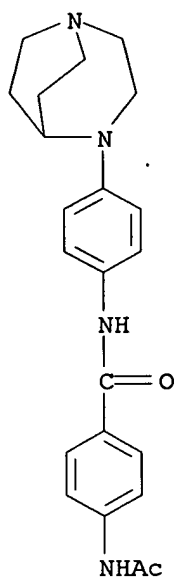
CN Benzamide, 3-(acetylamino)-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-
(9CI) (CA INDEX NAME)

10/528,361



RN 862665-78-9 CAPLUS

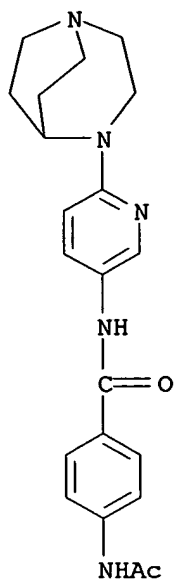
CN Benzamide, 4-(acetylamino)-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-
(9CI) (CA INDEX NAME)



RN 862665-79-0 CAPLUS

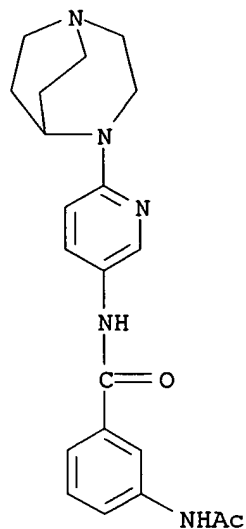
CN Benzamide, 4-(acetylamino)-N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

10/528,361



RN 862665-80-3 CAPLUS

CN Benzamide, 3-(acetylamino)-N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LEAD~~ ANSWER 4 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:612120 CAPLUS

DOCUMENT NUMBER: 143:139163

TITLE: Combination of an atypical antipsychotic and a nicotinic receptor agonist or antagonist for cognition enhancement and psychotic disorders

INVENTOR(S): Romano, Steven Joseph

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

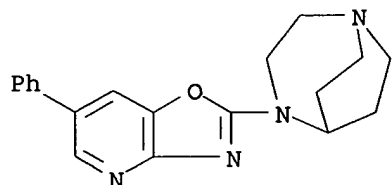
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

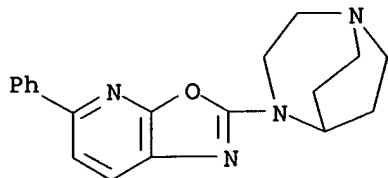
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063296	A2	20050714	WO 2004-IB4174	20041215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005215571	A1	20050929	US 2004-18100	20041220
PRIORITY APPLN. INFO.:			US 2003-532082P	P 20031223
OTHER SOURCE(S):		MARPAT 143:139163		
AB This invention relates to combinations of an atypical antipsychotic, and a nicotinic receptor agonist or antagonist, kits containing such combinations, pharmaceutical compns. comprising such combinations, and methods of using such combinations to treat patients suffering from cognitive impairment disorders or psychotic disorders or conditions. A composition was prepared by combining ziprasidone with the nicotinic agonist varenicline tartrate.				
IT 439608-24-9 858129-43-8 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination of an atypical antipsychotic and a nicotinic receptor agonist or antagonist for cognition enhancement and psychotic disorders)				
RN 439608-24-9 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyloxazolo[4,5-b]pyridin-2-yl)-(9CI) (CA INDEX NAME)				



RN 858129-43-8 CAPLUS

10/528,361

CN Oxazolo[5,4-b]pyridine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-5-phenyl-
(9CI) (CA INDEX NAME)



L10 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:430803 CAPLUS

DOCUMENT NUMBER: 141:7145

TITLE: Preparation of 1,4-diazabicyclo[3.2.2]nonane derivatives as cholinergic ligands and modulators of monoamine receptors and transporters

INVENTOR(S): Peters, Dan; Olsen, Gunnar M.; Nielsen, Elsebet Ostergaard; Jorgensen, Tino Dyhring; Ahning, Philip K.

PATENT ASSIGNEE(S): Neurosearch A/S, Den.

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

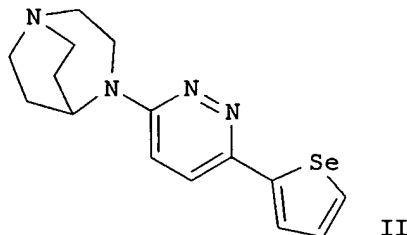
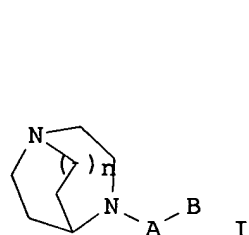
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043960	A1	20040527	WO 2003-DK769	20031110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003280309	A1	20040603	AU 2003-280309	20031110
US 2004127491	A1	20040701	US 2003-703556	20031110
EP 1562945	A1	20050817	EP 2003-770918	20031110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006508109	T2	20060309	JP 2004-550659	20031110
PRIORITY APPLN. INFO.:			DK 2002-1737	A 20021111
			US 2002-426387P	P 20021115
			WO 2003-DK769	W 20031110
OTHER SOURCE(S):		MARPAT 141:7145		
GI				



AB The title compds. I [wherein n = 1-3; A = phenylene or (un)substituted heteroarylene; B = aryl, heteroaryl, etc.; with provisos] or enantiomers, or pharmaceutically acceptable salts thereof are prepared as cholinergic

ligands at the nicotinic acetylcholine receptors and modulators of the monoamine receptors and transporters. For example, the compound II was prepared in a multi-step synthesis. II showed inhibitory activity with IC₅₀ of 0.0065 μ M towards 3H- α -bungarotoxin binding. I may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, neuro-degeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chemical substances (no data).

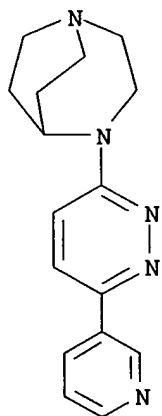
IT 695183-36-9P 695183-40-5P 695183-60-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of diazabicyclo[3.2.2]nonane derivs. as cholinergic ligands)

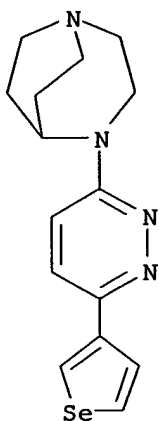
RN 695183-36-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-pyridinyl)-3-pyridazinyl]- (9CI)
(CA INDEX NAME)



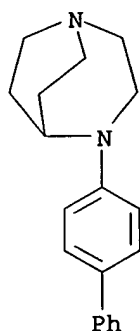
RN 695183-40-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-selenophene-3-yl-3-pyridazinyl)- (9CI)
(CA INDEX NAME)



RN 695183-60-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[1,1'-biphenyl]-4-yl- (9CI) (CA INDEX NAME)



IT 695183-31-4P 695183-32-5P 695183-37-0P
 695183-41-6P 695183-43-8P 695183-44-9P
 695183-46-1P 695183-47-2P 695183-50-7P
 695183-51-8P 695183-53-0P 695183-54-1P
 695183-57-4P 695183-58-5P 695183-61-0P
 695183-74-5P 695183-76-7P 695183-77-8P
 695183-79-0P 695183-81-4P 695183-83-6P
 695183-84-7P 695183-85-8P 695183-86-9P
 695183-87-0P 695183-88-1P 695183-89-2P
 695183-90-5P 695183-91-6P 695183-92-7P
 695183-93-8P 695183-94-9P 695183-95-0P
 695183-96-1P 695183-97-2P 695183-98-3P
 695183-99-4P 695184-00-0P 695184-01-1P
 695184-02-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

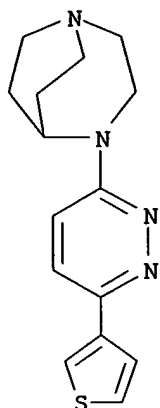
(drug candidate; preparation of diazabicyclo[3.2.2]nonane derivs. as cholinergic ligands)

RN 695183-31-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-thienyl)-3-pyridazinyl]- (9CI) (CA

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INDEX NAME)



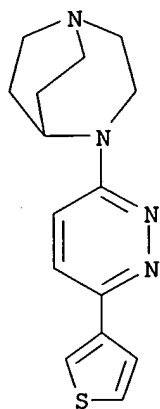
RN 695183-32-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-thienyl)-3-pyridazinyl]-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 695183-31-4

CMF C15 H18 N4 S

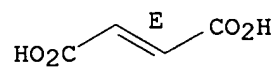


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 695183-37-0 CAPLUS

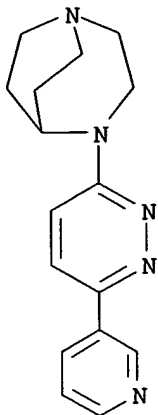
10/528,361

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-pyridinyl)-3-pyridazinyl]-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 695183-36-9

CMF C16 H19 N5

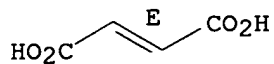


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 695183-41-6 CAPLUS

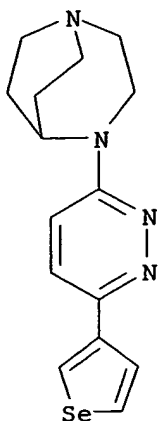
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-selenophene-3-yl-3-pyridazinyl)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 695183-40-5

CMF C15 H18 N4 Se

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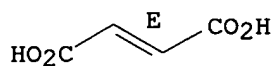


CM 2

CRN 110-17-8

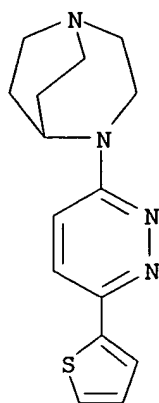
CMF C4 H4 O4

Double bond geometry as shown.



RN 695183-43-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-thienyl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)



RN 695183-44-9 CAPLUS

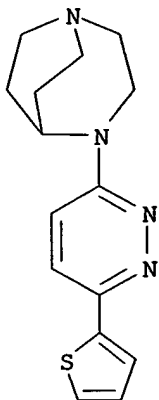
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-thienyl)-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 695183-43-8

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CMF C15 H18 N4 S

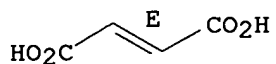


CM 2

CRN 110-17-8

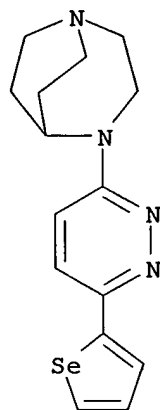
CMF C4 H4 O4

Double bond geometry as shown.



RN 695183-46-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-selenophene-2-yl-3-pyridazinyl)- (9CI)
(CA INDEX NAME)



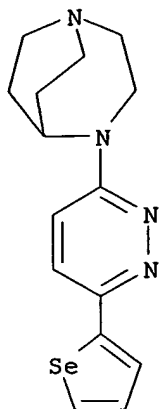
RN 695183-47-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-selenophene-2-yl-3-pyridazinyl)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

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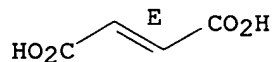
CRN 695183-46-1
CMF C15 H18 N4 Se



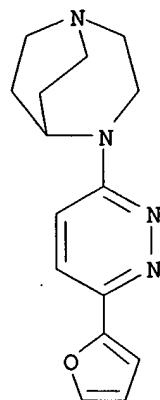
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 695183-50-7 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-furanyl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

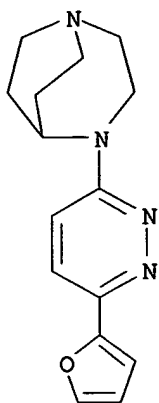


RN 695183-51-8 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-furanyl)-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

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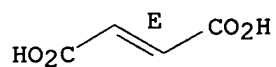
CRN 695183-50-7
CMF C15 H18 N4 O



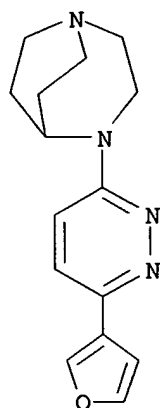
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 695183-53-0 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-furanyl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

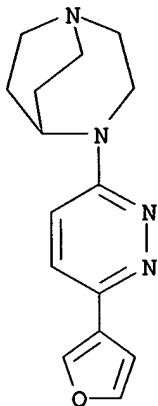


RN 695183-54-1 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-furanyl)-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

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CM 1

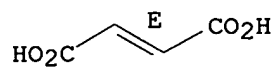
CRN 695183-53-0
CMF C15 H18 N4 O



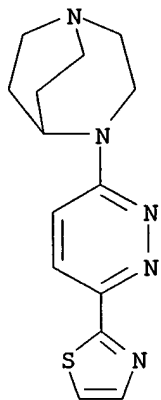
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 695183-57-4 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-thiazolyl)-3-pyridazinyl]- (9CI)
(CA INDEX NAME)

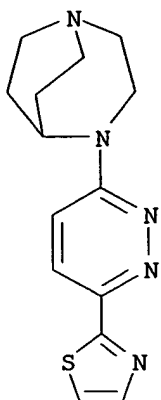


RN 695183-58-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-thiazolyl)-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

10/528,361

CM 1

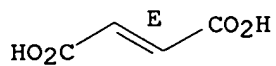
CRN 695183-57-4
CMF C14 H17 N5 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

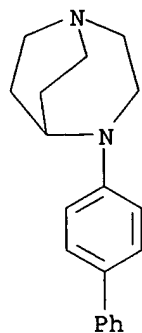
Double bond geometry as shown.



RN 695183-61-0 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[1,1'-biphenyl]-4-yl-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 695183-60-9
CMF C19 H22 N2



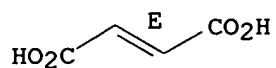
10/528,361

CM 2

CRN 110-17-8

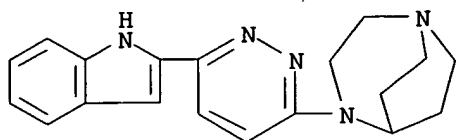
CMF C4 H4 O4

Double bond geometry as shown.



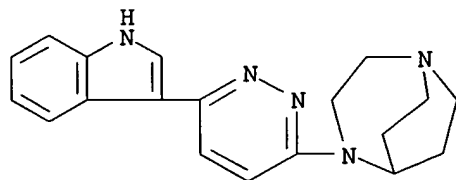
RN 695183-74-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1H-indol-2-yl)-3-pyridazinyl]- (9CI)
(CA INDEX NAME)



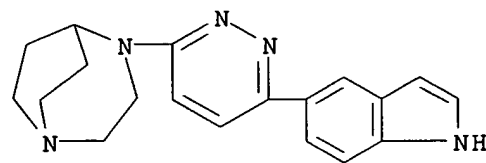
RN 695183-76-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1H-indol-3-yl)-3-pyridazinyl]- (9CI)
(CA INDEX NAME)



RN 695183-77-8 CAPLUS

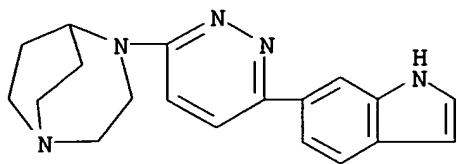
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1H-indol-5-yl)-3-pyridazinyl]- (9CI)
(CA INDEX NAME)



RN 695183-79-0 CAPLUS

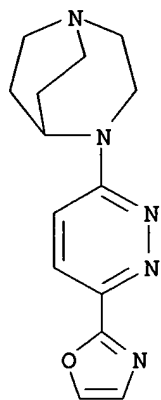
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1H-indol-6-yl)-3-pyridazinyl]- (9CI)
(CA INDEX NAME)

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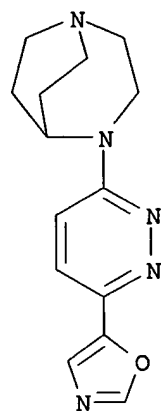
RN 695183-81-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-oxazolyl)-3-pyridazinyl]- (9CI)
(CA INDEX NAME)



RN 695183-83-6 CAPLUS

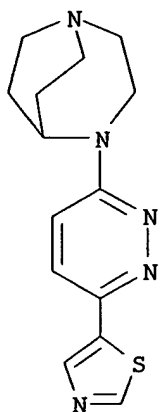
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(5-oxazolyl)-3-pyridazinyl]- (9CI)
(CA INDEX NAME)



RN 695183-84-7 CAPLUS

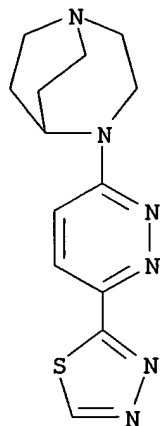
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(5-thiazolyl)-3-pyridazinyl]- (9CI)
(CA INDEX NAME)

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RN 695183-85-8 CAPLUS

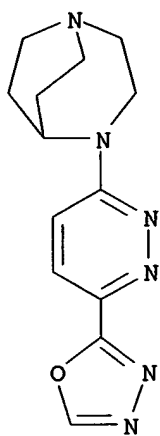
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1,3,4-thiadiazol-2-yl)-3-pyridazinyl]-
(9CI) (CA INDEX NAME)



RN 695183-86-9 CAPLUS

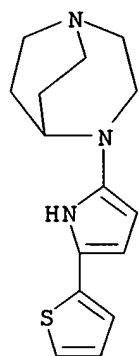
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1,3,4-oxadiazol-2-yl)-3-pyridazinyl]-
(9CI) (CA INDEX NAME)

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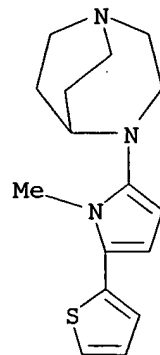
RN 695183-87-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-1H-pyrrol-2-yl]- (9CI)
(CA INDEX NAME)



RN 695183-88-1 CAPLUS

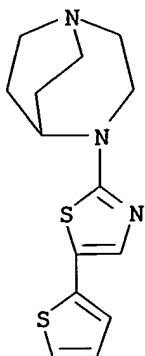
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[1-methyl-5-(2-thienyl)-1H-pyrrol-2-yl]-
(9CI) (CA INDEX NAME)



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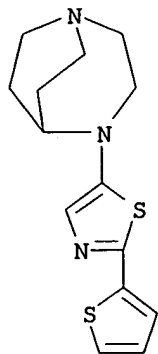
RN 695183-89-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-2-thiazolyl]- (9CI) (CA
INDEX NAME)



RN 695183-90-5 CAPLUS

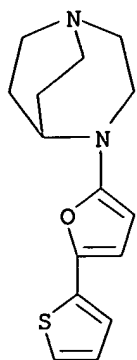
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2-thienyl)-5-thiazolyl]- (9CI) (CA
INDEX NAME)



RN 695183-91-6 CAPLUS

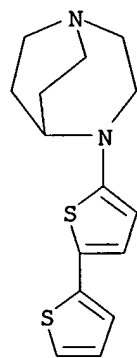
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-2-furanyl]- (9CI) (CA
INDEX NAME)

10/528,361



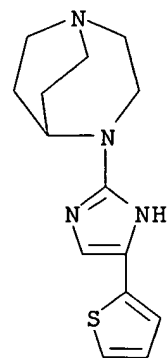
RN 695183-92-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2,2'-bithiophen]-5-yl- (9CI) (CA INDEX NAME)



RN 695183-93-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[4-(2-thienyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

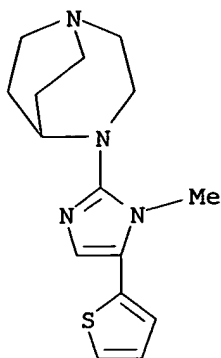


RN 695183-94-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[1-methyl-5-(2-thienyl)-1H-imidazol-2-yl]-

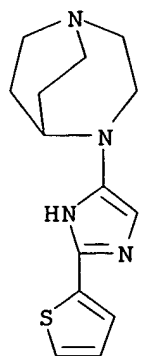
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(9CI) (CA INDEX NAME)



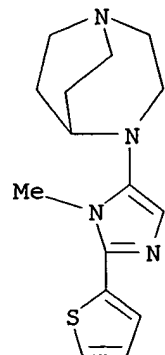
RN 695183-95-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2-thienyl)-1H-imidazol-4-yl]- (9CI)
(CA INDEX NAME)



RN 695183-96-1 CAPLUS

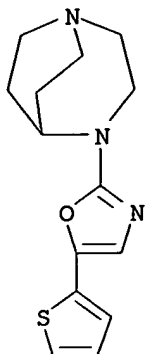
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[1-methyl-2-(2-thienyl)-1H-imidazol-5-yl]-
(9CI) (CA INDEX NAME)



10/528,361

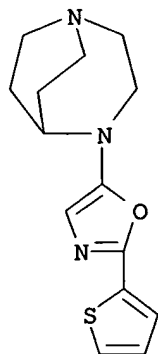
RN 695183-97-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-2-oxazolyl]- (9CI) (CA
INDEX NAME)



RN 695183-98-3 CAPLUS

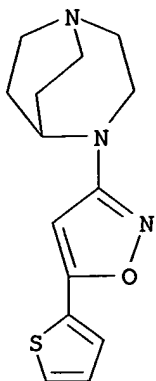
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2-thienyl)-5-oxazolyl]- (9CI) (CA
INDEX NAME)



RN 695183-99-4 CAPLUS

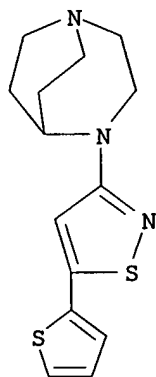
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-3-isoxazolyl]- (9CI) (CA
INDEX NAME)





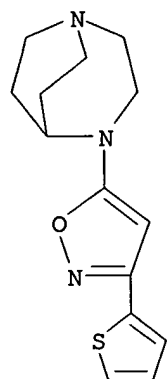
RN 695184-00-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-3-isothiazolyl]- (9CI)
(CA INDEX NAME)



RN 695184-01-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[3-(2-thienyl)-5-isoxazolyl]- (9CI) (CA
INDEX NAME)

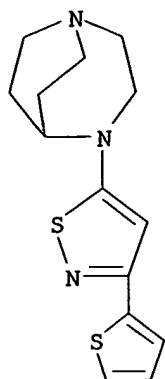


RN 695184-02-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[3-(2-thienyl)-5-isothiazolyl]- (9CI)

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(CA INDEX NAME)



REFERENCE COUNT:

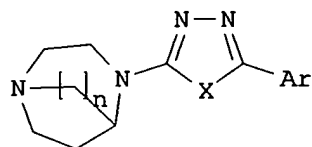
9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

applicant

L10 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:292025 CAPLUS
 DOCUMENT NUMBER: 140:321389
 TITLE: Preparation of novel 1,4-diazabicycloalkane derivatives as cholinergic ligands at the nicotinic acetylcholine receptors and modulators of the monoamine receptors and transporters
 INVENTOR(S): Peters, Dan; Olsen, Gunnar M.; Nielsen, Elsebet Ostergaard; Jorgensen, Tino Dyhring; Ahring, Philip K.
 PATENT ASSIGNEE(S): Neurosearch A/s, Den.
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029053	A1	20040408	WO 2003-DK639	20030929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2496585	AA	20040408	CA 2003-2496585	20030929
AU 2003266222	A1	20040419	AU 2003-266222	20030929
EP 1551835	A1	20050713	EP 2003-798094	20030929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014183	A	20050809	BR 2003-14183	20030929
JP 2006503062	T2	20060126	JP 2004-538781	20030929
NO 2005002124	A	20050629	NO 2005-2124	20050429
PRIORITY APPLN. INFO.:			DK 2002-1456	A 20020930
			DK 2002-1738	A 20021111
			US 2002-426368P	P 20021115
			WO 2003-DK639	W 20030929
OTHER SOURCE(S):		MARPAT 140:321389		
GI				



I

AB The title compds. [I; n = 1-3; X = O, S, Se; Ar = (un)substituted (hetero)aryl] and their pharmaceutically-acceptable addition salts, which were found to be cholinergic ligands at the nicotinic acetylcholine receptors and modulators of the monoamine receptors and transporters, were

prepared Thus, reacting 1,4-diazabicyclo[3.2.2]nonane with 2-chloro-5-phenyl-1,3,4-thiadiazole (prepns. given) in the presence of Et₃N in dioxane followed by conversion into fumarate salt afforded 23% I.fumarate [n = 2; X = S; Ar = Ph] which showed IC₅₀ of 0.0067 μ M against 3H- α -bundarotoxine binding in rat brain. Due to their pharmacol. profile the compds. I may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, diseases or disorders related to neuro-degeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chemical substances. The pharmaceutical composition comprising the compound I is claimed.

IT 677723-95-4P 677723-96-5P 677723-97-6P
 677723-98-7P 677723-99-8P 677724-00-4P
 677724-01-5P 677724-02-6P 677724-03-7P
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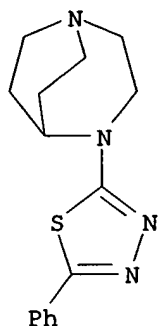
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 677725-49-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of novel 1,4-diazabicycloalkane derivs. as cholinergic ligands
 at the nicotinic acetylcholine receptors and modulators of the
 monoamine receptors and transporters)

RN 677723-95-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-1,3,4-thiadiazol-2-yl)- (9CI)
 (CA INDEX NAME)



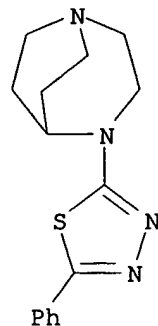
RN 677723-96-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-1,3,4-thiadiazol-2-yl)-,
 (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677723-95-4

CMF C15 H18 N4 S



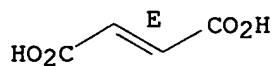
10/528,361

CM 2

CRN 110-17-8

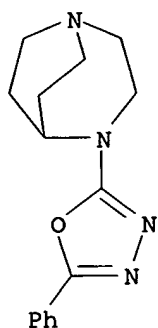
CMF C4 H4 O4

Double bond geometry as shown.



RN 677723-97-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-1,3,4-oxadiazol-2-yl)- (9CI)
(CA INDEX NAME)



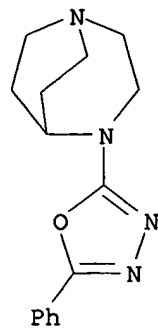
RN 677723-98-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-1,3,4-oxadiazol-2-yl)-,
(2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677723-97-6

CMF C15 H18 N4 O



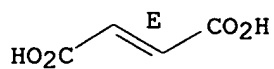
CM 2

CRN 110-17-8

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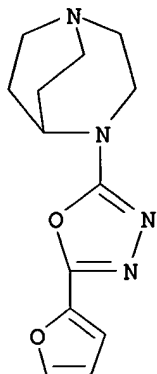
CMF C4 H4 O4

Double bond geometry as shown.



RN 677723-99-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-furanyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



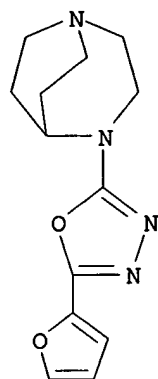
RN 677724-00-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-furanyl)-1,3,4-oxadiazol-2-yl]-,
(2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677723-99-8

CMF C13 H16 N4 O2



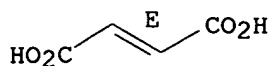
CM 2

CRN 110-17-8

CMF C4 H4 O4

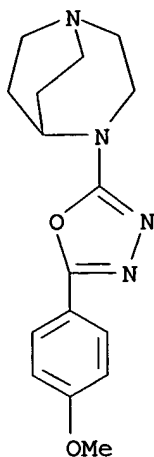
10/528,361

Double bond geometry as shown.



RN 677724-01-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxyphenyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



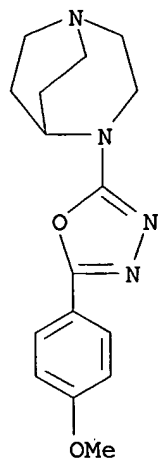
RN 677724-02-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxyphenyl)-1,3,4-oxadiazol-2-yl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677724-01-5

CMF C16 H20 N4 O2



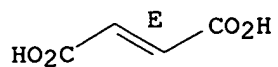
10/528,361

CM 2

CRN 110-17-8

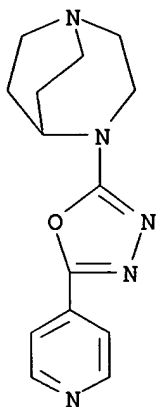
CMF C4 H4 O4

Double bond geometry as shown.



RN 677724-03-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyridinyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



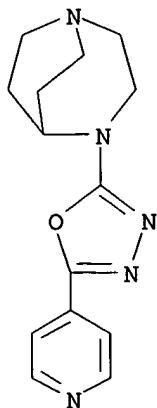
RN 677724-04-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyridinyl)-1,3,4-oxadiazol-2-yl]-,
(2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677724-03-7

CMF C14 H17 N5 O



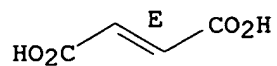
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CM 2

CRN 110-17-8

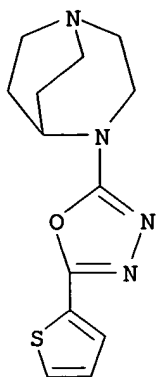
CMF C4 H4 O4

Double bond geometry as shown.



RN 677724-05-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



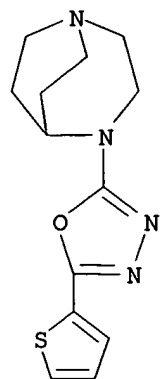
RN 677724-06-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-1,3,4-oxadiazol-2-yl]-,
(2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677724-05-9

CMF C13 H16 N4 O S



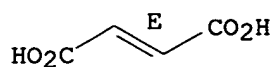
10/528,361

CM 2

CRN 110-17-8

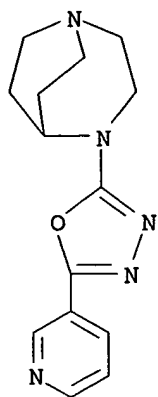
CMF C4 H4 O4

Double bond geometry as shown.



RN 677724-07-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridinyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



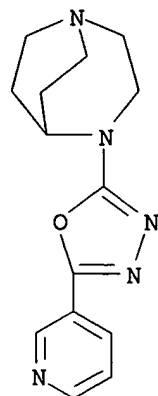
RN 677724-08-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridinyl)-1,3,4-oxadiazol-2-yl]-,
(2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677724-07-1

CMF C14 H17 N5 O



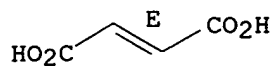
10/528,361

CM 2

CRN 110-17-8

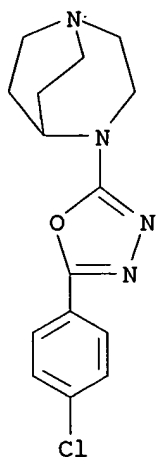
CMF C4 H4 O4

Double bond geometry as shown.



RN 677724-09-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-chlorophenyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-10-6 CAPLUS

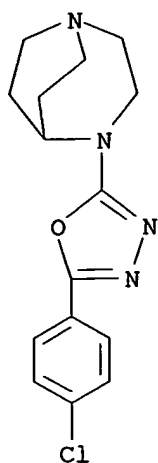
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-chlorophenyl)-1,3,4-oxadiazol-2-yl]-
, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677724-09-3

CMF C15 H17 Cl N4 O

10/528,361

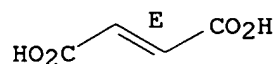


CM 2

CRN 110-17-8

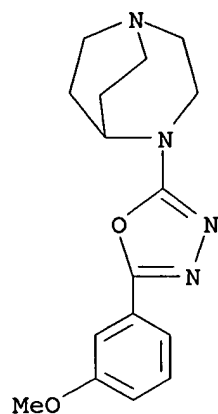
CMF C4 H4 O4

Double bond geometry as shown.



RN 677724-11-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methoxyphenyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



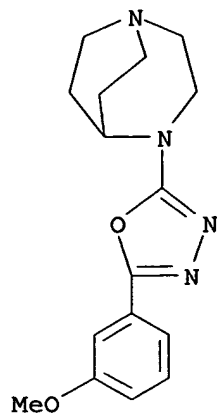
RN 677724-12-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methoxyphenyl)-1,3,4-oxadiazol-2-yl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

10/528,361

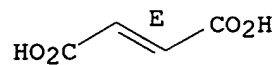
CRN 677724-11-7
CMF C16 H20 N4 O2



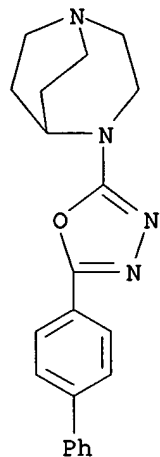
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 677724-13-9 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-[1,1'-biphenyl]-4-yl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)



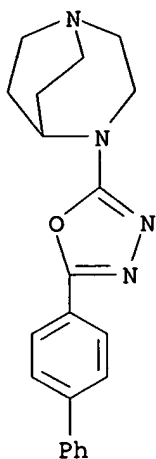
RN 677724-14-0 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-[1,1'-biphenyl]-4-yl-1,3,4-oxadiazol-2-yl)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

10/528,361

CM 1

CRN 677724-13-9

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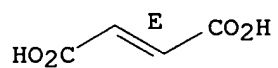


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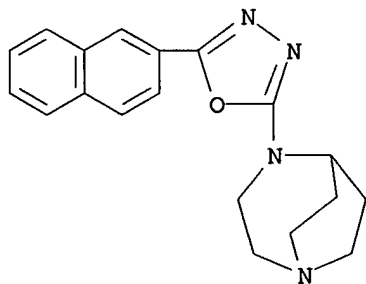
CMF C4 H4 O4

Double bond geometry as shown.



RN 677724-15-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-naphthalenyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-16-2 CAPLUS

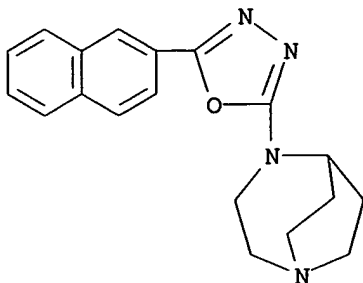
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-naphthalenyl)-1,3,4-oxadiazol-2-yl]-
, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

10/528,361

CM 1

CRN 677724-15-1

CMF C19 H20 N4 O

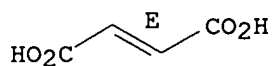


CM 2

CRN 110-17-8

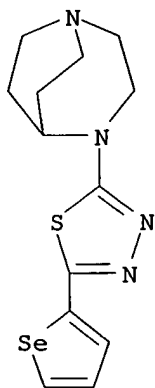
CMF C4 H4 O4

Double bond geometry as shown.



RN 677724-17-3 CAPLUS

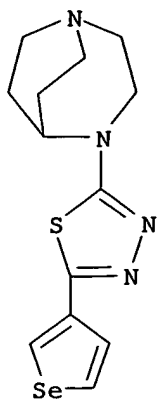
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-selenophene-2-yl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)



RN 677724-18-4 CAPLUS

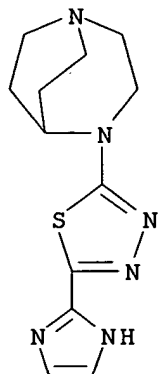
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-selenophene-3-yl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)

10/528,361



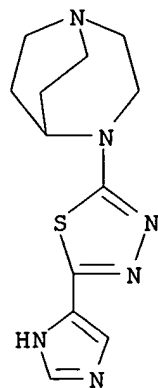
RN 677724-19-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-imidazol-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-20-8 CAPLUS

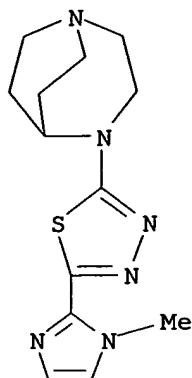
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-imidazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



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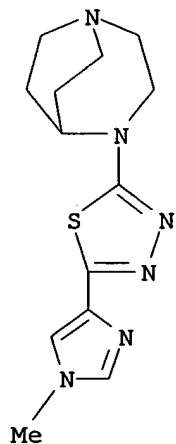
RN 677724-21-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-imidazol-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-22-0 CAPLUS

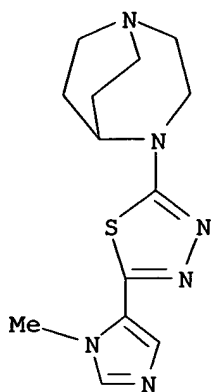
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-imidazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-23-1 CAPLUS

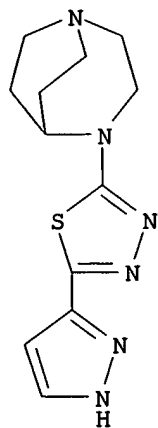
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-imidazol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

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RN 677724-24-2 CAPLUS

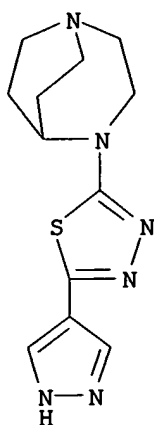
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrazol-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-25-3 CAPLUS

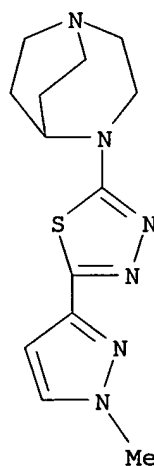
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

10/528,361



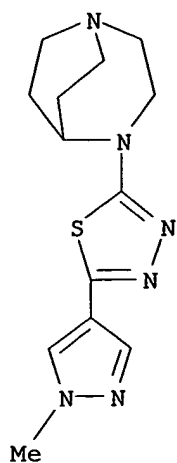
RN 677724-26-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrazol-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



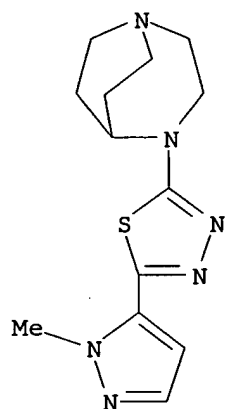
RN 677724-27-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-28-6 CAPLUS

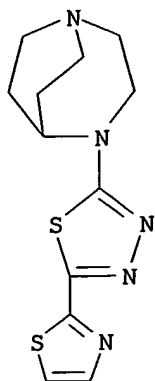
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrazol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-29-7 CAPLUS

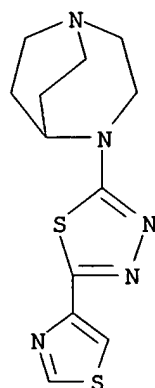
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thiazolyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

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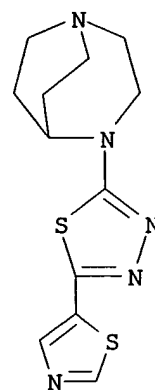
RN 677724-30-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-thiazolyl)-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-31-1 CAPLUS

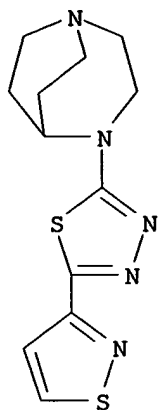
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-thiazolyl)-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)



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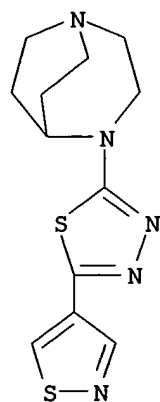
RN 677724-32-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-isothiazolyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-33-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-isothiazolyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

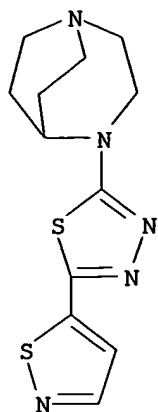


RN 677724-34-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-isothiazolyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

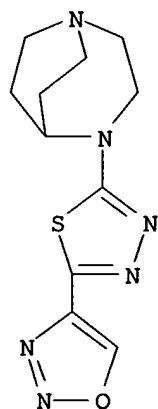


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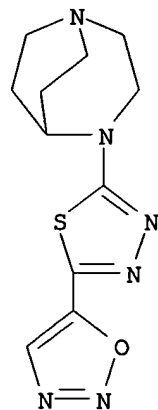
RN 677724-35-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,3-oxadiazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-36-6 CAPLUS

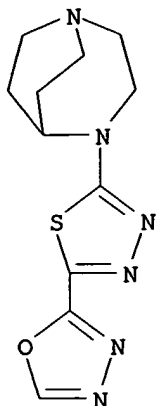
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,3-oxadiazol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



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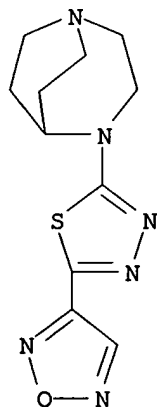
RN 677724-37-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3,4-oxadiazol-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-38-8 CAPLUS

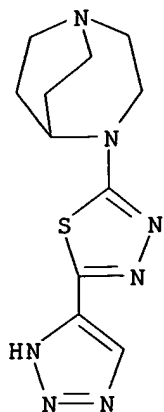
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,5-oxadiazol-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-39-9 CAPLUS

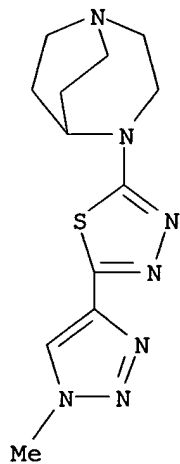
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-1,2,3-triazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

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RN 677724-40-2 CAPLUS

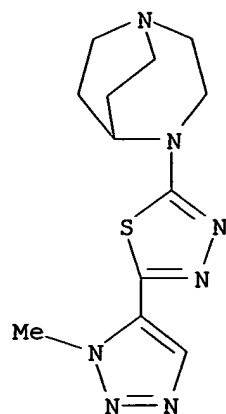
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,3-triazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-41-3 CAPLUS

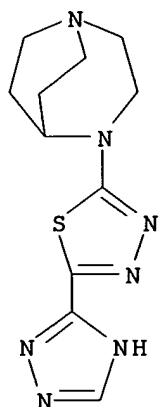
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,3-triazol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

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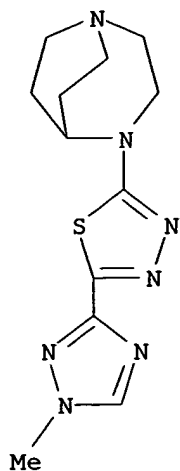
RN 677724-42-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-1,2,4-triazol-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



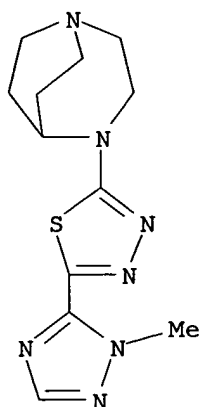
RN 677724-43-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,4-triazol-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-44-6 CAPLUS

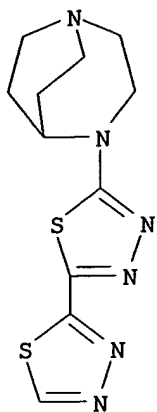
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,4-triazol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-45-7 CAPLUS

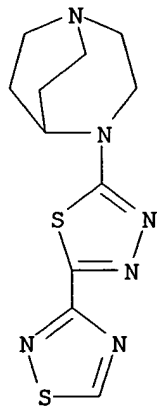
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2,2'-bi-1,3,4-thiadiazol]-5-yl- (9CI) (CA INDEX NAME)

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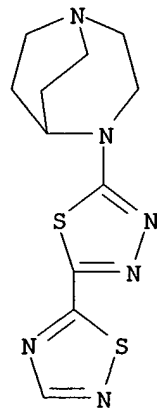
RN 677724-46-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,4-thiadiazol-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-47-9 CAPLUS

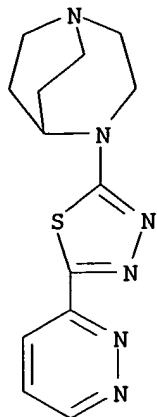
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,4-thiadiazol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



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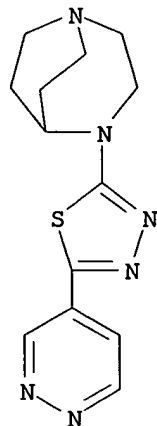
RN 677724-48-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridazinyl)-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-49-1 CAPLUS

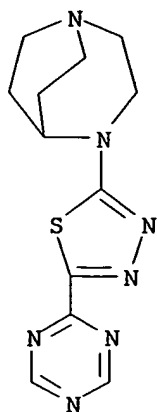
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyridazinyl)-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-50-4 CAPLUS

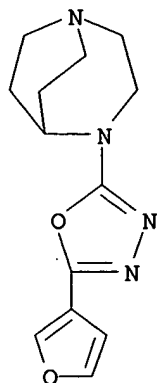
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3,5-triazin-2-yl)-1,3,4-thiadiazol-
2-yl]- (9CI) (CA INDEX NAME)

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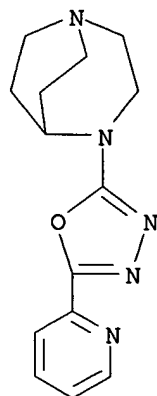
RN 677724-51-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-furanyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-52-6 CAPLUS

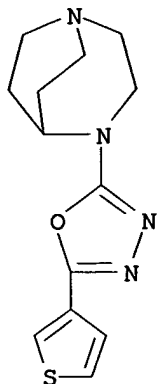
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-pyridinyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



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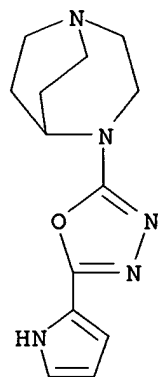
RN 677724-53-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-thienyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-54-8 CAPLUS

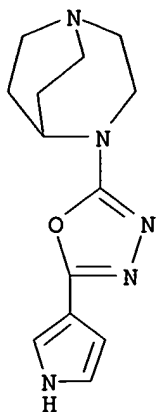
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrrol-2-yl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-56-0 CAPLUS

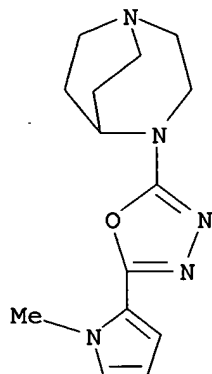
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrrol-3-yl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)

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RN 677724-57-1 CAPLUS

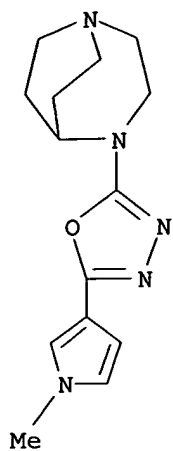
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrrol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-58-2 CAPLUS

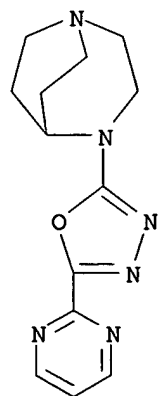
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrrol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

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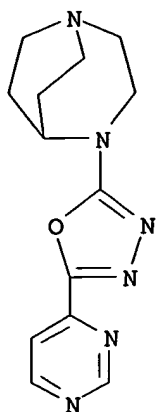
RN 677724-59-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-pyrimidinyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



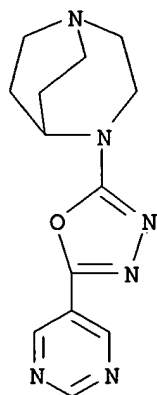
RN 677724-60-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyrimidinyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



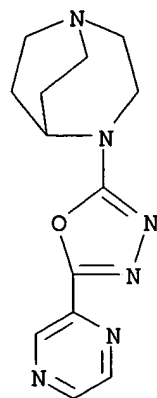
RN 677724-61-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-pyrimidinyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-62-8 CAPLUS

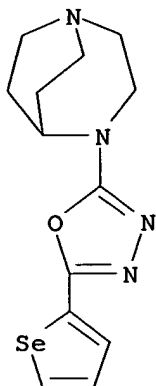
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-pyrazinyl-1,3,4-oxadiazol-2-yl)- (9CI)
(CA INDEX NAME)



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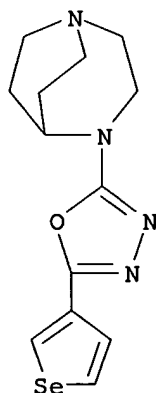
RN 677724-63-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-selenophene-2-yl-1,3,4-oxadiazol-2-yl)-
(9CI) (CA INDEX NAME)



RN 677724-64-0 CAPLUS

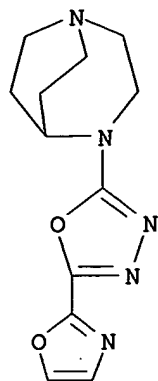
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-selenophene-3-yl-1,3,4-oxadiazol-2-yl)-
(9CI) (CA INDEX NAME)



RN 677724-65-1 CAPLUS

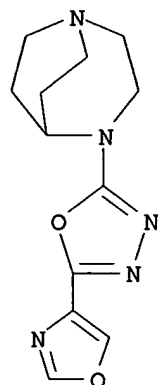
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-oxazolyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)

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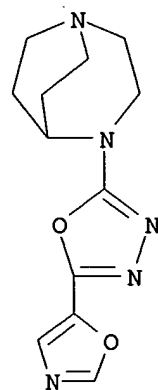
RN 677724-66-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-oxazolyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-67-3 CAPLUS

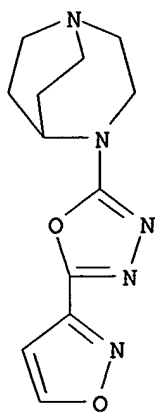
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-oxazolyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



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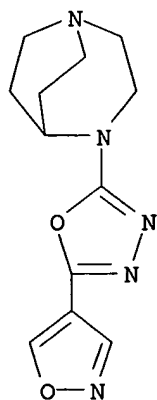
RN 677724-68-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-isoxazolyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-69-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-isoxazolyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)

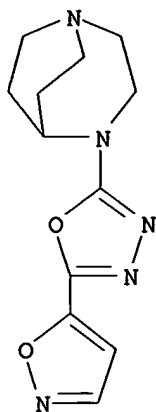


RN 677724-70-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-isoxazolyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)

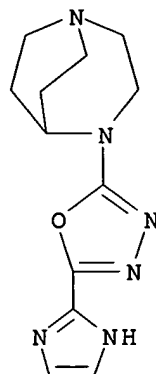


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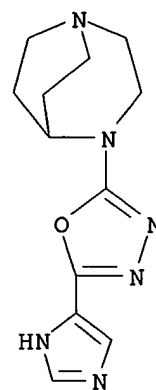
RN 677724-71-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-imidazol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-72-0 CAPLUS

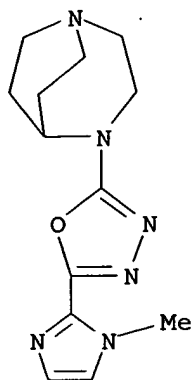
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-imidazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



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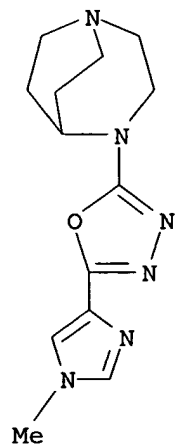
RN 677724-73-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-imidazol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-74-2 CAPLUS

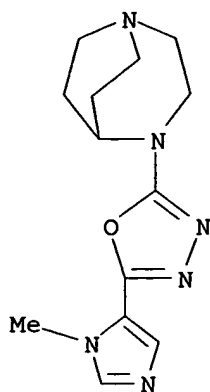
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-imidazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-75-3 CAPLUS

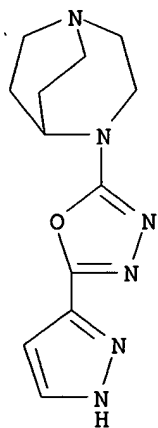
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-imidazol-5-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

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RN 677724-76-4 CAPLUS

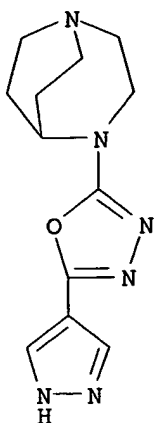
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrazol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-77-5 CAPLUS

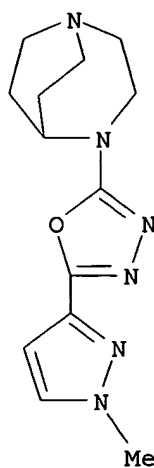
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

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RN 677724-78-6 CAPLUS

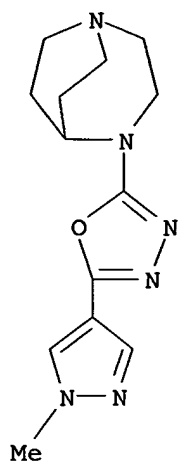
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrazol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-79-7 CAPLUS

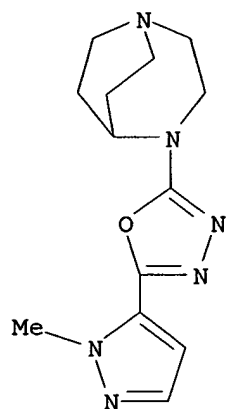
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

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RN 677724-80-0 CAPLUS

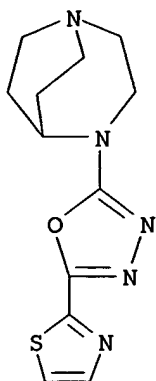
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrazol-5-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-81-1 CAPLUS

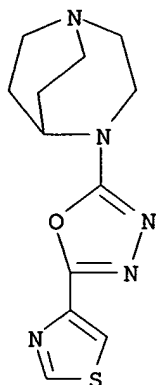
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thiazolyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

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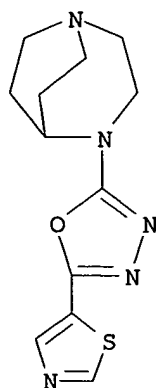
RN 677724-82-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-thiazolyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-83-3 CAPLUS

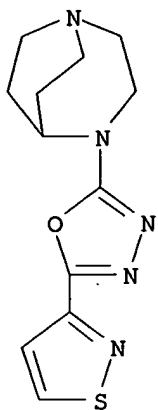
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-thiazolyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



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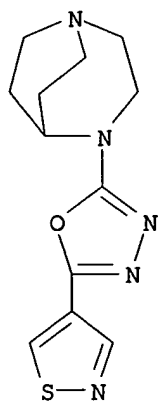
RN 677724-84-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-isothiazolyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-85-5 CAPLUS

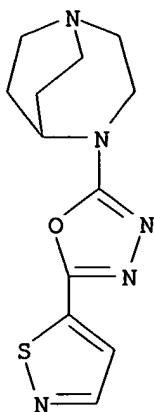
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-isothiazolyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677724-86-6 CAPLUS

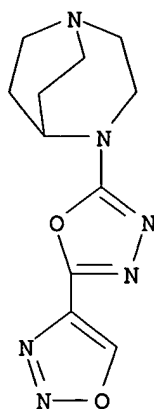
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-isothiazolyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)

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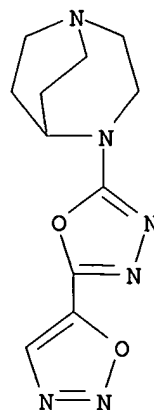
RN 677724-87-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,3-oxadiazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-88-8 CAPLUS

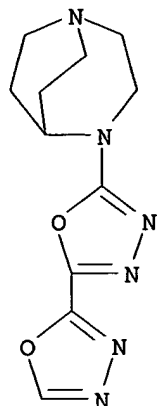
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,3-oxadiazol-5-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



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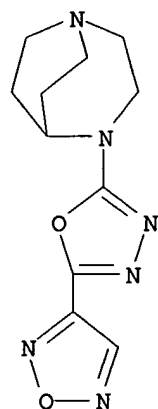
RN 677724-89-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2,2'-bi-1,3,4-oxadiazol]-5-yl- (9CI)
(CA INDEX NAME)



RN 677724-90-2 CAPLUS

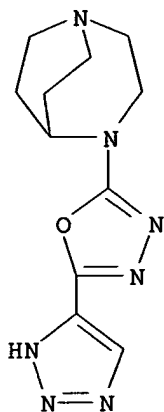
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,5-oxadiazol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-91-3 CAPLUS

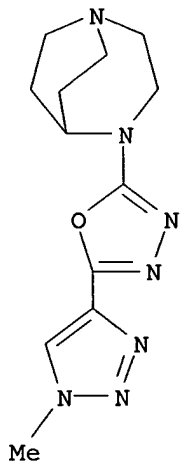
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-1,2,3-triazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

10/528,361



RN 677724-92-4 CAPLUS

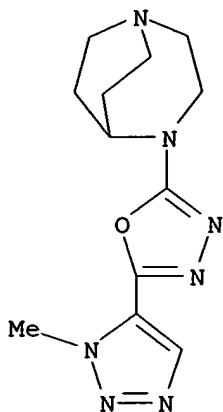
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,3-triazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-93-5 CAPLUS

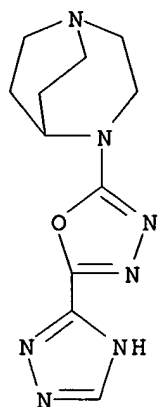
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,3-triazol-5-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

10/528,361



RN 677724-94-6 CAPLUS

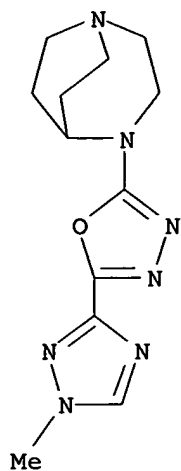
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-1,2,4-triazol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-95-7 CAPLUS

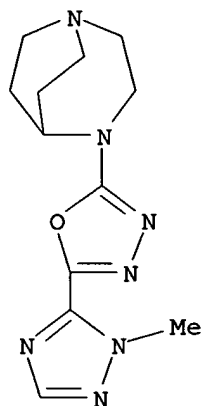
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,4-triazol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

10/528,361



RN 677724-96-8 CAPLUS

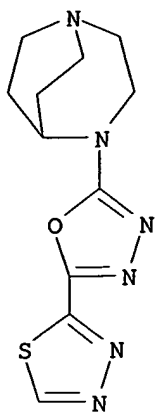
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,4-triazol-5-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-97-9 CAPLUS

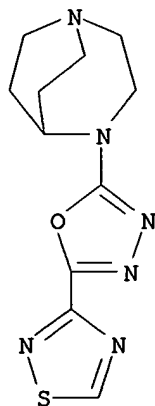
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3,4-thiadiazol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

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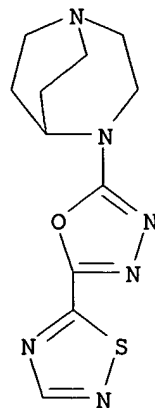
RN 677724-98-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,4-thiadiazol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677724-99-1 CAPLUS

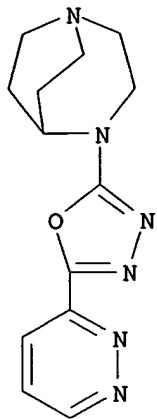
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,4-thiadiazol-5-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



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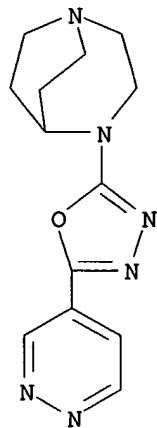
RN 677725-00-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridazinyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-01-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyridazinyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)

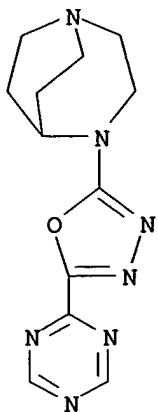


RN 677725-02-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3,5-triazin-2-yl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)

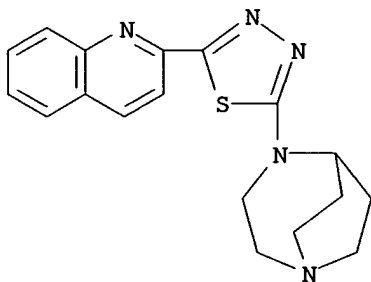


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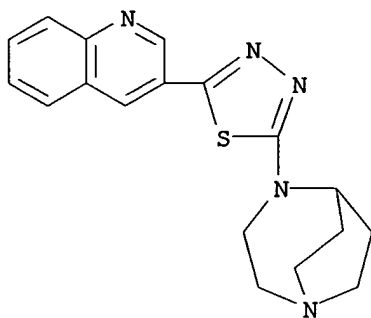
RN 677725-03-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-quinolinyl)-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-04-1 CAPLUS

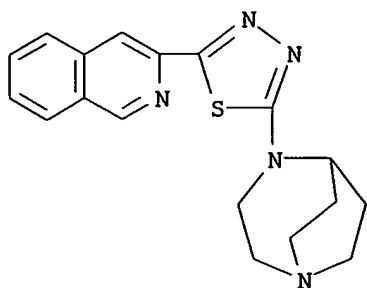
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-quinolinyl)-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-05-2 CAPLUS

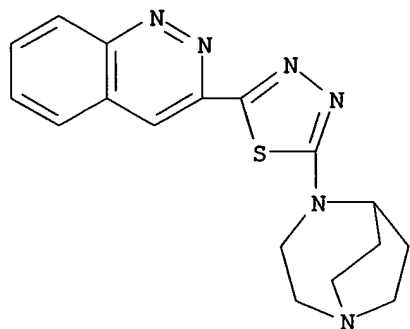
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-isoquinolinyl)-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)

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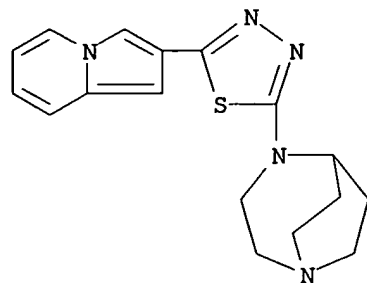
RN 677725-06-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-cinnolinyl)-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-07-4 CAPLUS

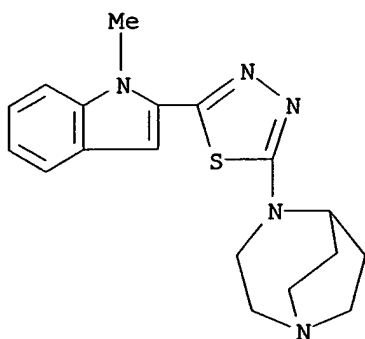
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-indoliziny)-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-08-5 CAPLUS

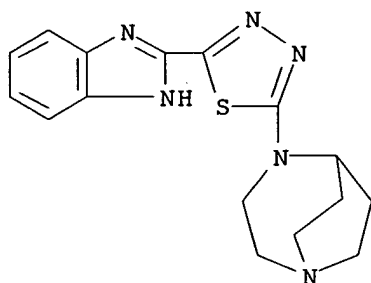
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-indol-2-yl)-1,3,4-
thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

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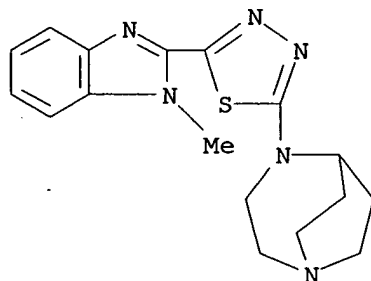
RN 677725-09-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-benzimidazol-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677725-10-9 CAPLUS

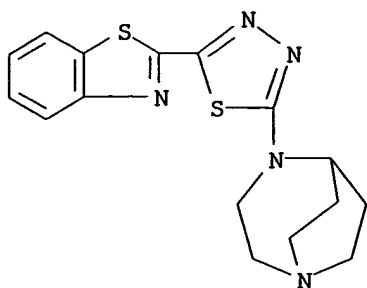
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-benzimidazol-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677725-11-0 CAPLUS

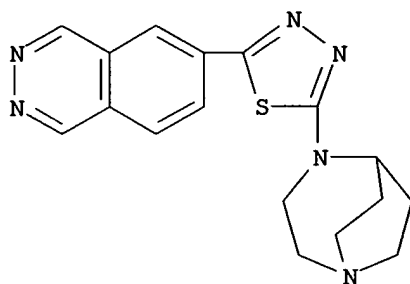
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-benzothiazolyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

10/528,361



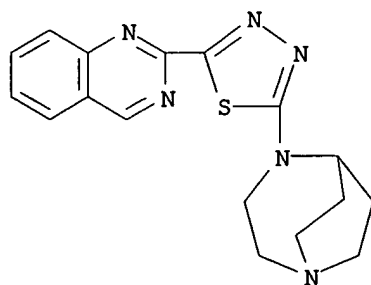
RN 677725-12-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(6-phthalazinyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677725-13-2 CAPLUS

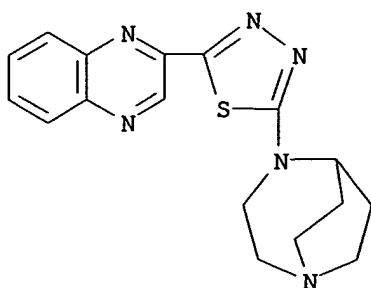
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-quinazolinyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677725-14-3 CAPLUS

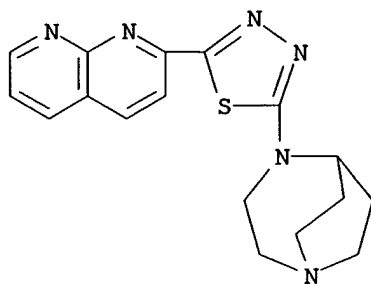
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-quinoxaliny)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

10/528,361



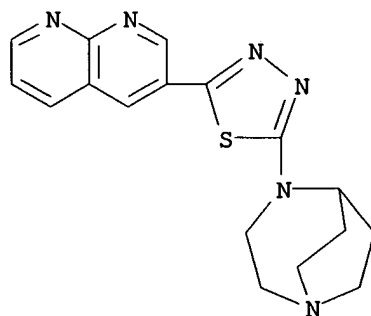
RN 677725-15-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,8-naphthyridin-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677725-16-5 CAPLUS

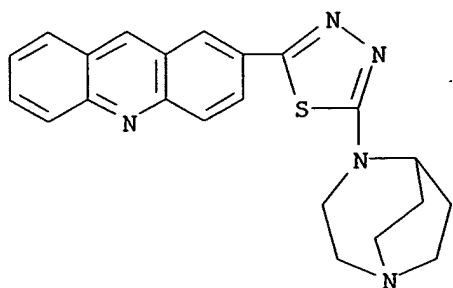
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,8-naphthyridin-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677725-17-6 CAPLUS

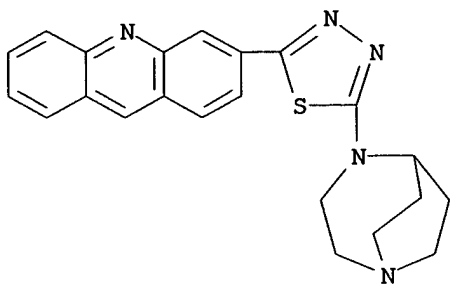
CN Acridine, 2-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

10/528,361



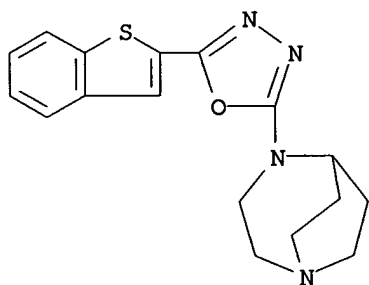
RN 677725-18-7 CAPLUS

CN Acridine, 3-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-19-8 CAPLUS

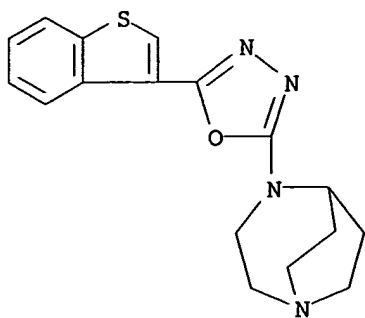
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-benzo[b]thien-2-yl-1,3,4-oxadiazol-2-
yl)- (9CI) (CA INDEX NAME)



RN 677725-20-1 CAPLUS

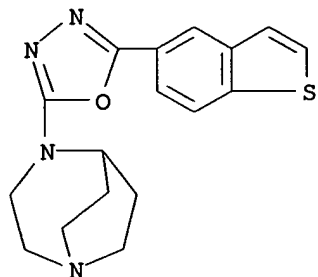
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-benzo[b]thien-3-yl-1,3,4-oxadiazol-2-
yl)- (9CI) (CA INDEX NAME)

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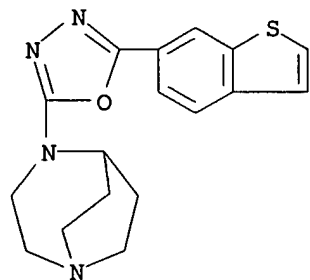
RN 677725-21-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-benzo[b]thien-5-yl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)



RN 677725-22-3 CAPLUS

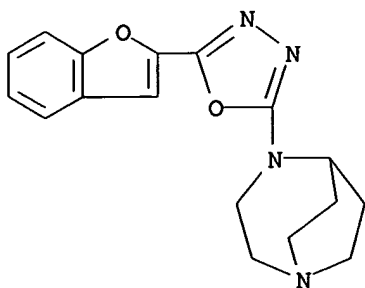
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-benzo[b]thien-6-yl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)



RN 677725-23-4 CAPLUS

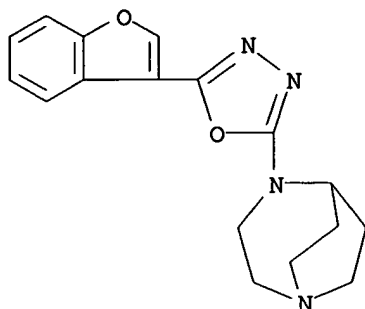
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-benzofuranyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

10/528,361



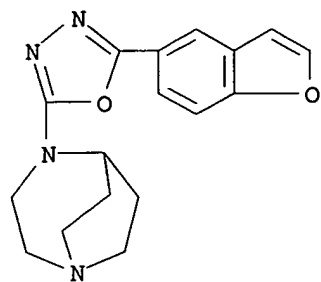
RN 677725-24-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-benzofuranyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-25-6 CAPLUS

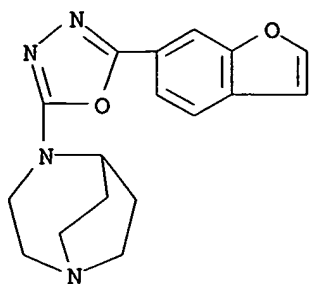
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-benzofuranyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-26-7 CAPLUS

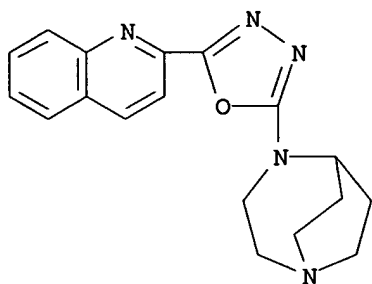
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(6-benzofuranyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)

10/528,361



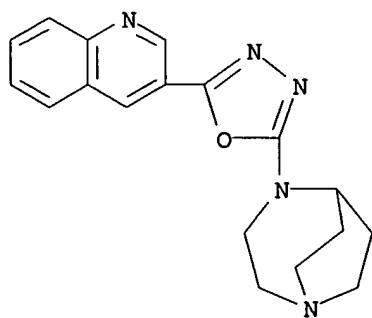
RN 677725-27-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-quinolinyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-28-9 CAPLUS

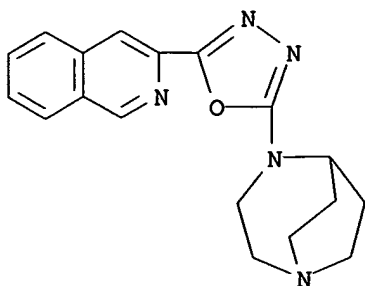
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-quinolinyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-29-0 CAPLUS

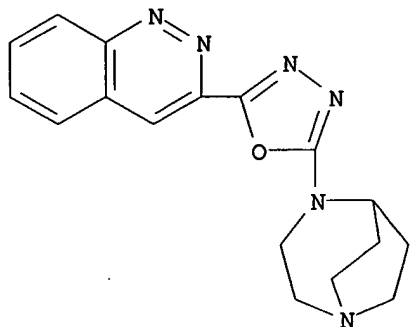
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-isoquinolinyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)

10/528,361



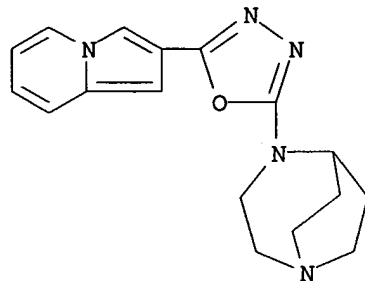
RN 677725-30-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-cinnolinyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-31-4 CAPLUS

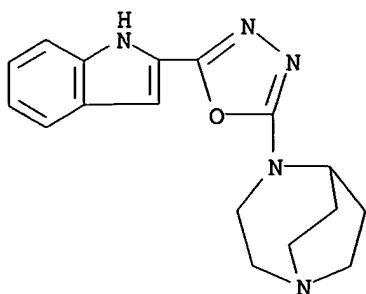
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-indoliziny)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-32-5 CAPLUS

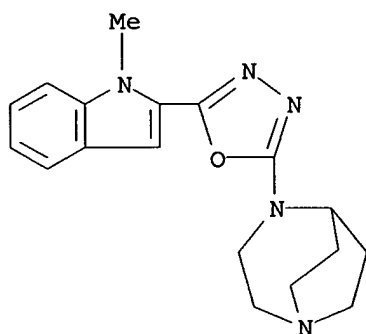
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-indol-2-yl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)

10/528,361



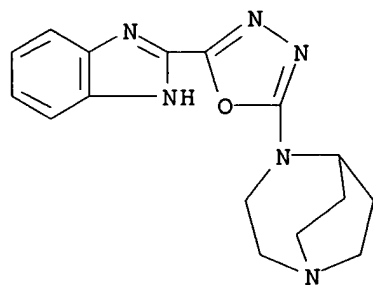
RN 677725-33-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-indol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



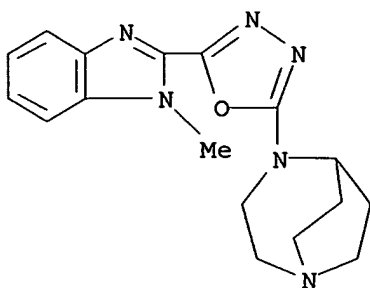
RN 677725-34-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-benzimidazol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



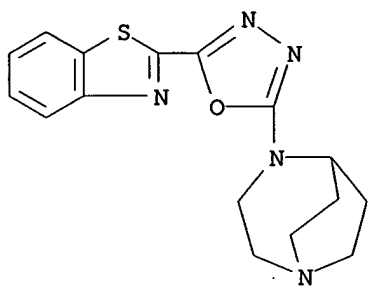
RN 677725-35-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-benzimidazol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



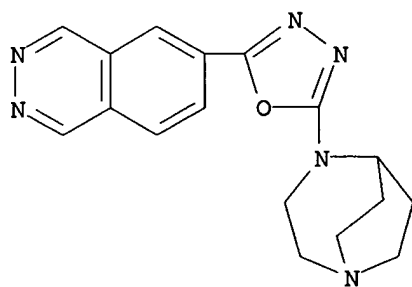
RN 677725-36-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-benzothiazolyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677725-37-0 CAPLUS

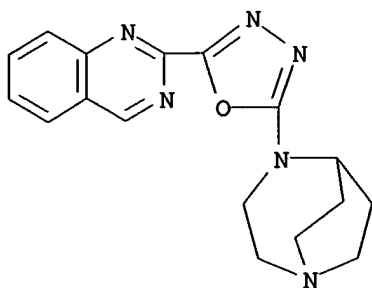
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(6-phthalazinyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677725-38-1 CAPLUS

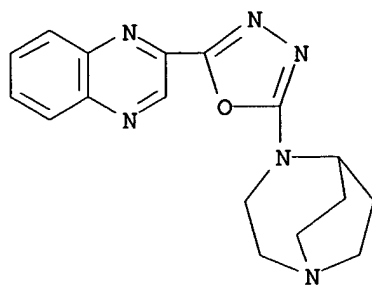
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-quinazolinyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

10/528,361



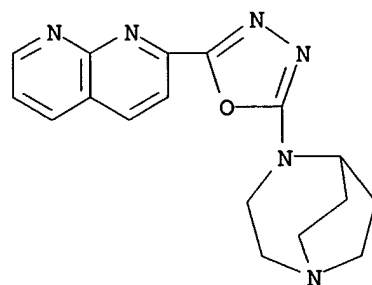
RN 677725-39-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-quinoxalinyloxy)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-40-5 CAPLUS

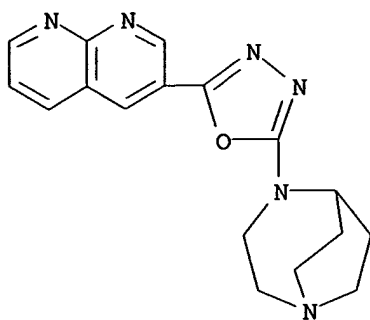
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,8-naphthyridin-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677725-41-6 CAPLUS

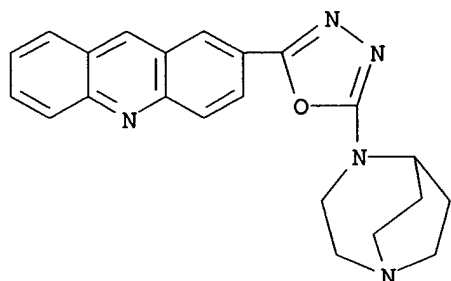
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,8-naphthyridin-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

10/528,361



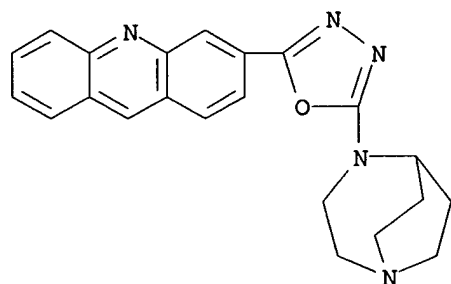
RN 677725-42-7 CAPLUS

CN Acridine, 2-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 677725-43-8 CAPLUS

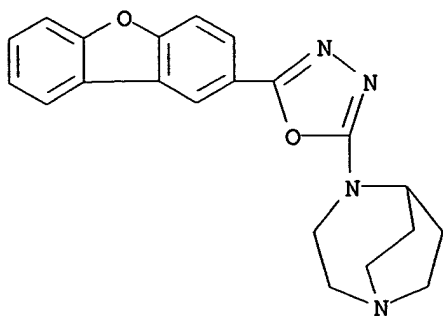
CN Acridine, 3-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)



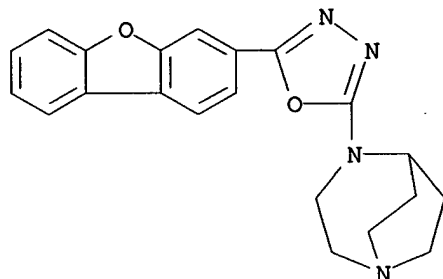
RN 677725-44-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-dibenzofuranyl)-1,3,4-oxadiazol-2-yl]-
(9CI) (CA INDEX NAME)

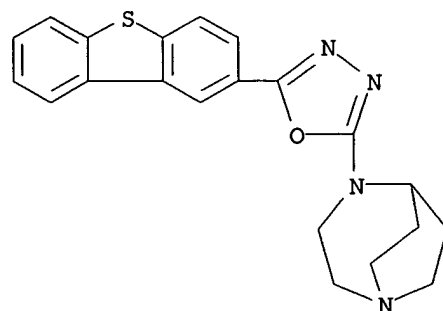
10/528,361



RN 677725-45-0 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-dibenzofuranyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

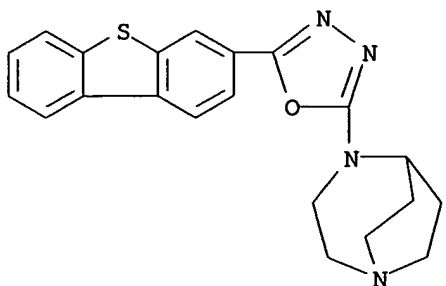


RN 677725-46-1 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-dibenzothienyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



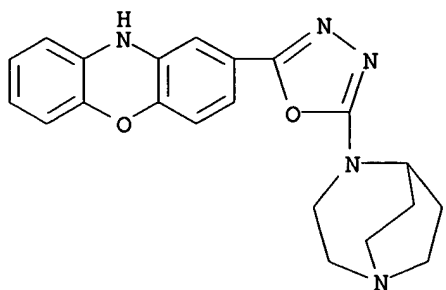
RN 677725-47-2 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-dibenzothienyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

10/528,361



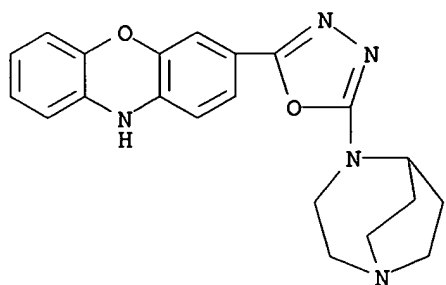
RN 677725-48-3 CAPLUS

CN 10H-Phenoxazine, 2-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 677725-49-4 CAPLUS

CN 10H-Phenoxazine, 3-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L18~~ ANSWER 7 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:252513 CAPLUS

DOCUMENT NUMBER: 140:287419

TITLE: Preparation of diazabicyclic compounds as nicotinic receptor ligands useful in the treatment of CNS and other disorders

INVENTOR(S): O'Donell, Christopher John; Vincent, Lawrence Albert; O'Neill, Brian Thomas; Coe, Jotham Wadsworth

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

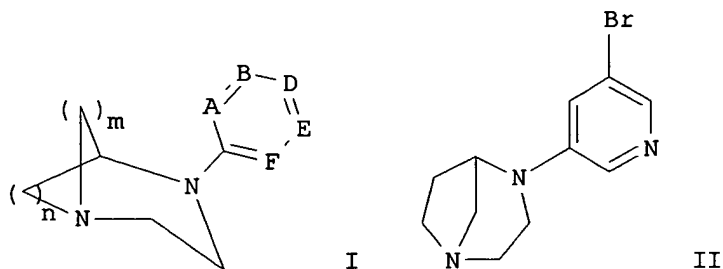
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024729	A1	20040325	WO 2003-IB3795	20030829
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2498291	AA	20040325	CA 2003-2498291	20030829
AU 2003255993	A1	20040430	AU 2003-255993	20030829
BR 2003014201	A	20050712	BR 2003-14201	20030829
EP 1551843	A1	20050713	EP 2003-795129	20030829
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006504690	T2	20060209	JP 2004-535749	20030829
US 2004106603	A1	20040603	US 2003-657738	20030908
PRIORITY APPLN. INFO.:			US 2002-409694P	P 20020910
			WO 2003-IB3795	W 20030829

OTHER SOURCE(S): MARPAT 140:287419

GI



AB The present invention relates to diazabicyclic compds. (shown as I; variables defined below; e.g. II) that are useful in treating central nervous system (CNS) diseases, disorders and conditions, such as but not limited to nicotine addiction, schizophrenia, depression, Alzheimer's disease, Parkinson's disease and ADHD. The present invention further comprises pharmaceutical compns. containing such compds. and methods of treatment comprising the use of such compds. In tests of suppression of nicotine binding to specific receptor sites, tested I exhibited $IC_{50} < 100 \mu M$. [125I]-Bungarotoxin binding to nicotinic receptors in GH4C1 cells was inhibited by tested I with $IC_{50} < 10 \mu M$; [125I]-Bungarotoxin binding to $\alpha 1$ nicotinic receptors in Torpedo electroplax membranes was inhibited by tested I with $IC_{50} < 100 \mu M$. Although the methods of preparation are not claimed, 41 example preps. are included. For example, II was prepared in 5 steps (58, 90, 74, 80, 22 %, resp., yields) starting with N-benylation of Et 2-(3-oxopiperazin-2-yl)acetate followed by reduction to 2-(1-benzylpiperazin-2-yl)ethanol followed by cyclization to 4-benzyl-1,4-diazabicyclo[3.2.1]octane followed by debenylation and heteroarylation at the 4-aza position with 3,5-dibromopyridine. For I: A = CR1 or N; B = CR2 or N; D = CR3 or N; E = CR4 or N; and F = CR5 or N; and the maximum number of N atoms amongst A, B, D, E, and F is two; m = 1-3 and n = 1-3 and excluding all compds. where m = n = 2; each R1, R2, R3, R4 and R5 = F, Cl, Br, I, nitro, cyano, CF3, -NR6R7, -NR6C(O)R7, -NR6C(O)NR7R8, -NR6C(O)OR7, -NR6S(O)2R7, -NR6S(O)2NR7R8, -OR6, -OC(O)R6, -OC(O)OR6, -OC(O)NR6R7, -OC(O)SR6, -C(O)OR6, -C(O)R6, -C(O)NR6R7, -SR6, -S(O)R6, -S(O)2R6, -S(O)2NR6R7, and a substituent from the definition of R6. Each R6, R7, and R8 = H, (un)branched (C1-C8)alkyl, (un)branched (C2-C8)alkenyl, (un)branched (C2-C8)alkynyl, (C3-C8)cycloalkyl, (C4-C8)cycloalkenyl, 3-8 membered heterocycloalkyl, (C5-C11)bicycloalkyl, (C7-C11)bicycloalkenyl, 5-11 membered heterobicycloalkyl, 5-11 membered heterobicycloalkenyl, (C6-C11) aryl, and 5-12 membered heteroaryl; or R1 and R2, or R2 and R3, or R3 and R4, or R4 and R5, may form another 6-membered aromatic or heteroarom. ring sharing A and B, or B and D, or D and E, or E and F, resp., and may be (un)substituted with 1-4 substituents independently set forth in the definition of R6, R7 and R8 above; addnl. details are given in the claims.

IT **675589-83-0P**, 4-(5-Phenylpyridin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675589-88-5P**, 4-(5-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675589-89-6P**, 4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane **675589-93-2P**, 4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675589-98-7P**, 4-[5-(2-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-00-8P**, 4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-02-0P**, 4-[5-(2-Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-03-1P**, 4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-08-6P**, 4-[5-(2-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-09-7P**, 4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-11-1P**, 4-[5-(o-Tolyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675590-16-6P**, 4-[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675590-17-7P**, 4-[5-(4-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675590-18-8P**, 4-[5-(o-Tolyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675590-19-9P**, 4-[5-(3-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675590-20-2P**, 4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane **675590-21-3P**, 4-[5-(4-

Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675590-23-5P, 4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.2.1]octane **675590-25-7P**, 4-[5-(3-
 Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675590-27-9P, 4-[5-(p-Tolyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.2.1]octane **675590-29-1P**, 4-[5-(4-
 Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675590-31-5P, 5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)-
 [3,4']bipyridinyl **675590-34-8P**, (+)-4-(5-Phenylpyridin-3-yl)-1,4-
 diazabicyclo[3.2.1]octane **675590-38-2P**, (+)-4-(5-Phenylpyridazin-
 3-yl)-1,4-diazabicyclo[3.2.1]octane **675590-40-6P**,
 (+)-4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane
675590-44-0P, (+)-4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.2.1]octane **675590-48-4P**, (+)-4-[5-(2-
 Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675590-49-5P, (+)-4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.2.1]octane **675590-50-8P**, (+)-4-[5-(2-
 Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675590-51-9P, (+)-4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.2.1]octane **675590-58-6P**, (+)-4-[5-(2-
 Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675590-59-7P, (+)-4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4-
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 (+)-4-[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4-
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675590-66-6P, (+)-4-[5-(o-Tolyl)pyridin-2-yl]-1,4-
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 Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane
675590-68-8P, (+)-4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-
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 Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675590-70-2P, (+)-4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.2.1]octane **675590-71-3P**, (+)-4-[5-(3-
 Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675590-72-4P, (+)-4-[5-(p-Tolyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.2.1]octane **675590-73-5P**, (+)-4-[5-(4-
 Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675590-75-7P, (+)-5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)-
 [3,4']bipyridinyl **675590-78-0P**, (-)-4-(5-Phenylpyridin-3-yl)-1,4-
 diazabicyclo[3.2.1]octane **675590-84-8P**, (-)-4-(5-Phenylpyridazin-
 3-yl)-1,4-diazabicyclo[3.2.1]octane **675590-86-0P**,
 (-)-4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane
675590-90-6P, (-)-4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.2.1]octane **675590-94-0P**, (-)-4-[5-(2-
 Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675590-95-1P, (-)-4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.2.1]octane **675590-96-2P**, (-)-4-[5-(2-
 Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675590-97-3P, (-)-4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.2.1]octane **675591-01-2P**, (-)-4-[5-(2-
 Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675591-02-3P, (-)-4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.2.1]octane **675591-03-4P**, (-)-4-[5-(o-
 Tolyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane **675591-07-8P**,
 (-)-4-[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4-
 diazabicyclo[3.2.1]octane **675591-08-9P**, (-)-4-[5-(4-

Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane
675591-09-0P, (-)-4-[5-(o-Tolyl)pyridin-2-yl]-1,4-
 diazabicyclo[3.2.1]octane **675591-10-3P**, (-)-4-[5-(3-
 Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane
675591-11-4P, (-)-4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-
 diazabicyclo[3.2.1]octane **675591-12-5P**, (-)-4-[5-(4-
 Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675591-13-6P, (-)-4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.2.1]octane **675591-14-7P**, (-)-4-[5-(3-
 Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675591-15-8P, (-)-4-[5-(p-Tolyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.2.1]octane **675591-16-9P**, (-)-4-[5-(4-
 Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675591-18-1P, (-)-5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)-
 [3,4']bipyridinyl **675592-85-5P**, 4-(5-Phenylpyridin-3-yl)-1,4-
 diazabicyclo[3.3.2]decane **675592-89-9P**, 4-(5-Phenylpyridazin-3-
 yl)-1,4-diazabicyclo[3.3.2]decane **675592-91-3P**,
 4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.3.2]decane
675592-95-7P, 4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.3.2]decane **675592-99-1P**, 4-[5-(2-
 Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-00-7P, 4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.3.2]decane **675593-01-8P**, 4-[5-(2-
 Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-02-9P, 4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.3.2]decane **675593-06-3P**, 4-[5-(2-
 Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-07-4P, 4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.3.2]decane **675593-08-5P**, 4-[5-(o-Tolyl)pyridin-3-
 yl]-1,4-diazabicyclo[3.3.2]decane **675593-13-2P**,
 4-[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane
675593-14-3P, 4-[5-(4-Chlorophenyl)pyridin-2-yl]-1,4-
 diazabicyclo[3.3.2]decane **675593-15-4P**, 4-[5-(o-Tolyl)pyridin-2-
 yl]-1,4-diazabicyclo[3.3.2]decane **675593-16-5P**,
 4-[5-(3-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane
675593-17-6P, 4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-
 diazabicyclo[3.3.2]decane **675593-18-7P**, 4-[5-(4-
 Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-19-8P, 4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.3.2]decane **675593-20-1P**, 4-[5-(3-
 Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-21-2P, 4-[5-(p-Tolyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.3.2]decane **675593-22-3P**, 4-[5-(4-
 Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-24-5P, 5-(1,4-Diazabicyclo[3.3.2]dec-4-yl)-
 [3,4']bipyridinyl **675593-27-8P**, (+)-4-(5-Phenylpyridin-3-yl)-1,4-
 diazabicyclo[3.3.2]decane **675593-31-4P**, (+)-4-(5-Phenylpyridazin-
 3-yl)-1,4-diazabicyclo[3.3.2]decane **675593-33-6P**,
 (+)-4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.3.2]decane
675593-37-0P, (+)-4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.3.2]decane **675593-41-6P**, (+)-4-[5-(2-
 Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-42-7P, (+)-4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.3.2]decane **675593-43-8P**, (+)-4-[5-(2-
 Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-44-9P, (+)-4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4-
 diazabicyclo[3.3.2]decane **675593-48-3P**, (+)-4-[5-(2-
 Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane

675593-49-4P, (+)-4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-50-7P** **675593-54-1P**, (+)-4-[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane **675593-55-2P**, (+)-4-[5-(4-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane **675593-56-3P**, (+)-4-[5-(o-Tolyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane **675593-57-4P**, (+)-4-[5-(3-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane **675593-58-5P**, (+)-4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane **675593-59-6P**, (+)-4-[5-(4-Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-60-9P**, (+)-4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-61-0P**, (+)-4-[5-(3-Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-62-1P**, (+)-4-[5-(p-Tolyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-63-2P**, (+)-4-[5-(4-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-65-4P**, (+)-5-(1,4-Diazabicyclo[3.3.2]dec-4-yl)-[3,4']bipyridinyl **675593-68-7P**, (-)-4-(5-Phenylpyridin-3-yl)-1,4-diazabicyclo[3.3.2]decane **675593-72-3P**, (-)-4-(5-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.3.2]decane **675593-74-5P**, (-)-4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.3.2]decane **675593-78-9P**, (-)-4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-82-5P**, (-)-4-[5-(2-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-83-6P**, (-)-4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-84-7P**, (-)-4-[5-(2-Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-85-8P**, (-)-4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-89-2P**, (-)-4-[5-(2-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-90-5P**, (-)-4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-91-6P**, (-)-4-[5-(o-Tolyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675593-95-0P**, (-)-4-[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane **675593-96-1P**, (-)-4-[5-(4-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane **675593-97-2P**, (-)-4-[5-(o-Tolyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane **675593-98-3P**, (-)-4-[5-(3-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane **675593-99-4P**, (-)-4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane **675594-00-0P**, (-)-4-[5-(4-Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675594-01-1P**, (-)-4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675594-02-2P**, (-)-4-[5-(3-Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675594-03-3P**, (-)-4-[5-(p-Tolyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675594-04-4P**, (-)-4-[5-(4-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane **675594-06-6P**, (-)-5-(1,4-Diazabicyclo[3.3.2]dec-4-yl)-[3,4']bipyridinyl

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

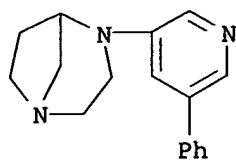
(drug candidate; preparation of diazabicyclic compds. as nicotinic receptor ligands useful in treatment of CNS and other disorders)

RN 675589-83-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridinyl)- (9CI) (CA INDEX

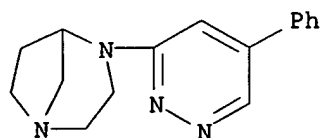
10/528,361

NAME)



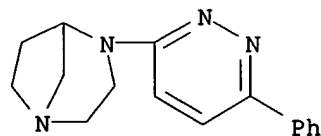
RN 675589-88-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)



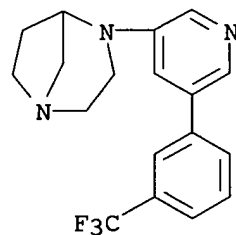
RN 675589-89-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-phenyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)



RN 675589-93-2 CAPLUS

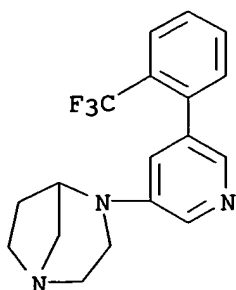
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RN 675589-98-7 CAPLUS

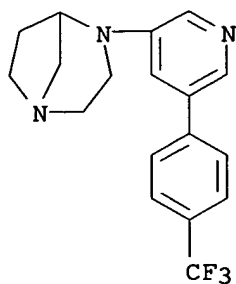
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

10/528,361



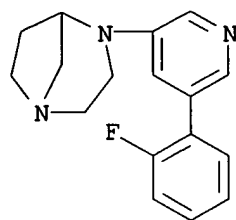
RN 675590-00-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 675590-02-0 CAPLUS

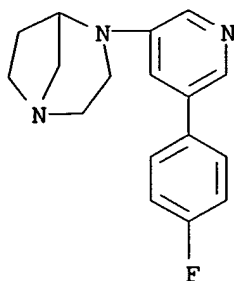
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-fluorophenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 675590-03-1 CAPLUS

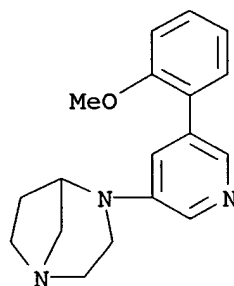
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-fluorophenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

10/528,361



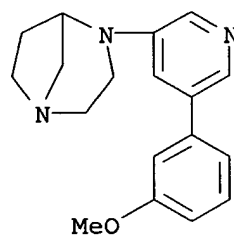
RN 675590-08-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)



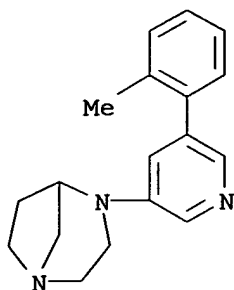
RN 675590-09-7 CAPLUS

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(CA INDEX NAME)



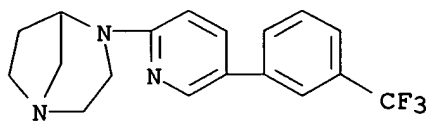
RN 675590-11-1 CAPLUS

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(CA INDEX NAME)



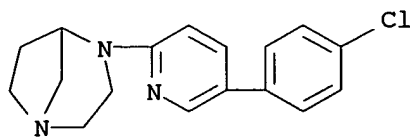
RN 675590-16-6 CAPLUS

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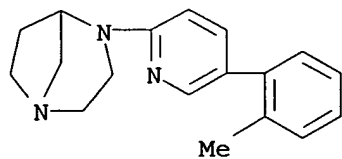
RN 675590-17-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 675590-18-8 CAPLUS

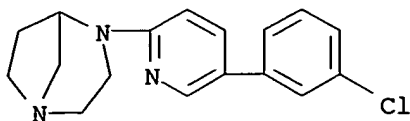
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 675590-19-9 CAPLUS

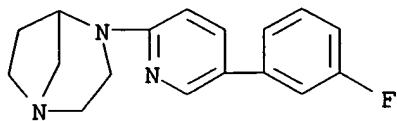
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

10/528,361



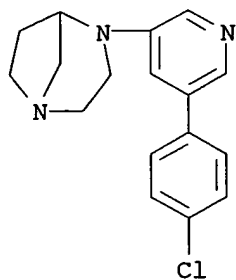
RN 675590-20-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-fluorophenyl)-2-pyridinyl]- (9CI)
(CA INDEX NAME)



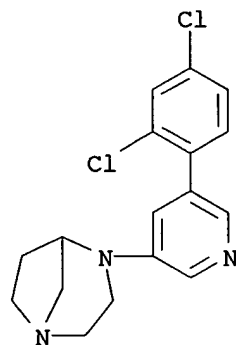
RN 675590-21-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)



RN 675590-23-5 CAPLUS

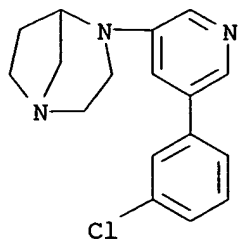
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl]-
(9CI) (CA INDEX NAME)



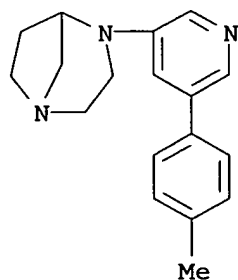
RN 675590-25-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)

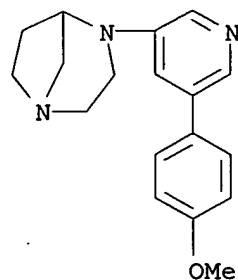
10/528,361



RN 675590-27-9 CAPLUS
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(CA INDEX NAME)

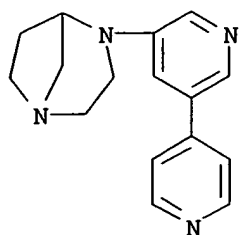


RN 675590-29-1 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)



RN 675590-31-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[3,4'-bipyridin]-5-yl- (9CI) (CA INDEX NAME)

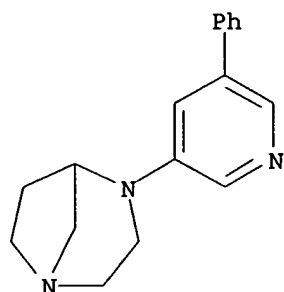
10/528,361



RN 675590-34-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

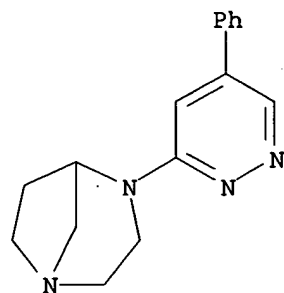
Rotation (+).



RN 675590-38-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridazinyl)-, (+)- (9CI) (CA INDEX NAME)

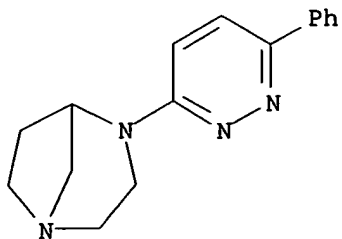
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RN 675590-40-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-phenyl-3-pyridazinyl)-, (+)- (9CI) (CA INDEX NAME)

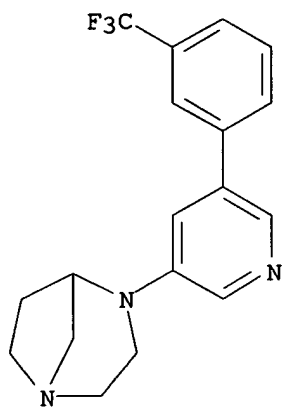
Rotation (+).



RN 675590-44-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

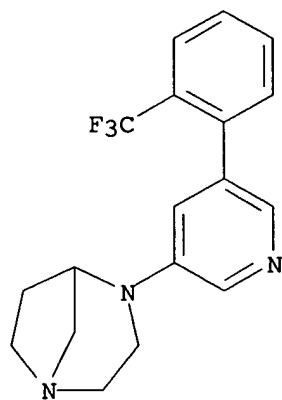
Rotation (+).



RN 675590-48-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

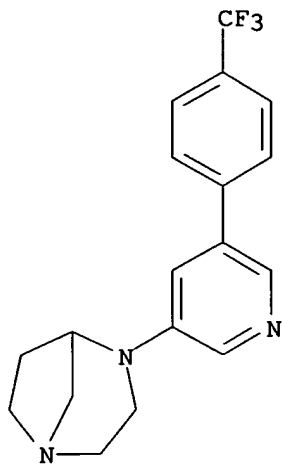


RN 675590-49-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

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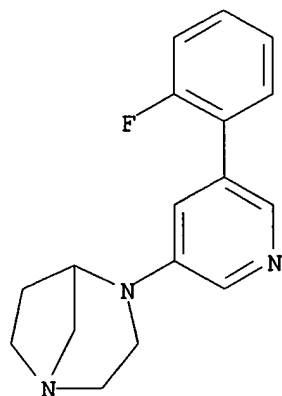
Rotation (+).



RN 675590-50-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-fluorophenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

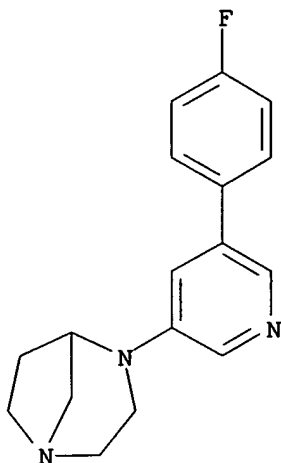


RN 675590-51-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-fluorophenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

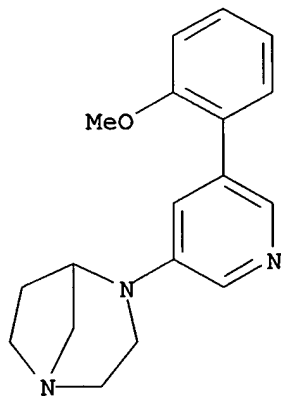
10/528,361



RN 675590-58-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

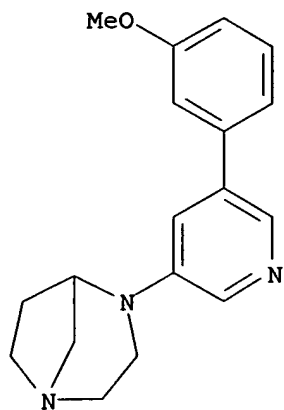


RN 675590-59-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

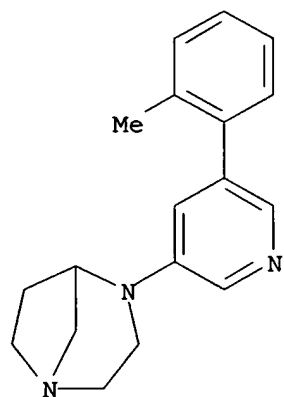
10/528,361



RN 675590-60-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

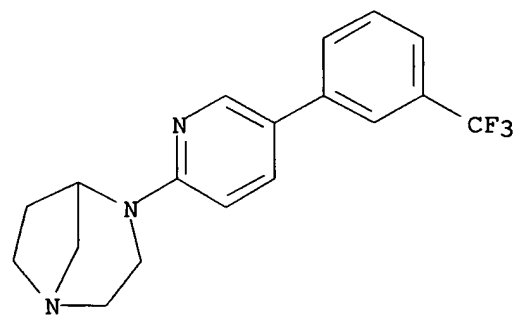
Rotation (+).



RN 675590-64-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-2-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

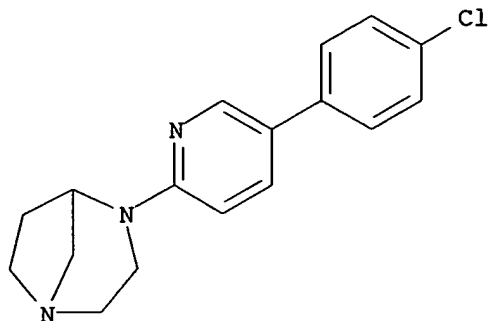


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RN 675590-65-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-2-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

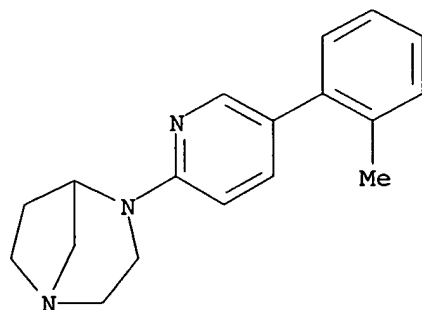
Rotation (+).



RN 675590-66-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-2-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

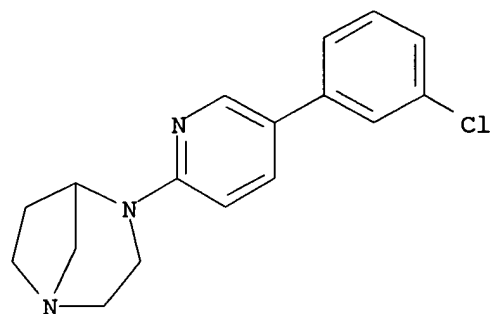
Rotation (+).



RN 675590-67-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-2-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

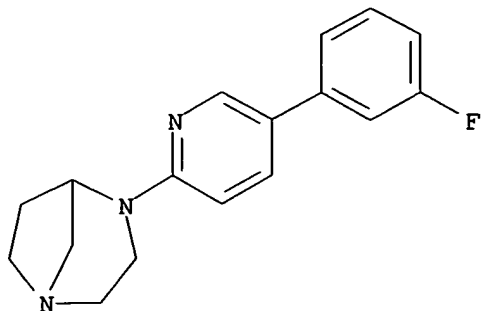


RN 675590-68-8 CAPLUS

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CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-fluorophenyl)-2-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

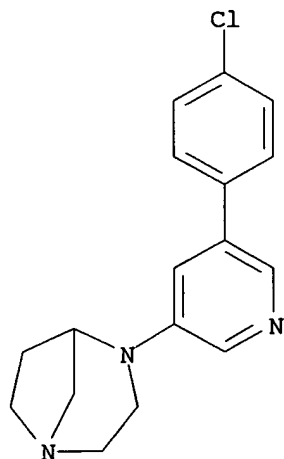
Rotation (+).



RN 675590-69-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

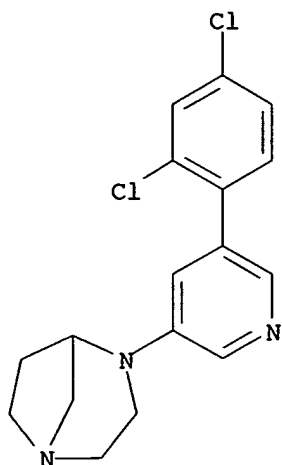


RN 675590-70-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

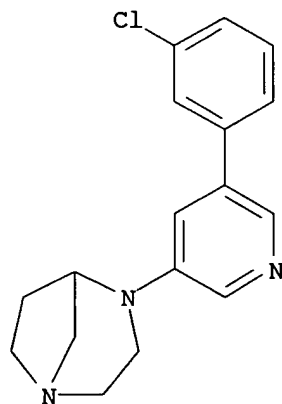
10/528,361



RN 675590-71-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

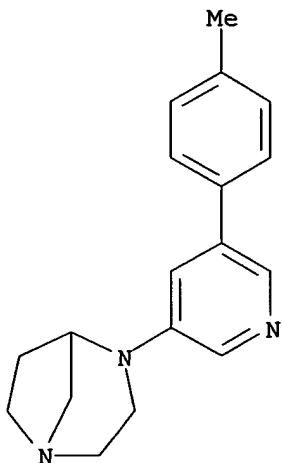


RN 675590-72-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methylphenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

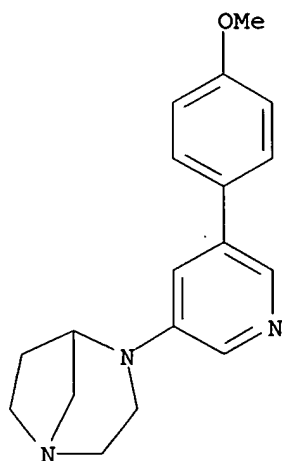
10/528,361



RN 675590-73-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

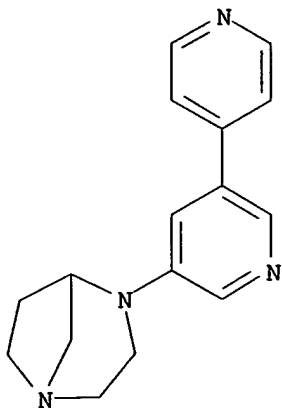


RN 675590-75-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[3,4'-bipyridin]-5-yl-, (+)- (9CI) (CA
INDEX NAME)

Rotation (+).

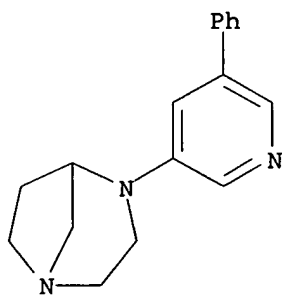
10/528,361



RN 675590-78-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

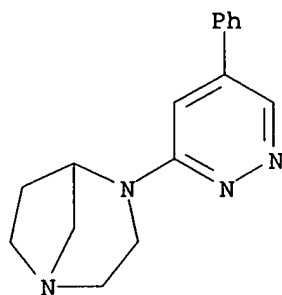
Rotation (-).



RN 675590-84-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridazinyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

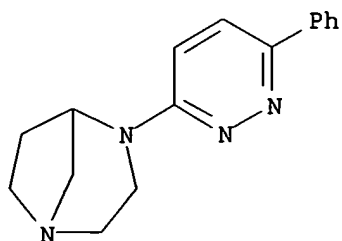


RN 675590-86-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-phenyl-3-pyridazinyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

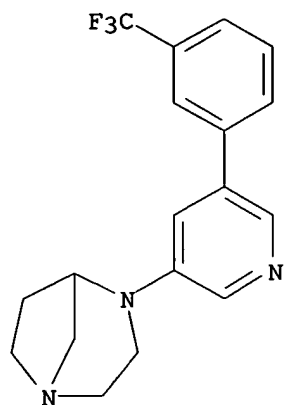
10/528,361



RN 675590-90-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

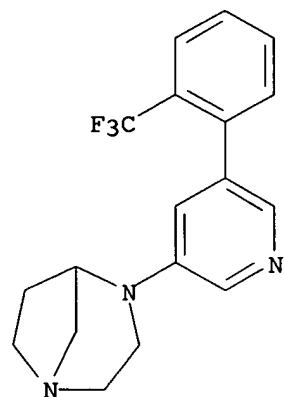
Rotation (-).



RN 675590-94-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

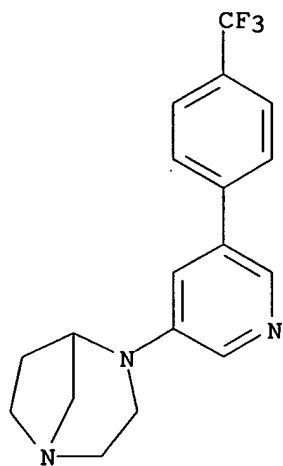


RN 675590-95-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

10/528,361

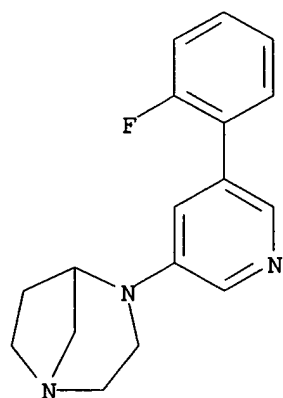
Rotation (-).



RN 675590-96-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-fluorophenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

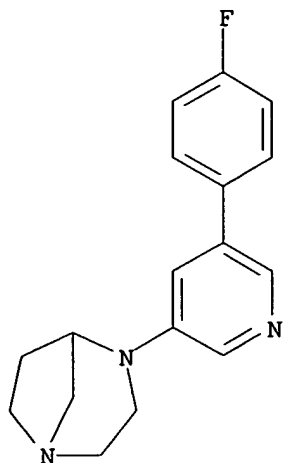


RN 675590-97-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-fluorophenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

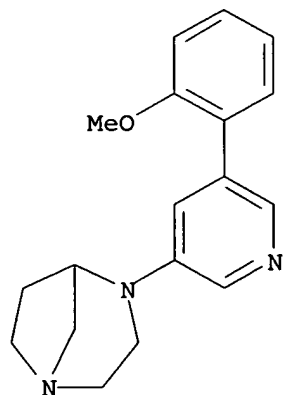
10/528,361



RN 675591-01-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

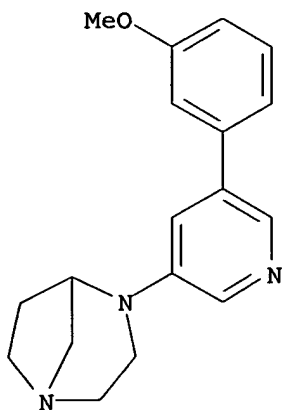


RN 675591-02-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

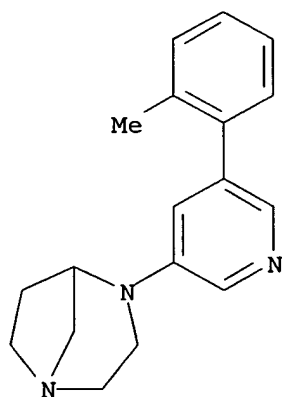
10/528,361



RN 675591-03-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

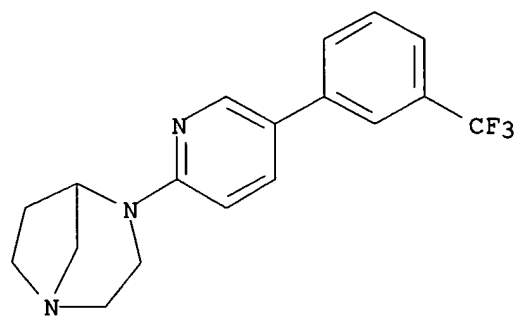
Rotation (-).



RN 675591-07-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-2-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

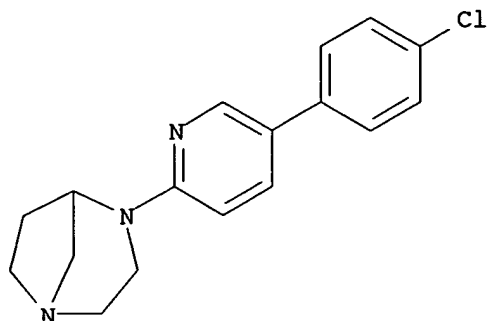


10/528,361

RN 675591-08-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-2-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

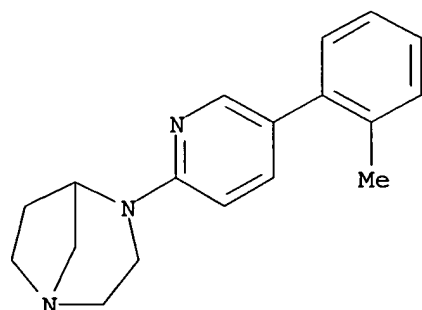
Rotation (-).



RN 675591-09-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-2-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

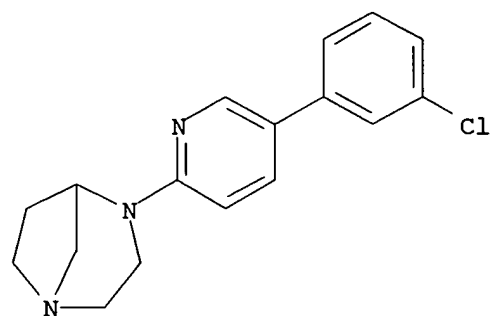
Rotation (-).



RN 675591-10-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-2-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

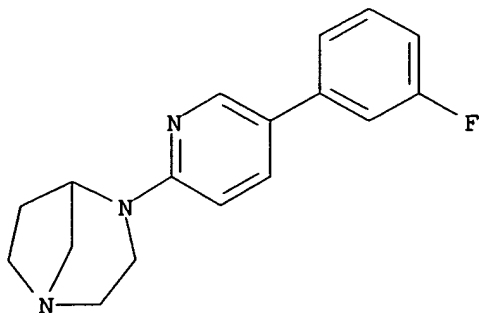


RN 675591-11-4 CAPLUS

10/528,361

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-fluorophenyl)-2-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

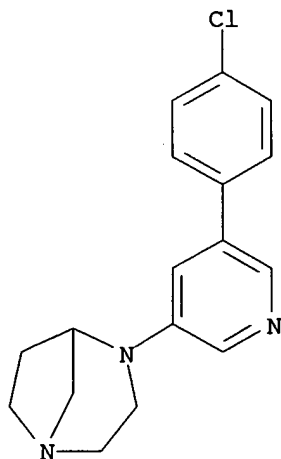
Rotation (-).



RN 675591-12-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

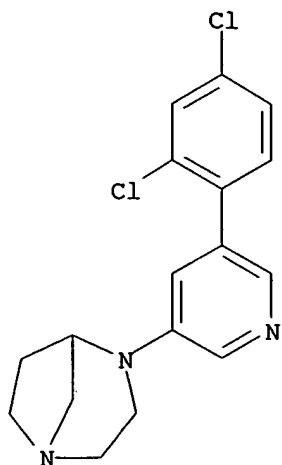
Rotation (-).



RN 675591-13-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

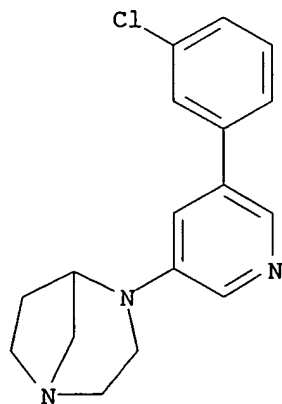
Rotation (-).



RN 675591-14-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

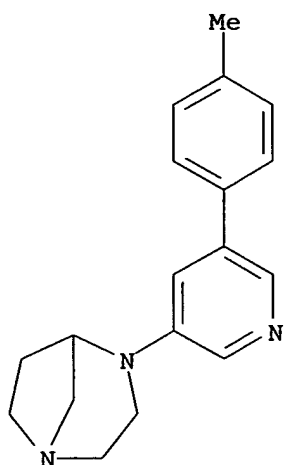


RN 675591-15-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methylphenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

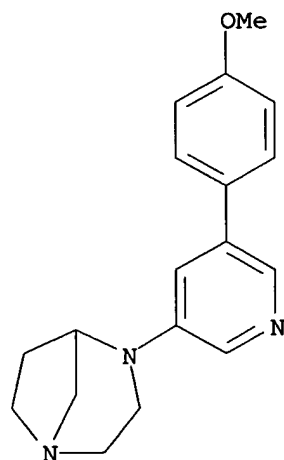
10/528,361



RN 675591-16-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

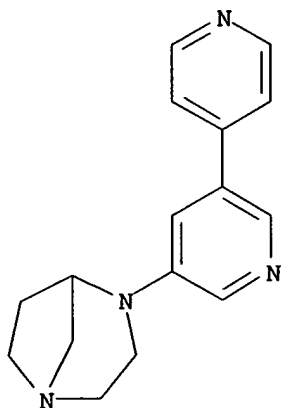


RN 675591-18-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[3,4'-bipyridin]-5-yl-, (-)- (9CI) (CA INDEX NAME)

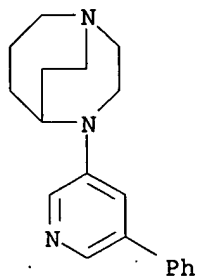
Rotation (-).

10/528,361



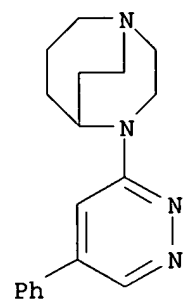
RN 675592-85-5 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-(5-phenyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



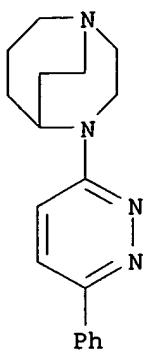
RN 675592-89-9 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-(5-phenyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)



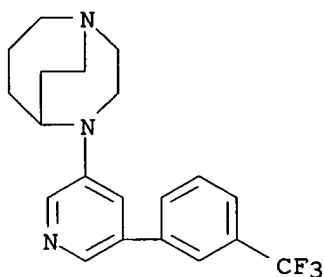
RN 675592-91-3 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-(6-phenyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)



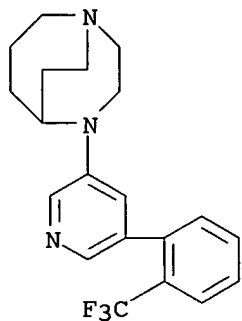
RN 675592-95-7 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



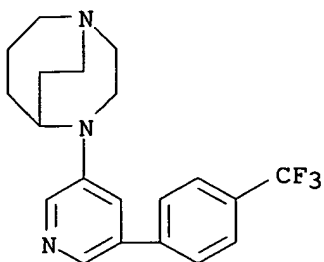
RN 675592-99-1 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



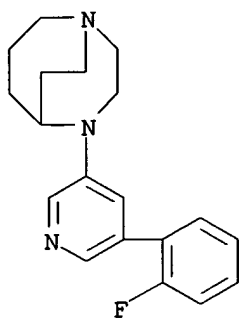
RN 675593-00-7 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



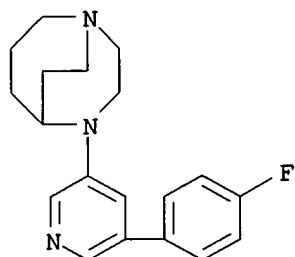
RN 675593-01-8 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-fluorophenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)



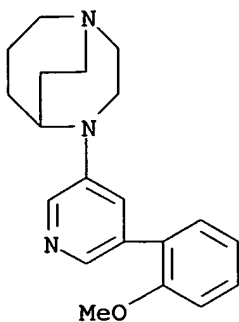
RN 675593-02-9 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-fluorophenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)



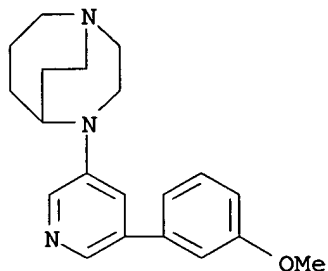
RN 675593-06-3 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)



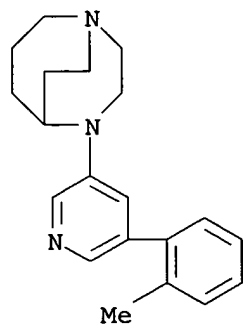
RN 675593-07-4 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)



RN 675593-08-5 CAPLUS

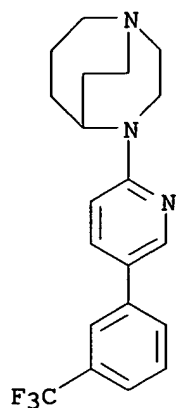
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)



RN 675593-13-2 CAPLUS

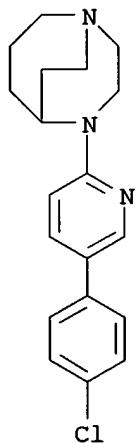
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

10/528,361



RN 675593-14-3 CAPLUS

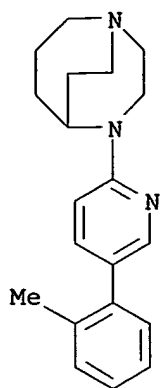
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-chlorophenyl)-2-pyridinyl]- (9CI)
(CA INDEX NAME)



RN 675593-15-4 CAPLUS

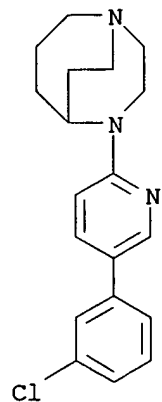
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methylphenyl)-2-pyridinyl]- (9CI)
(CA INDEX NAME)

10/528,361



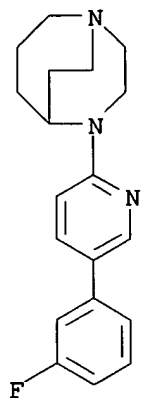
RN 675593-16-5 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-chlorophenyl)-2-pyridinyl]- (9CI)
(CA INDEX NAME)



RN 675593-17-6 CAPLUS

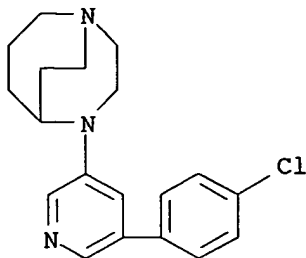
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-fluorophenyl)-2-pyridinyl]- (9CI)
(CA INDEX NAME)



10/528,361

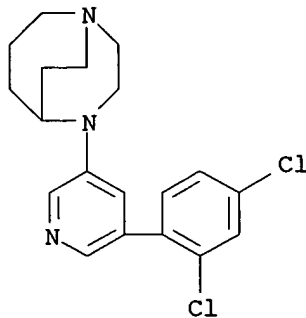
RN 675593-18-7 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-chlorophenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)



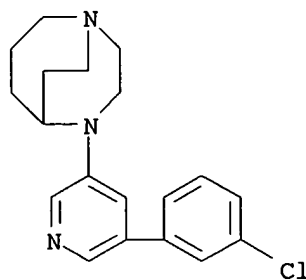
RN 675593-19-8 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 675593-20-1 CAPLUS

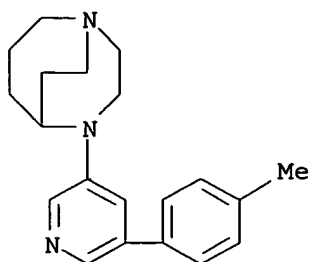
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-chlorophenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)



RN 675593-21-2 CAPLUS

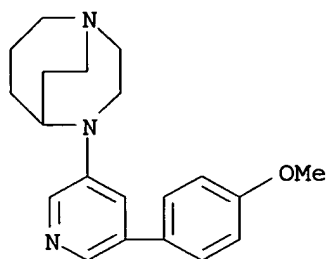
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-methylphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)

10/528,361



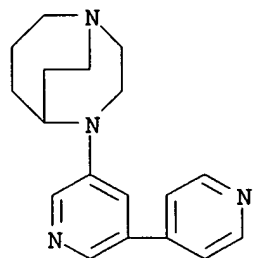
RN 675593-22-3 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)



RN 675593-24-5 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[3,4'-bipyridin]-5-yl- (9CI) (CA INDEX NAME)

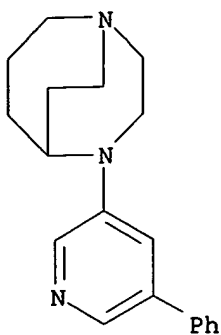


RN 675593-27-8 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-(5-phenyl-3-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

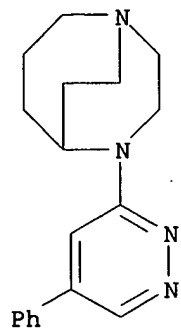
10/528,361



RN 675593-31-4 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-(5-phenyl-3-pyridazinyl)-, (+)- (9CI)
(CA INDEX NAME)

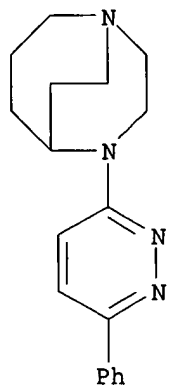
Rotation (+).



RN 675593-33-6 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-(6-phenyl-3-pyridazinyl)-, (+)- (9CI)
(CA INDEX NAME)

Rotation (+).

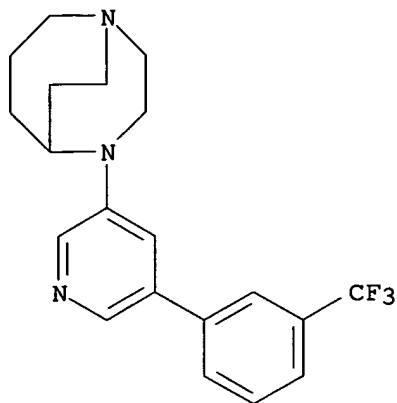


RN 675593-37-0 CAPLUS

10/528,361

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

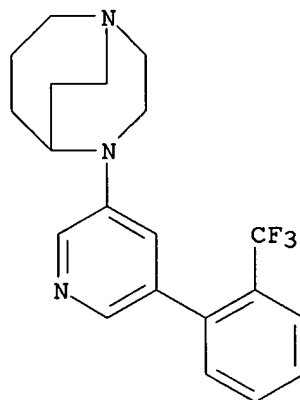
Rotation (+).



RN 675593-41-6 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

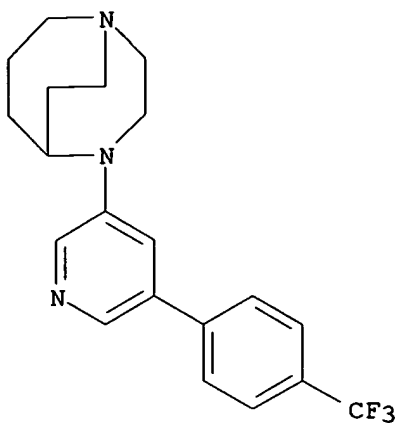


RN 675593-42-7 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

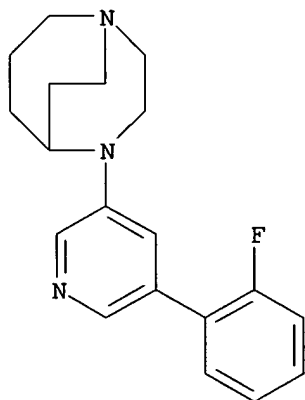
10/528,361



RN 675593-43-8 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-fluorophenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

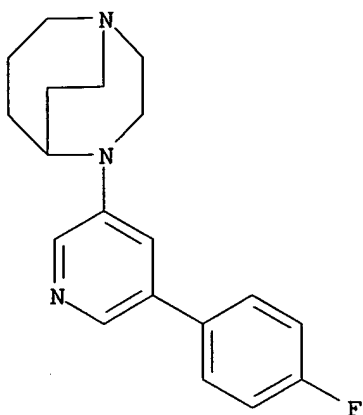


RN 675593-44-9 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-fluorophenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

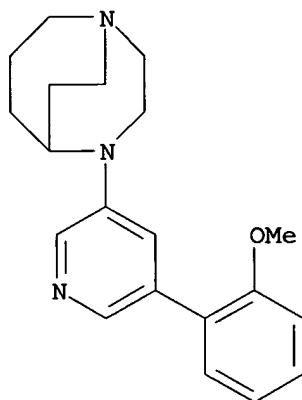
10/528,361



RN 675593-48-3 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

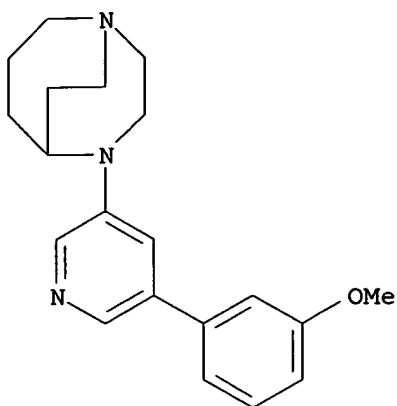


RN 675593-49-4 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

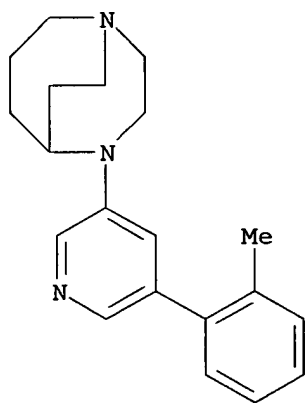
10/528,361



RN 675593-50-7 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methylphenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

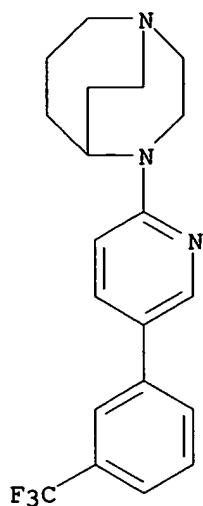


RN 675593-54-1 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[3-(trifluoromethyl)phenyl]-2-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

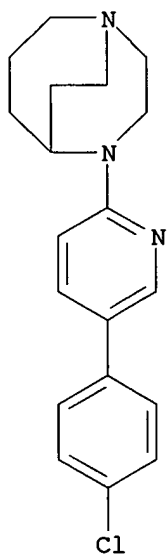
10/528,361



RN 675593-55-2 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-chlorophenyl)-2-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

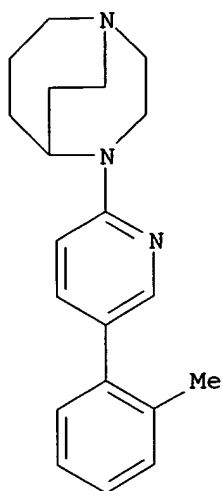
Rotation (+).



RN 675593-56-3 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methylphenyl)-2-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

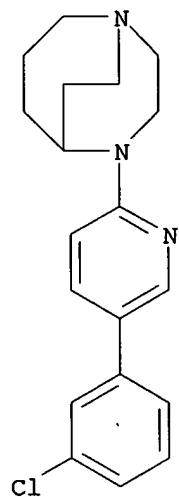
Rotation (+).



RN 675593-57-4 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-chlorophenyl)-2-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

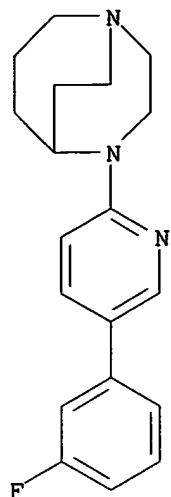


RN 675593-58-5 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-fluorophenyl)-2-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

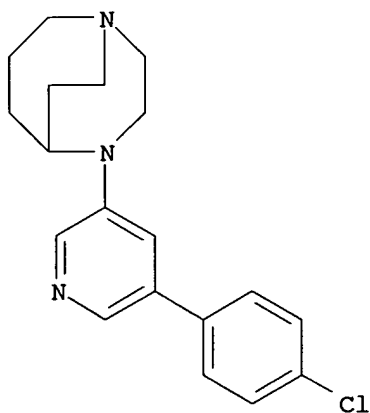
10/528,361



RN 675593-59-6 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-chlorophenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

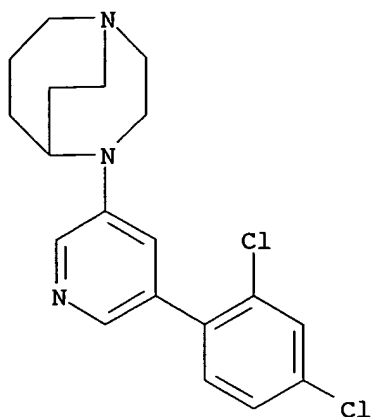


RN 675593-60-9 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl]-,
(+)- (9CI) (CA INDEX NAME)

Rotation (+).

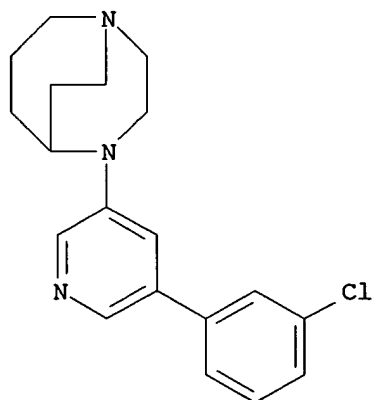
10/528,361



RN 675593-61-0 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-chlorophenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

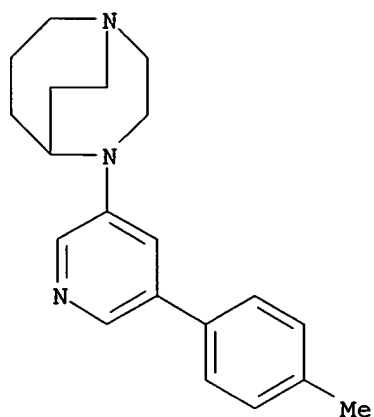


RN 675593-62-1 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-methylphenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

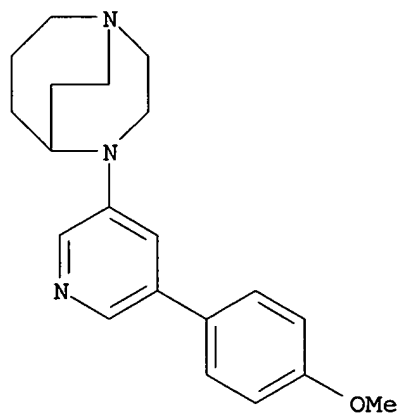
10/528,361



RN 675593-63-2 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]-, (+)-
(9CI) (CA INDEX NAME)

Rotation (+).

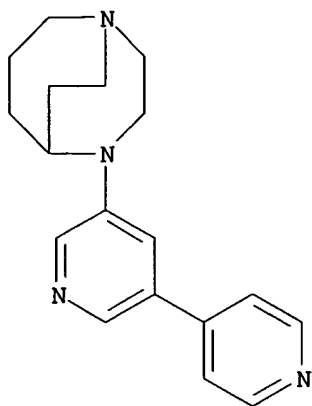


RN 675593-65-4 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[3,4'-bipyridin]-5-yl-, (+)- (9CI) (CA
INDEX NAME)

Rotation (+).

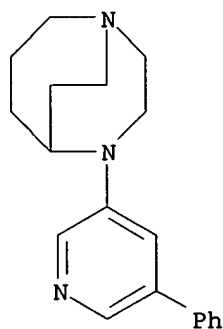
10/528,361



RN 675593-68-7 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-(5-phenyl-3-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

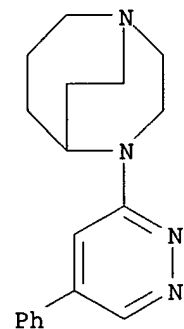
Rotation (-).



RN 675593-72-3 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-(5-phenyl-3-pyridazinyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

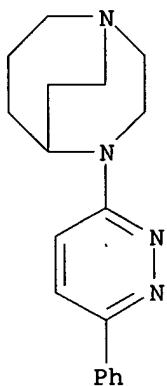


RN 675593-74-5 CAPLUS

10/528,361

CN 1,4-Diazabicyclo[3.3.2]decane, 4-(6-phenyl-3-pyridazinyl)-, (-)- (9CI)
(CA INDEX NAME)

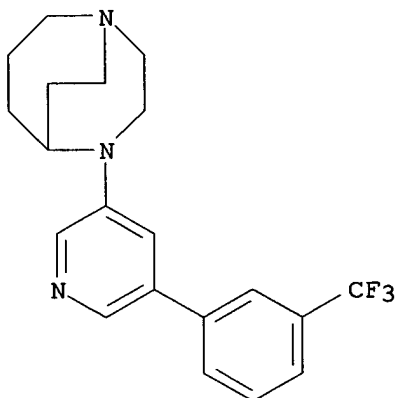
Rotation (-).



RN 675593-78-9 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

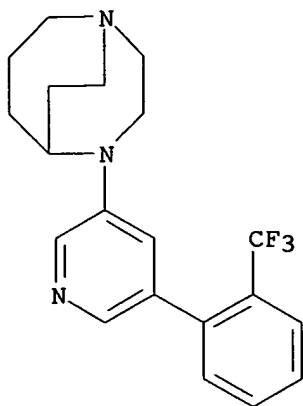


RN 675593-82-5 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

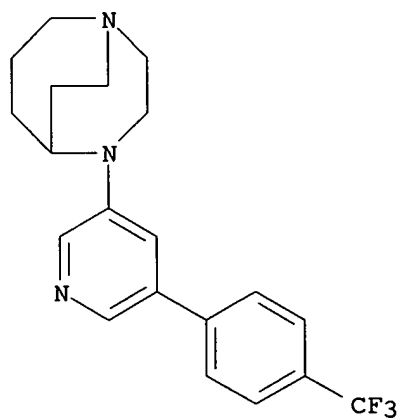
10/528,361



RN 675593-83-6 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

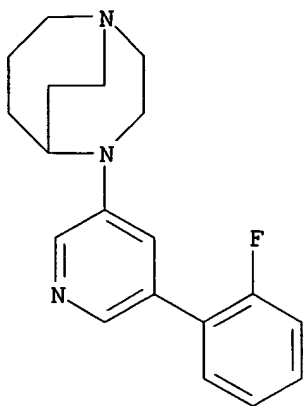


RN 675593-84-7 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-fluorophenyl)-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

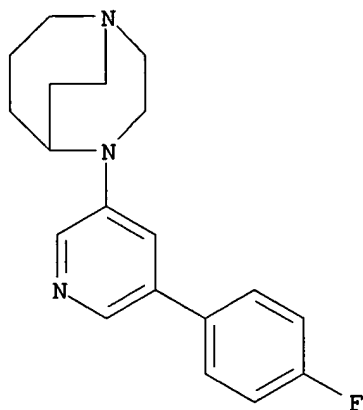
10/528,361



RN 675593-85-8 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-fluorophenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

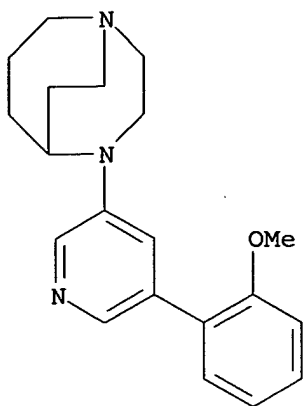


RN 675593-89-2 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

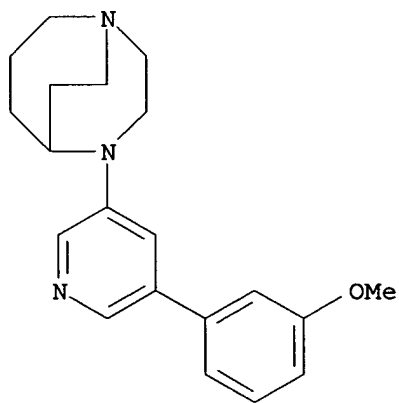
10/528,361



RN 675593-90-5 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

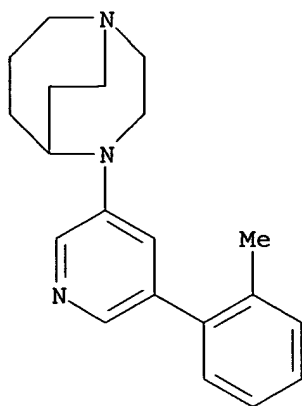


RN 675593-91-6 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methylphenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

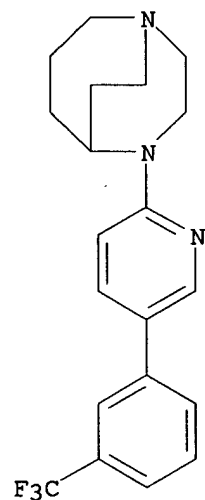
10/528,361



RN 675593-95-0 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[3-(trifluoromethyl)phenyl]-2-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

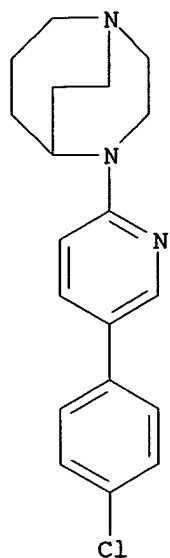


RN 675593-96-1 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-chlorophenyl)-2-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

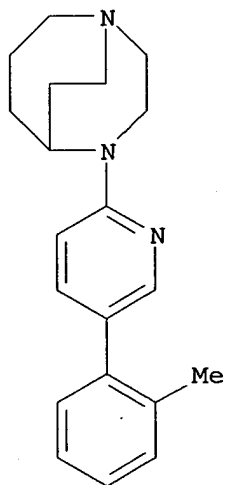
10/528,361



RN 675593-97-2 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methylphenyl)-2-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

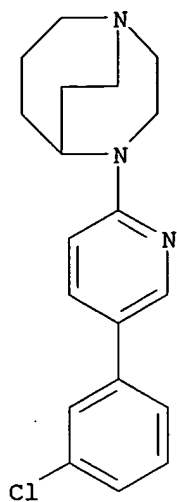


RN 675593-98-3 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-chlorophenyl)-2-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

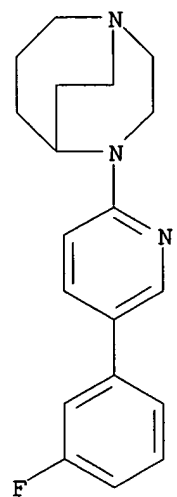
10/528,361



RN 675593-99-4 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-fluorophenyl)-2-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

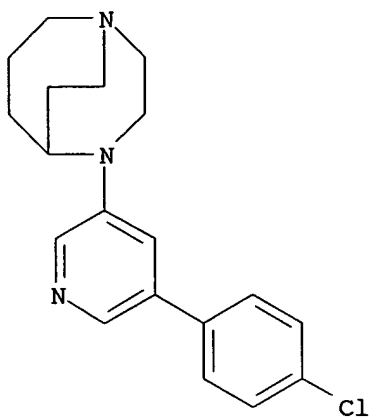


RN 675594-00-0 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-chlorophenyl)-3-pyridinyl]-, (-)-
(9CI) (CA INDEX NAME)

Rotation (-).

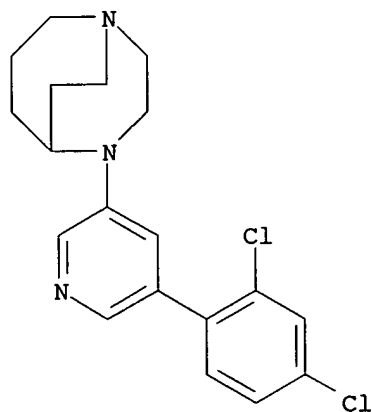
10/528,361



RN 675594-01-1 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

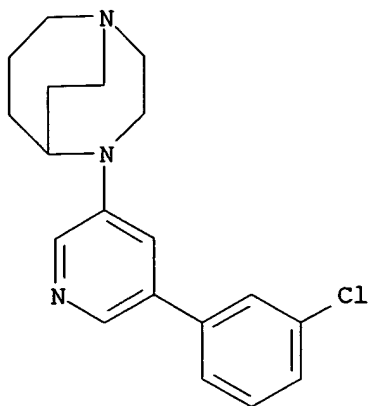


RN 675594-02-2 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-chlorophenyl)-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

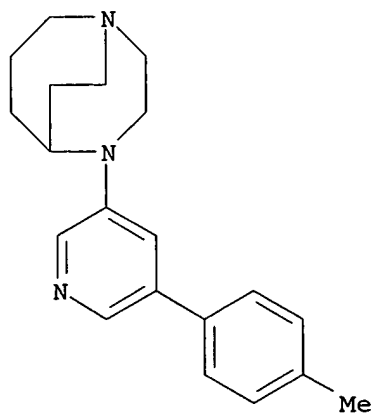
10/528,361



RN 675594-03-3 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-methylphenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

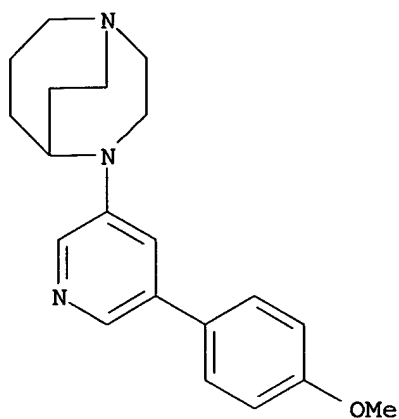


RN 675594-04-4 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

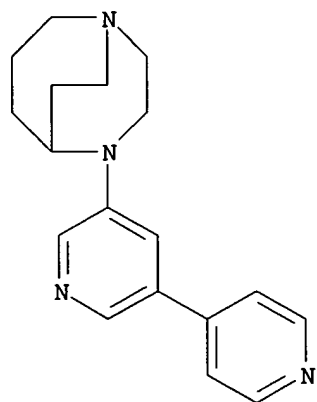
10/528,361



RN 675594-06-6 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[3,4'-bipyridin]-5-yl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



REFERENCE COUNT:

10

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 8 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:417754 CAPLUS

DOCUMENT NUMBER: 138:401768

TITLE: 4-(Oxazolopyridin-2-yl)-1,4-diazabicyclo[3.2.2]nonane derivatives as nicotinic $\alpha 7$ ligands

INVENTOR(S): Galli, Frederic; Leclerc, Odile; Lockheed, Alistair

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: PCT Int. Appl., 16 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

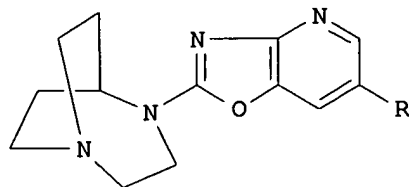
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003044024	A1	20030530	WO 2002-FR3978	20021120
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
FR 2832714	A1	20030530	FR 2001-15152	20011123
FR 2832714	B1	20040716		
AU 2002356251	A1	20030610	AU 2002-356251	20021120
EP 1451197	A1	20040901	EP 2002-803450	20021120
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
JP 2005509681	T2	20050414	JP 2003-545661	20021120
US 2005004128	A1	20050106	US 2004-495936	20040518
PRIORITY APPLN. INFO.:			FR 2001-15152	A 20011123
			WO 2002-FR3978	W 20021120

OTHER SOURCE(S): MARPAT 138:401768

GI



AB Title compds. I [R = Cl, Me, 3-thienyl] were prepared for use as nicotinic $\alpha 7$ ligands, active in the 0.6-10 μ M range. Thus, I [R = 3-thienyl] was prepared by treating I [R = Br] with 3-thienylboronic acid.

IT 532396-97-7P

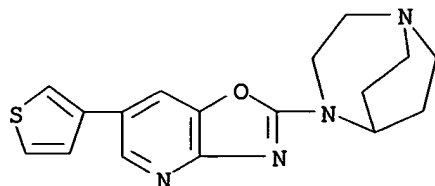
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

10/528,361

(preparation of 4-(oxazolopyridin-2-yl)-1,4-diazabicyclo[3.2.2]nonane
derivs. as nicotinic $\alpha 7$ ligands)

RN 532396-97-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-thienyl)oxazolo[4,5-b]pyridin-2-yl]-
, dihydrobromide (9CI) (CA INDEX NAME)



● 2 HBr

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:417750 CAPLUS

DOCUMENT NUMBER: 138:401767

TITLE: 4-(1,3,4-Thiadiazol-2-yl)-1,4-diazabicyclo[3.2.2]nonane derivatives as nicotinic $\alpha 7$ receptor ligands

INVENTOR(S): Galli, Frederic; Leclerc, Odile; Lochead, Alistair

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

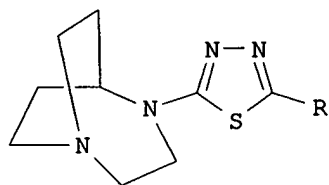
DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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FR 2832713	B1	20040213		
AU 2002356256	A1	20030610	AU 2002-356256	20021121
EP 1451189	A1	20040901	EP 2002-803453	20021121
EP 1451189	B1	20050525		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
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AT 296302	E	20050615	AT 2002-803453	20021121
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US 6998399	B2	20060214		
PRIORITY APPLN. INFO.:			FR 2001-15154	A 20011123
			WO 2002-FR3986	W 20021121
OTHER SOURCE(S):			MARPAT 138:401767	
GI				



I

AB Title compds. I [R = (un)substituted Ph, pyridinyl, thienyl, pyrazinyl] were prepared as nicotinic receptor ligands, useful for treating or preventing disorders related to nicotinic receptor dysfunction, in

particular in the central nervous system. I are active in the 0.001-0.5 μ M range. I [R = Ph] was prepared by treating 1,4-diazabicyclo[3.2.2]nonane with 2-bromo-5-phenyl-1,3,4-thiadiazole.

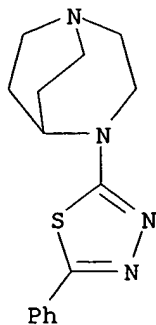
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 532400-96-7P 532400-97-8P 532400-98-9P
 532400-99-0P 532401-00-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(1,3,4-thiadiazol-2-yl)-1,4-diazabicyclo[3.2.2]nonane derivs. as nicotinic $\alpha 7$ receptor ligands)

RN 532400-66-1 CAPLUS

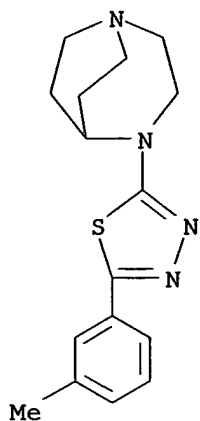
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-1,3,4-thiadiazol-2-yl)-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 532400-67-2 CAPLUS

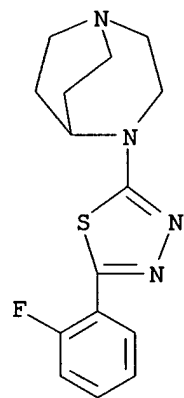
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methylphenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 532400-68-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-fluorophenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

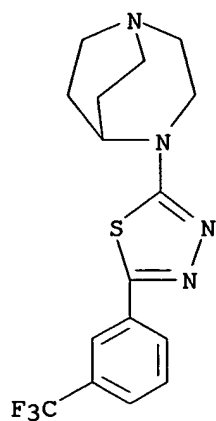


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RN 532400-69-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethyl)phenyl]-1,3,4-thiadiazol-2-yl]-, trihydrobromide (9CI) (CA INDEX NAME)

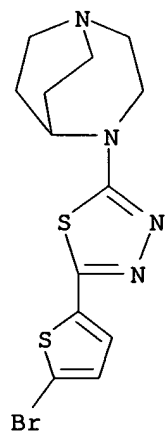
10/528,361



●3 HBr

RN 532400-70-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-bromo-2-thienyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

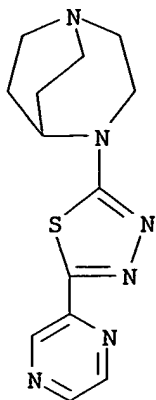


●2 HBr

RN 532400-71-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-pyrazinyl-1,3,4-thiadiazol-2-yl)-, trihydrobromide (9CI) (CA INDEX NAME)

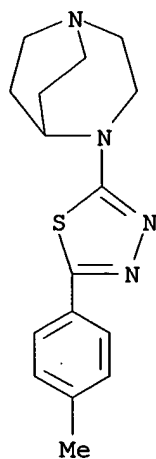
10/528,361



●3 HBr

RN 532400-72-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methylphenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

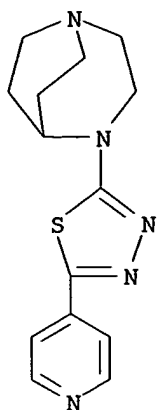


●2 HBr

RN 532400-73-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyridinyl)-1,3,4-thiadiazol-2-yl]-, trihydrobromide (9CI) (CA INDEX NAME)

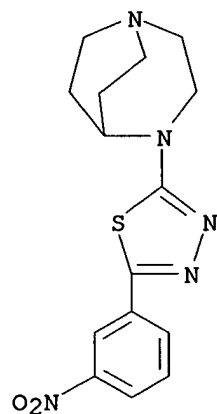
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●3 HBr

RN 532400-74-1 CAPLUS

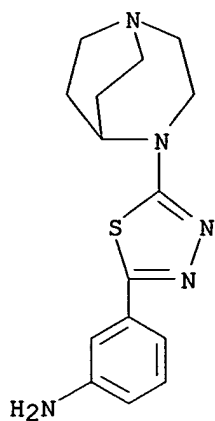
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-nitrophenyl)-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 532400-75-2 CAPLUS

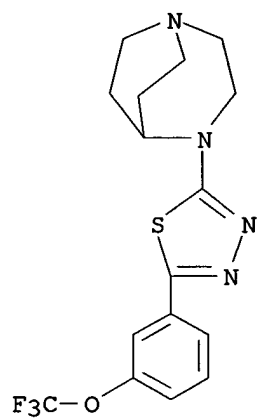
CN Benzenamine, 3-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)

10/528,361



RN 532400-76-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethoxy)phenyl]-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

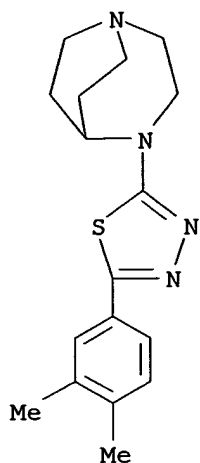


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RN 532400-77-4 CAPLUS

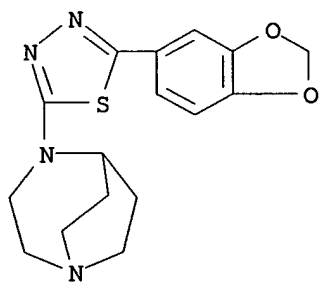
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3,4-dimethylphenyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

10/528,361



RN 532400-78-5 CAPLUS

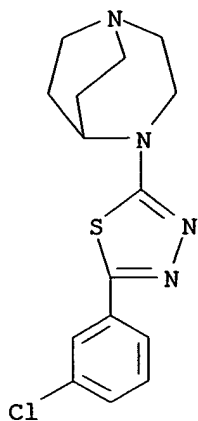
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3-benzodioxol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 532400-79-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-chlorophenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

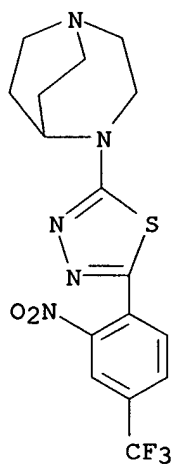
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●2 HBr

RN 532400-80-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[2-nitro-4-(trifluoromethyl)phenyl]-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

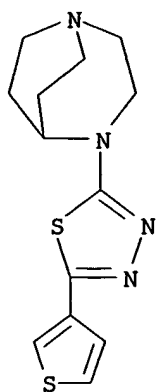


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RN 532400-81-0 CAPLUS

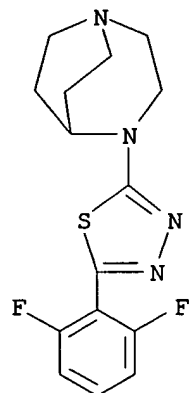
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-thienyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

10/528,361



RN 532400-82-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2,6-difluorophenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

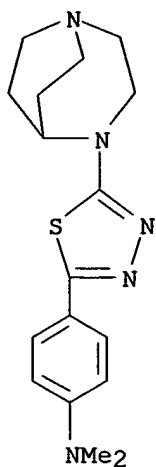


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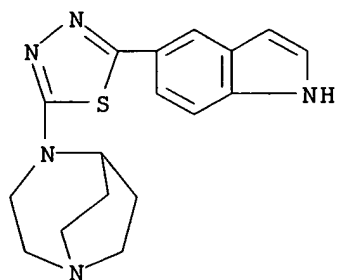
CN Benzenamine, 4-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-thiadiazol-2-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

10/528,361



RN 532400-84-3 CAPLUS

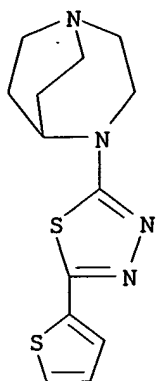
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-indol-5-yl)-1,3,4-thiadiazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 532400-85-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-1,3,4-thiadiazol-2-yl]-,
monohydrobromide (9CI) (CA INDEX NAME)

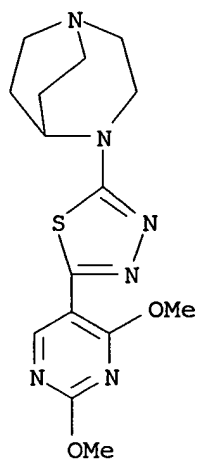
10/528,361



● HBr

RN 532400-86-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2,4-dimethoxy-5-pyrimidinyl)-1,3,4-thiadiazol-2-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

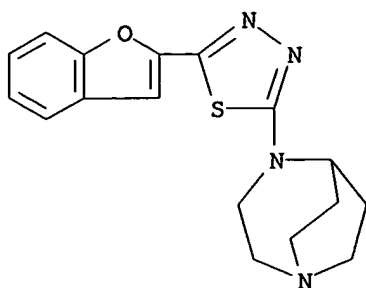


● HBr

RN 532400-87-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-benzofuranyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

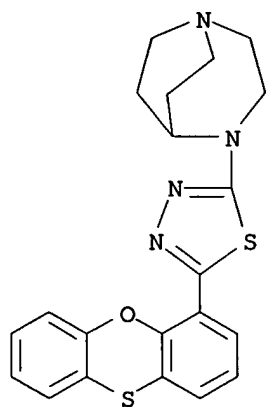
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●2 HBr

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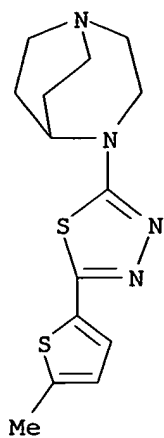
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-phenoxathiinyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

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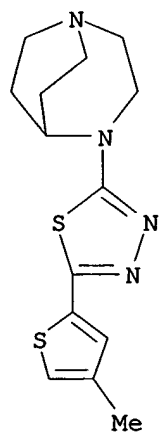
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-methyl-2-thienyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 532400-90-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methyl-2-thienyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

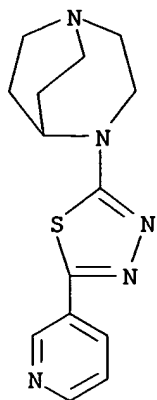


●2 HBr

RN 532400-91-2 CAPLUS

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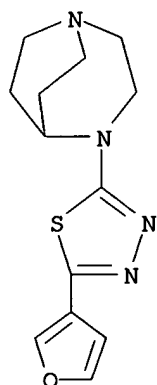
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●2 HBr

RN 532400-92-3 CAPLUS

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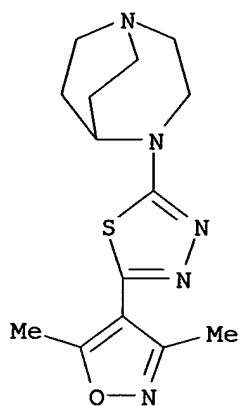


●2 HBr

RN 532400-93-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3,5-dimethyl-4-isoxazolyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

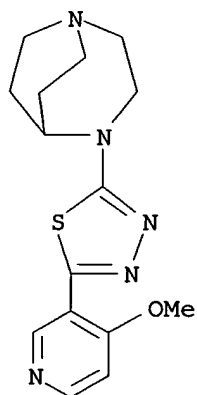
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●2 HBr

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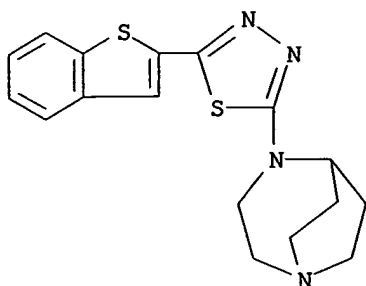
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxy-3-pyridinyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

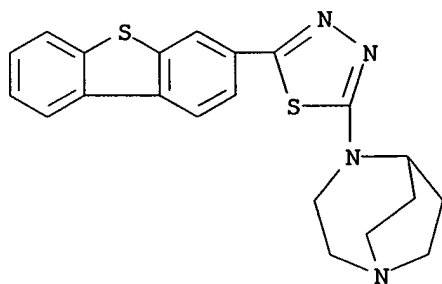
RN 532400-95-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-benzo[b]thien-2-yl)-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)



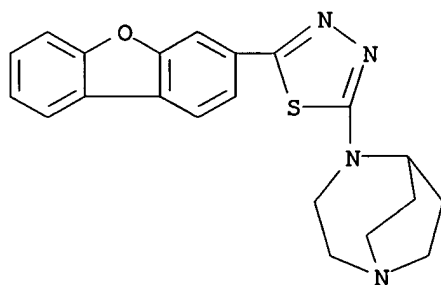
RN 532400-96-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-dibenzothiienyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 532400-97-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-dibenzofuranyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

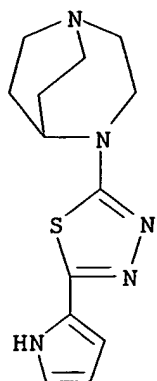


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RN 532400-98-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrrol-2-yl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

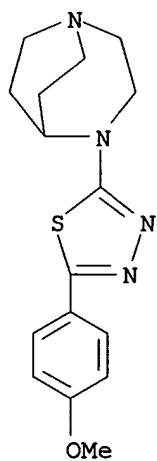
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●2 HBr

RN 532400-99-0 CAPLUS

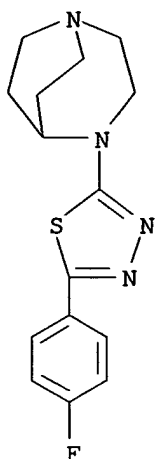
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxyphenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 532401-00-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-fluorophenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)



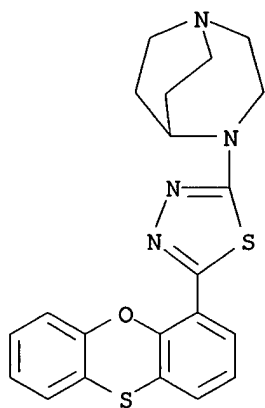
●2 HBr

IT 532401-17-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4-(1,3,4-thiadiazol-2-yl)-1,4-diazabicyclo[3.2.2]nonane
derivs. as nicotinic $\alpha 7$ receptor ligands)

RN 532401-17-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-phenoxathiinyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/528,361

110 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:417749 CAPLUS

DOCUMENT NUMBER: 138:401766

TITLE: 4-(1,2,4-Oxadiazol-3-yl)-1,4-diazabicyclo[3.2.2]nonane derivatives as nicotinic $\alpha 7$ receptor ligands

INVENTOR(S): Galli, Frederic; Leclerc, Odile; Lockheed, Alistair

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

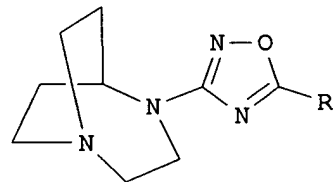
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003044019	A1	20030530	WO 2002-FR3985	20021121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2832712	A1	20030530	FR 2001-15153	20011123
FR 2832712	B1	20040213		
AU 2002361326	A1	20030610	AU 2002-361326	20021121
EP 1451188	A1	20040901	EP 2002-796853	20021121
EP 1451188	B1	20050309		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
AT 290534	E	20050315	AT 2002-796853	20021121
JP 2005510525	T2	20050421	JP 2003-545656	20021121
US 2004266757	A1	20041230	US 2004-495891	20040518
PRIORITY APPLN. INFO.:			FR 2001-15153	A 20011123
			WO 2002-FR3985	W 20021121

OTHER SOURCE(S): MARPAT 138:401766

GI



AB Title compds. I [R = (un)substituted Ph, pyridinyl, thienyl] were prepared for use as nicotinic $\alpha 7$ receptor ligands, active in the 0.007-0.30 μm range. Thus, I [R = Ph] was obtained by treating 1,4-diazabicyclo[3.2.2]nonane with 3-bromo-5-phenyl-1,2,4-oxadiazole.

IT 532410-89-2P 532410-90-5P 532410-91-6P

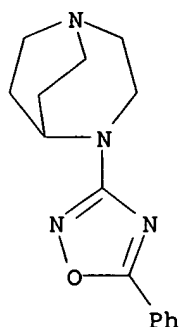
532410-92-7P 532410-93-8P 532410-94-9P
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 532410-98-3P 532410-99-4P 532411-00-0P
 532411-01-1P 532411-02-2P 532411-03-3P
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 532411-20-4P 532411-21-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of 4-(1,2,4-oxadiazol-3-yl)-1,4-diazabicyclo[3.2.2]nonane
 derivs. as nicotinic $\alpha 7$ receptor ligands)

RN 532410-89-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-1,2,4-oxadiazol-3-yl)-,
 dihydrobromide (9CI) (CA INDEX NAME)

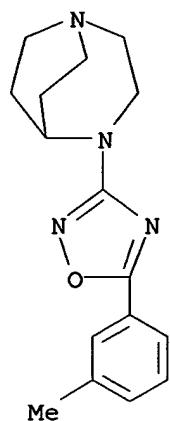


●2 HBr

RN 532410-90-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methylphenyl)-1,2,4-oxadiazol-3-yl]-,
 monohydrobromide (9CI) (CA INDEX NAME)

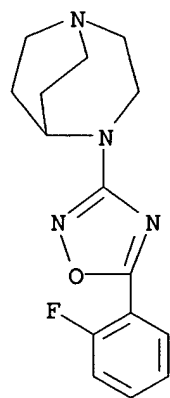
10/528,361



● HBr

RN 532410-91-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-fluorophenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

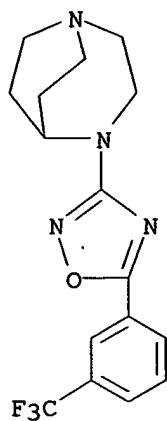


● HBr

RN 532410-92-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

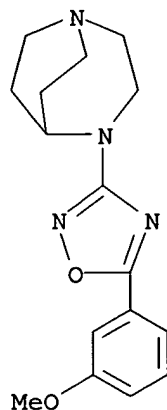
10/528,361



● HBr

RN 532410-93-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methoxyphenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

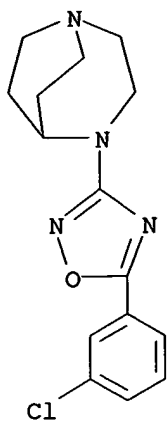


● HBr

RN 532410-94-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

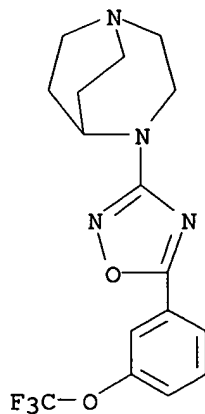
10/528,361



● HBr

RN 532410-95-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethoxy)phenyl]-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

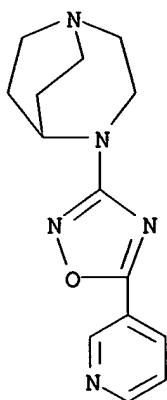


● HBr

RN 532410-96-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridinyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

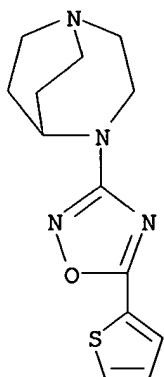
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● HBr

RN 532410-97-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

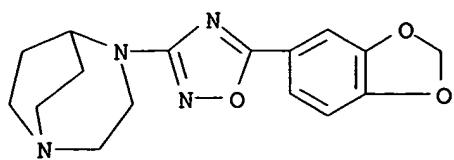


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RN 532410-98-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3-benzodioxol-5-yl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

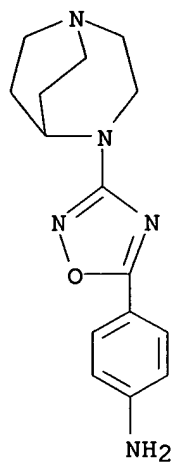
10/528,361



● HBr

RN 532410-99-4 CAPLUS

CN Benzenamine, 4-[3-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,2,4-oxadiazol-5-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

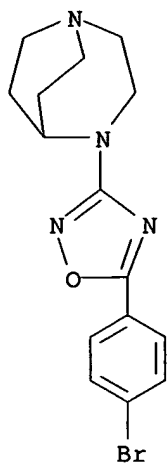


●2 HBr

RN 532411-00-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-bromophenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

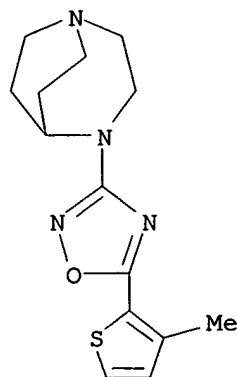
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● HBr

RN 532411-01-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methyl-2-thienyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

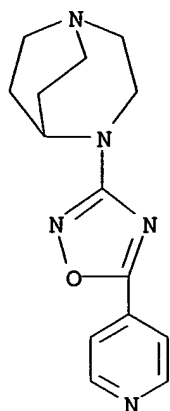


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RN 532411-02-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyridinyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

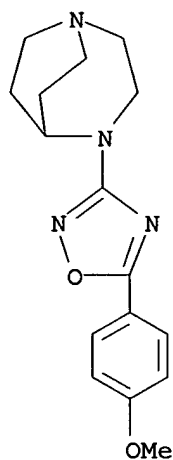
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● HBr

RN 532411-03-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxyphenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

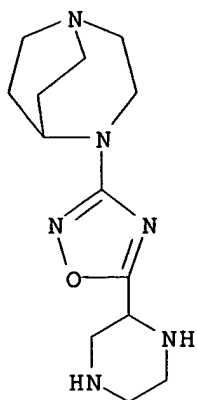


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RN 532411-05-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-piperazinyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

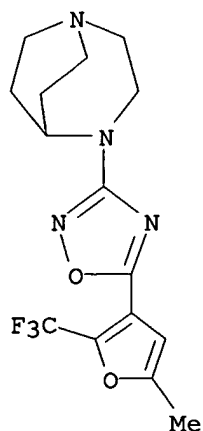
10/528,361



● HBr

RN 532411-06-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[5-methyl-2-(trifluoromethyl)-3-furanyl]-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

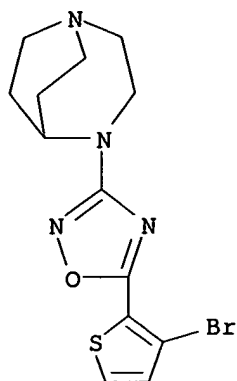


● HBr

RN 532411-07-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-bromo-2-thienyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

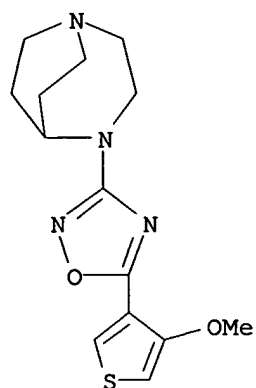
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● HBr

RN 532411-08-8 CAPLUS

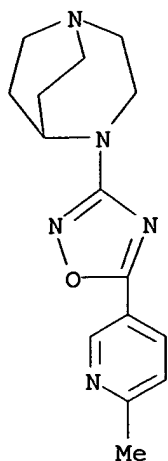
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxy-3-thienyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 532411-09-9 CAPLUS

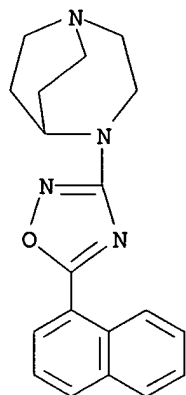
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(6-methyl-3-pyridinyl)-1,2,4-oxadiazol-3-yl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 532411-10-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-naphthalenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

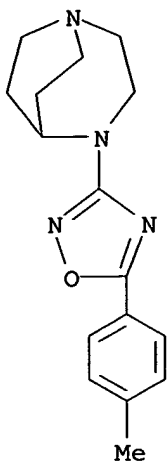


● HBr

RN 532411-11-3 CAPLUS

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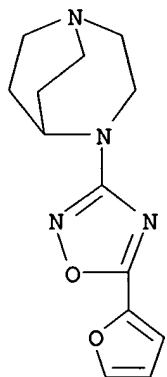
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● HBr

RN 532411-12-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-furanyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

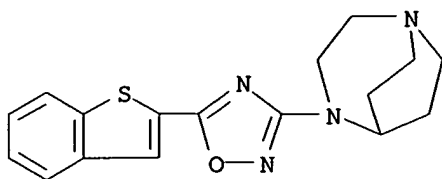


● HBr

RN 532411-13-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-benzo[b]thien-2-yl)-1,2,4-oxadiazol-3-yl)-, monohydrobromide (9CI) (CA INDEX NAME)

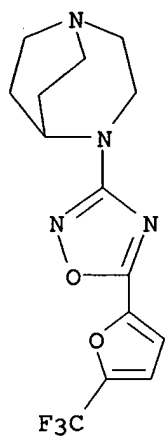
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● HBr

RN 532411-14-6 CAPLUS

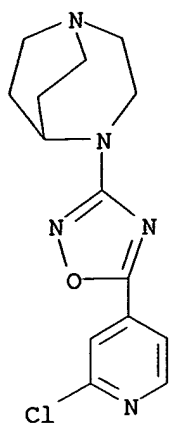
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[5-(trifluoromethyl)-2-furanyl]-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 532411-15-7 CAPLUS

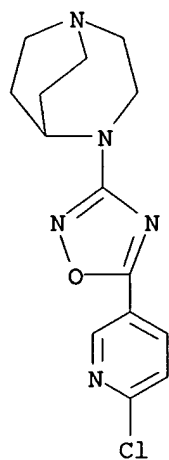
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-chloro-4-pyridinyl)-1,2,4-oxadiazol-3-yl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 532411-16-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(6-chloro-3-pyridinyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

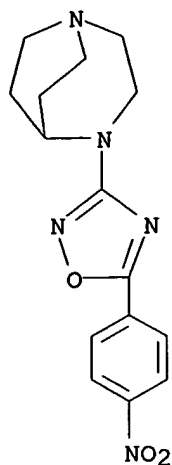


● HBr

RN 532411-17-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-nitrophenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

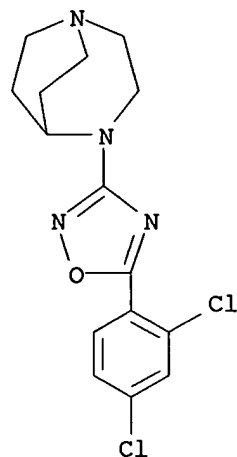
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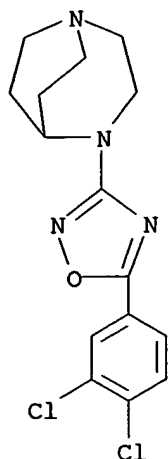
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2,4-dichlorophenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 532411-19-1 CAPLUS

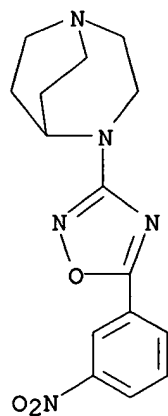
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3,4-dichlorophenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 532411-20-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-nitrophenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

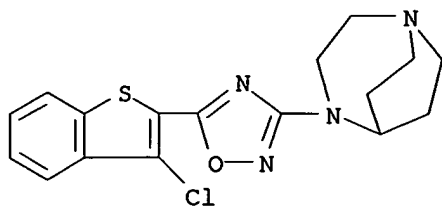


● HBr

RN 532411-21-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-chlorobenzo[b]thien-2-yl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

10/528,361



● HBr

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/528,361

110 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:503385 CAPLUS

DOCUMENT NUMBER: 137:63263

TITLE: Preparation of diazabicycloalkanes as CNS-penetrant $\alpha 7$ nicotinic receptor agonists.

INVENTOR(S): Coe, Jotham Wadsworth; O'Donnell, Christopher John; O'Neill, Brian Thomas

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

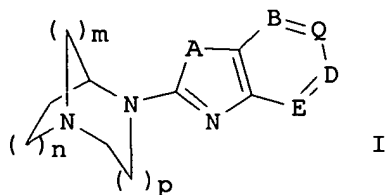
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1219622	A2	20020703	EP 2001-310270	20011207
EP 1219622	A3	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2002086871	A1	20020704	US 2001-47850	20011023
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JP 3591777	B2	20041124		
CA 2366268	AA	20020629	CA 2001-2366268	20011227
BR 2001006462	A	20020924	BR 2001-6462	20011228
US 2003119837	A1	20030626	US 2002-229447	20020828
US 6809094	B2	20041026		
US 2004204416	A1	20041014	US 2004-833714	20040427
US 6881734	B2	20050419		
US 2005176720	A1	20050811	US 2005-106778	20050415
PRIORITY APPLN. INFO.:			US 2000-258736P	P 20001229
			US 2001-47850	B1 20011023
			US 2002-229447	A1 20020828
			US 2004-833714	A1 20040427

OTHER SOURCE(S): MARPAT 137:63263

GI



AB Title compds. [I; m, n, o = 1-2; A = O, S, NR1; B = N, CR2; Q = N, CR3; D = N, CR4; E = N, CR5; R1 = H, alkyl, CO2R6, CH2R6, CONR6R7, COR6, SO2R6; R2-R5 = F, Cl, Br, iodo, NO2, cyano, CF3, NR6R7, NR6COR7, NR6CONR7R8, NR6CO2R7, NR6SO2R7, NR6SO2NR7R8, OR6, O2CR6, OCO2R6, O2CNR6R7, O2CSR6, CO2R6, COR6, CONR6R7, SR6, SOR6, SO2R6, SO2NR6R7, R6; R6-R8 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocycloalkyl, bicycloalkyl, bicycloalkenyl, heterobicycloalkyl, heterobicycloalkenyl,

aryl, heteroaryl; R6-R8 are optionally substituted with 1-6 F, Cl, Br, iodo, NO₂, cyano, CF₃, NR₉R₁₀, NR₉COR₁₀, NR₉CONR₁₀R₁₁, NR₉CO₂R₁₀, NR₉SO₂R₁₀, NR₉SO₂NR₁₀R₁₁, OR₉, O₂CR₉, O₂COR₉, O₂CNR₉R₁₀, O₂CSR₉, CO₂R₉, COR₉, CONR₉R₁₀, SR₉, SOR₉, SO₂R₉, SO₂NR₉R₁₀, R₉; R₉-R₁₁ = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocycloalkyl, bicycloalkyl, bicycloalkenyl, heterobicycloalkyl, heterobicycloalkenyl, aryl, heteroaryl; R₉-R₁₁ is optionally substituted with 1-6 F, Cl, Br, iodo, NO₂, cyano, CF₃, NR₁₂R₁₃, NR₁₂COR₁₃, NR₁₂CONR₁₃R₁₄, NR₁₂CO₂R₁₃, NR₁₂SO₂R₁₃, NR₁₂SO₂NR₁₃R₁₄, OR₁₂, O₂CR₁₂, O₂COR₁₂, OCONR₁₂R₁₃, O₂CSR₁₂, CO₂R₁₂, COR₁₂, CONR₁₂R₁₃, SR₁₂, SOR₁₂, SO₂R₁₂, SO₂NR₁₂R₁₃, R₁₂; R₁₂-R₁₄ = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocycloalkyl, bicycloalkyl, bicycloalkenyl, heterobicycloalkyl, heterobicycloalkenyl, aryl, heteroaryl; R₂R₃, R₃R₄, R₄R₅ may form a 6-membered aromatic or heteroarom. ring], were prepared Thus, 2-chlorobenzoxazole and 1,4-diazabicyclo[3.2.2]nonane were stirred in MeOH at 0° to room temperature; after 16 h (Me₂CH)₂NEt was added and the mixture was stirred a further 4.5 h to give 35% 4-benzoxazol-2-yl-1,4-bicyclo[3.2.2]nonane. In an assay involving [¹²⁵I]-bungarotoxin binding to nicotinic receptors in GH4C1 cells, I showed IC₅₀<10 μM.

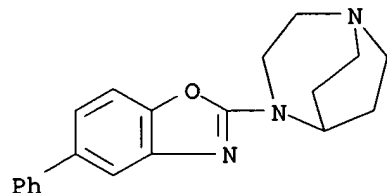
IT 439607-89-3P 439607-96-2P 439608-07-8P
439608-16-9P 439608-17-0P 439608-18-1P
439608-19-2P 439608-20-5P 439608-21-6P
439608-22-7P 439608-24-9P 439608-36-3P
439608-40-9P 439608-50-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diazabicycloalkanes as CNS-penetrant α₇ nicotinic receptor agonists)

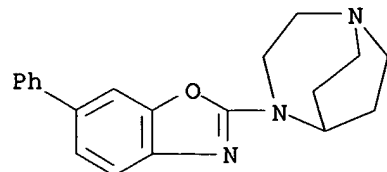
RN 439607-89-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-2-benzoxazolyl)- (9CI) (CA INDEX NAME)



RN 439607-96-2 CAPLUS

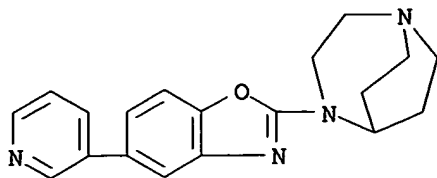
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyl-2-benzoxazolyl)- (9CI) (CA INDEX NAME)



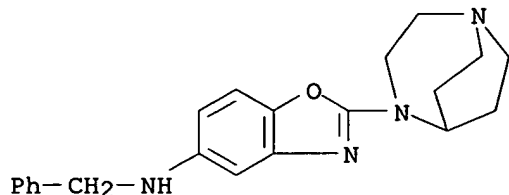
RN 439608-07-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridinyl)-2-benzoxazolyl]- (9CI)

(CA INDEX NAME)



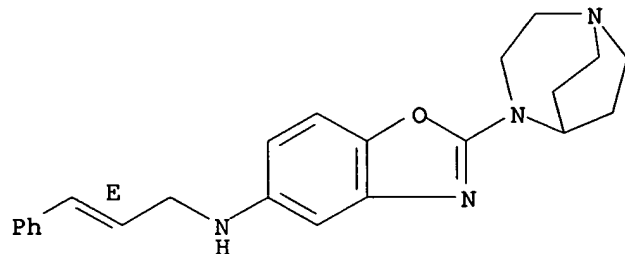
RN 439608-16-9 CAPLUS

CN 5-Benzoxazamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-N-(phenylmethyl)-
(9CI) (CA INDEX NAME)

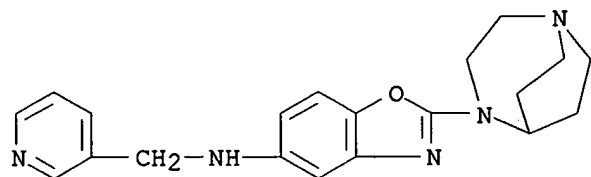
RN 439608-17-0 CAPLUS

CN 5-Benzoxazamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-N-[(2E)-3-phenyl-2-
propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

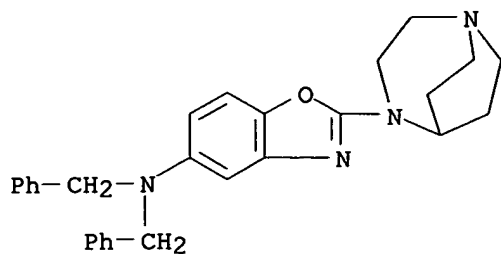


RN 439608-18-1 CAPLUS

CN 5-Benzoxazamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-N-(3-
pyridinylmethyl)- (9CI) (CA INDEX NAME)

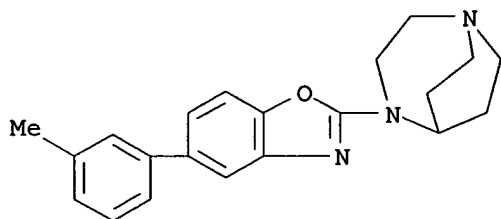
RN 439608-19-2 CAPLUS

CN 5-Benzoxazamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-N,N-
bis(phenylmethyl)- (9CI) (CA INDEX NAME)



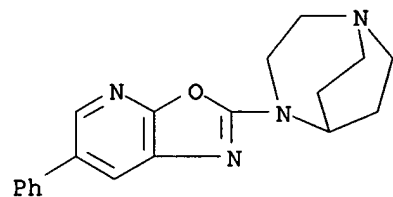
RN 439608-20-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methylphenyl)-2-benzoxazolyl]-
(9CI) (CA INDEX NAME)



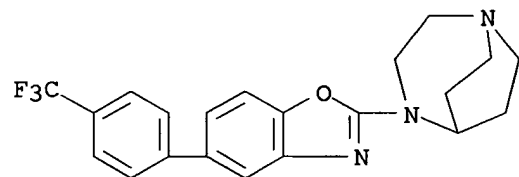
RN 439608-21-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyloxazolo[5,4-b]pyridin-2-yl)-
(9CI) (CA INDEX NAME)



RN 439608-22-7 CAPLUS

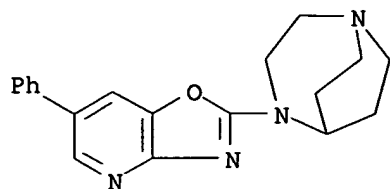
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[4-(trifluoromethyl)phenyl]-2-benzoxazolyl]- (9CI) (CA INDEX NAME)



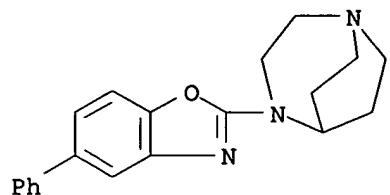
RN 439608-24-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyloxazolo[4,5-b]pyridin-2-yl)-
(9CI) (CA INDEX NAME)

10/528,361

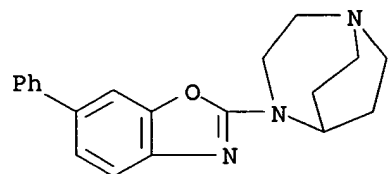


RN 439608-36-3 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-2-benzoxazolyl)-, hydrochloride
(9CI) (CA INDEX NAME)



●x HCl

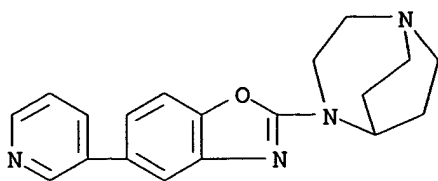
RN 439608-40-9 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyl-2-benzoxazolyl)-, hydrochloride
(9CI) (CA INDEX NAME)



●x HCl

RN 439608-50-1 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridinyl)-2-benzoxazolyl]-, hydrochloride (9CI) (CA INDEX NAME)

10/528,361



● x HCl

~~10~~ ANSWER 12 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:886122 CAPLUS

DOCUMENT NUMBER: 136:6021

TITLE: 1,4-Diazabicyclo[3.2.2]nonylbenzoxazole,
-benzothiazole and -benzimidazole derivatives as
selective nicotinic $\alpha 7$ antagonists

INVENTOR(S): Galli, Frederic; Lohead, Alistair; Samson, Axelle

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

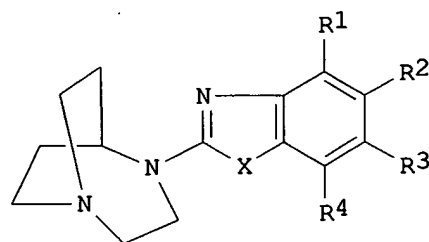
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092261	A1	20011206	WO 2001-FR1651	20010529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2809730	A1	20011207	FR 2000-6975	20000531
FR 2809730	B1	20020719		
EP 1289988	A1	20030312	EP 2001-938363	20010529
EP 1289988	B1	20040519		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003535091	T2	20031125	JP 2002-500874	20010529
AT 267202	E	20040615	AT 2001-938363	20010529
TW 541307	B	20030711	TW 2001-90113060	20010530
US 2003153574	A1	20030814	US 2003-276646	20030224
PRIORITY APPLN. INFO.:			FR 2000-6975	A 20000531
			WO 2001-FR1651	W 20010529

OTHER SOURCE(S): MARPAT 136:6021

GI



I

AB Title compds. I [X = O, S, NH; R1-R4 = H, halogen, NO2, amino, CF3,

trifluoroalkoxy, CN, OH, alkyl, alkoxy, Ph] were prepared for use as selective nicotinic $\alpha 7$ antagonists with IC₅₀ 0.021-0.125 μ M. Thus, 1,4-diazabicyclo[3.2.2]nonane was treated with 2-chlorobenzoxazole to give I [X = O, R1-R4 = H].

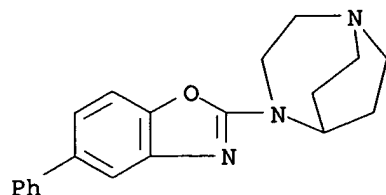
IT 376354-21-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,4-diazabicyclo[3.2.2]nonylbenzoxazole, -benzothiazole and -benzimidazole derivs. as selective nicotinic $\alpha 7$ antagonists)

RN 376354-21-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-2-benzoxazolyl)-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

REFERENCE COUNT:

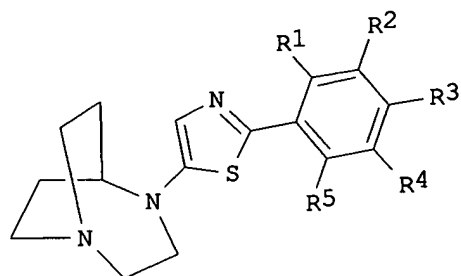
3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/528,361

~~110~~ ANSWER 13 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN
 X
 ACCESSION NUMBER: 2001:886121 CAPLUS
 DOCUMENT NUMBER: 136:6022
 TITLE: 4-(2-Phenylthiazol-5-yl)-1,4-diazabicyclo[3.2.2]nonane derivatives as selective nicotinic $\alpha 7$ receptor antagonists
 INVENTOR(S): Gallet, Thierry; Galli, Frederic; Leclerc, Odile; Lochead, Alistair
 PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
 SOURCE: PCT Int. Appl., 21 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092260	A1	20011206	WO 2001-FR1650	20010529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2809732	A1	20011207	FR 2000-6978	20000531
FR 2809732	B1	20020719		
EP 1289987	A1	20030312	EP 2001-938362	20010529
EP 1289987	B1	20040519		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003535090	T2	20031125	JP 2002-500873	20010529
AT 267201	E	20040615	AT 2001-938362	20010529
TW 591028	B	20040611	TW 2001-90113055	20010530
US 2004029884	A1	20040212	US 2002-276822	20021118
US 7001902	B2	20060221		
PRIORITY APPLN. INFO.:			FR 2000-6978	A 20000531
			WO 2001-FR1650	W 20010529
OTHER SOURCE(S):			MARPAT 136:6022	
GI				



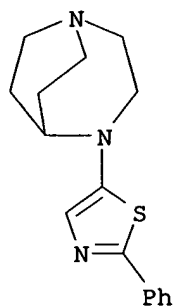
I

AB Title compds. I [R1-R5 = H, halogen, NO₂, amino, CF₃, trifluoroalkoxy, CN, OH, alkyl, alkoxy] were prepared for use as selective nicotinic $\alpha 7$ receptor antagonists with IC₅₀ 0.02-0.50 μ M. Thus, N-benzoylglycine was treated with carbonyldiimidazole and 1,4-diazabicyclo[3.2.2]nonane, followed by cyclization with Lawesson's reagent to give I [R1-R5 = H].

IT 376391-66-1P 376391-67-2P 376391-68-3P
 376391-69-4P 376391-70-7P 376391-72-9P
 376391-74-1P 376391-76-3P 376391-78-5P
 376391-80-9P 376391-82-1P 376391-84-3P
 376391-86-5P 376391-88-7P 376391-90-1P
 376391-92-3P 376391-94-5P 376391-96-7P
 376391-98-9P 376392-43-7P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 4-(2-phenylthiazol-5-yl)-1,4-diazabicyclo[3.2.2]nonane derivs. as selective nicotinic $\alpha 7$ receptor antagonists)

RN 376391-66-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(2-phenyl-5-thiazolyl)-, dihydrobromide (9CI) (CA INDEX NAME)

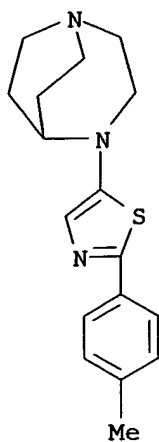


●2 HBr

RN 376391-67-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(4-methylphenyl)-5-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)

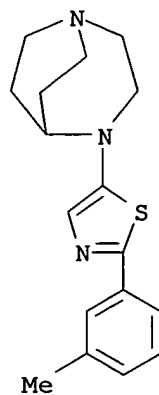
10/528,361



● HBr

RN 376391-68-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3-methylphenyl)-5-thiazolyl]-,
monohydrobromide (9CI) (CA INDEX NAME)

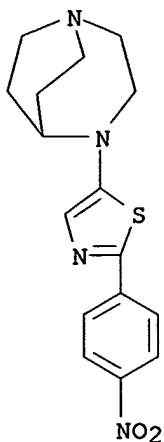


● HBr

RN 376391-69-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(4-nitrophenyl)-5-thiazolyl]-,
monohydrobromide (9CI) (CA INDEX NAME)

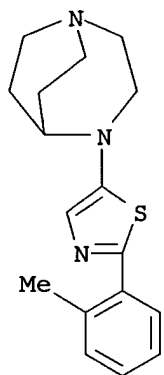
10/528,361



● HBr

RN 376391-70-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2-methylphenyl)-5-thiazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 376391-72-9 CAPLUS

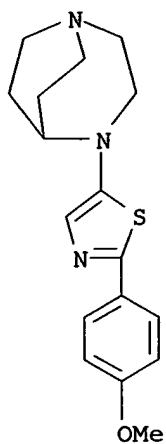
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(4-methoxyphenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-71-8

CMF C17 H21 N3 O S

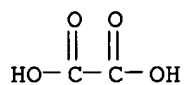
10/528,361



CM 2

CRN 144-62-7

CMF C2 H2 O4



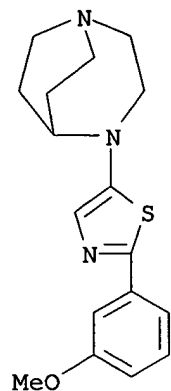
RN 376391-74-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3-methoxyphenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-73-0

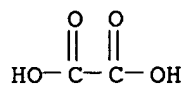
CMF C17 H21 N3 O S



CM 2

10/528,361

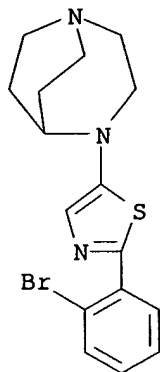
CRN 144-62-7
CMF C2 H2 O4



RN 376391-76-3 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2-bromophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

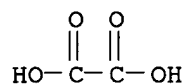
CM 1

CRN 376391-75-2
CMF C16 H18 Br N3 S



CM 2

CRN 144-62-7
CMF C2 H2 O4

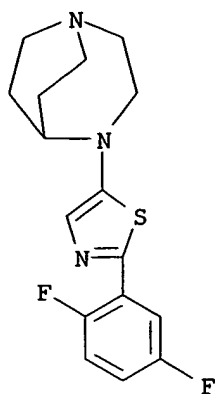


RN 376391-78-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2,5-difluorophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-77-4
CMF C16 H17 F2 N3 S

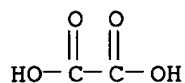
10/528,361



CM 2

CRN 144-62-7

CMF C2 H2 O4



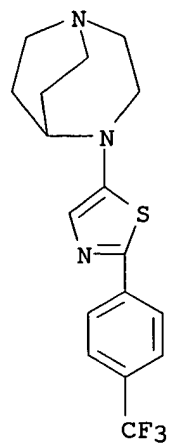
RN 376391-80-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-79-6

CMF C17 H18 F3 N3 S

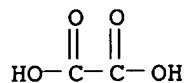


10/528,361

CM 2

CRN 144-62-7

CMF C2 H2 O4



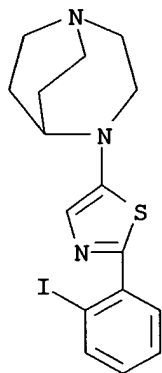
RN 376391-82-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2-iodophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-81-0

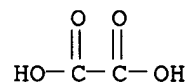
CMF C16 H18 I N3 S



CM 2

CRN 144-62-7

CMF C2 H2 O4



RN 376391-84-3 CAPLUS

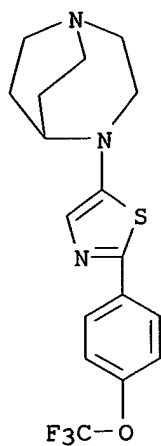
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-[4-(trifluoromethoxy)phenyl]-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-83-2

CMF C17 H18 F3 N3 O S

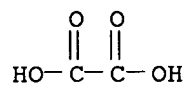
10/528,361



CM 2

CRN 144-62-7

CMF C2 H2 O4



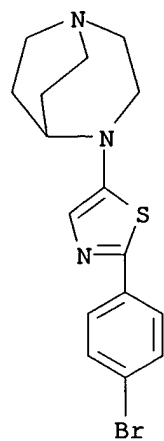
RN 376391-86-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(4-bromophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-85-4

CMF C16 H18 Br N3 S

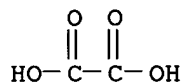


10/528,361

CM 2

CRN 144-62-7

CMF C2 H2 O4



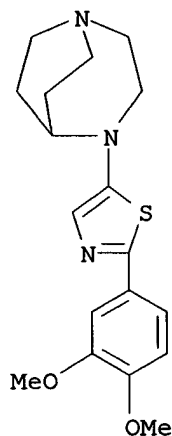
RN 376391-88-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3,4-dimethoxyphenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-87-6

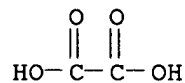
CMF C18 H23 N3 O2 S



CM 2

CRN 144-62-7

CMF C2 H2 O4



RN 376391-90-1 CAPLUS

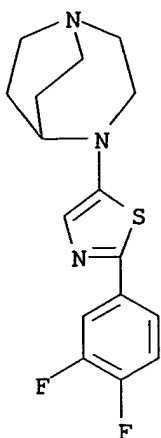
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3,4-difluorophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-89-8

CMF C16 H17 F2 N3 S

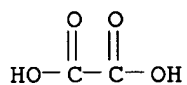
10/528,361



CM 2

CRN 144-62-7

CMF C2 H2 O4



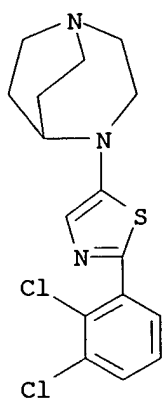
RN 376391-92-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2,3-dichlorophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-91-2

CMF C16 H17 Cl2 N3 S

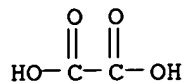


10/528,361

CM 2

CRN 144-62-7

CMF C2 H2 O4



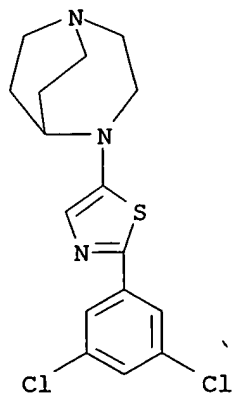
RN 376391-94-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3,5-dichlorophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-93-4

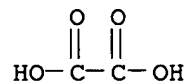
CMF C16 H17 Cl2 N3 S



CM 2

CRN 144-62-7

CMF C2 H2 O4



RN 376391-96-7 CAPLUS

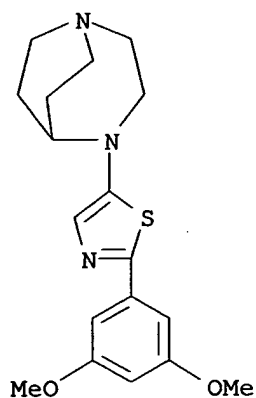
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3,5-dimethoxyphenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-95-6

CMF C18 H23 N3 O2 S

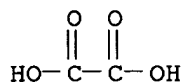
10/528,361



CM 2

CRN 144-62-7

CMF C2 H2 O4



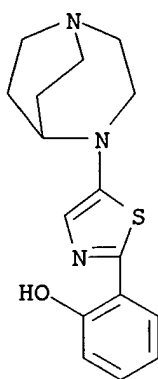
RN 376391-98-9 CAPLUS

CN Phenol, 2-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-2-thiazolyl]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-97-8

CMF C16 H19 N3 O S

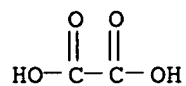


CM 2

CRN 144-62-7

10/528,361

CMF C2 H2 O4



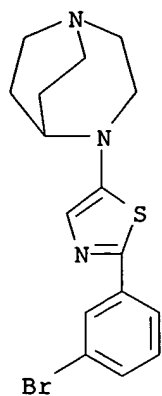
RN 376392-43-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3-bromophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376392-42-6

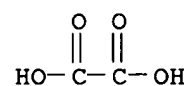
CMF C16 H18 Br N3 S



CM 2

CRN 144-62-7

CMF C2 H2 O4



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~X~~ ANSWER 14 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:886119 CAPLUS

DOCUMENT NUMBER: 136:6020

TITLE: 1,4-Diazabicyclo[3.2.2]nonane-phenylisoxazole derivatives: preparation and therapeutic use

INVENTOR(S): Galli, Frederic; Leclerc, Odile; Lockheed, Alistair

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

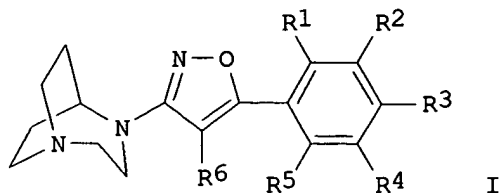
DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092259	A1	20011206	WO 2001-FR1649	20010529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2809731	A1	20011207	FR 2000-6977	20000531
FR 2809731	B1	20020719		
EP 1289986	A1	20030312	EP 2001-938361	20010529
EP 1289986	B1	20040428		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003535089	T2	20031125	JP 2002-500872	20010529
AT 265454	E	20040515	AT 2001-938361	20010529
US 2003114461	A1	20030619	US 2002-276647	20021118
US 6844337	B2	20050118		
PRIORITY APPLN. INFO.:			FR 2000-6977	A 20000531
			WO 2001-FR1649	W 20010529
OTHER SOURCE(S):			MARPAT 136:6020	
GI				



AB Title compds. I (R1, R2, R3, R4, R5 = H, alkyl, alkoxy, Ph, halo, NO₂, NH₂, etc.; R6 = H, alkyl) were prepared and tested as ligands for nicotinic receptors. Thus, I (R1, R3, R4, R5, R6 = H, R2 = Me)·2HBr was prepared in 3 steps starting from 1-(3-methylphenyl)-3,3-bis(methylthio)-2-

propen-1-one, which was obtained from 3'-methylacetophenone, CS₂, and MeI. In tests with rat nicotinic receptors, I showed IC₅₀ values of 0.02-0.5 μ M for α 7 vs. 10 μ M for α 4 β 2.

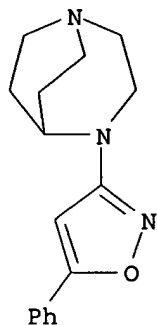
IT 375812-09-2P 375812-10-5P 375812-12-7P
375812-13-8P 375812-14-9P 375812-16-1P
375812-18-3P 375812-19-4P 375812-21-8P
375812-23-0P 375812-25-2P 375812-27-4P
375812-29-6P 375812-31-0P 375812-32-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

((1,4-diazabicyclo[3.2.2]nonanyl)phenylisoxazole derivs. as nicotinic receptor ligands)

RN 375812-09-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-3-isoxazolyl)-, dihydrobromide (9CI) (CA INDEX NAME)

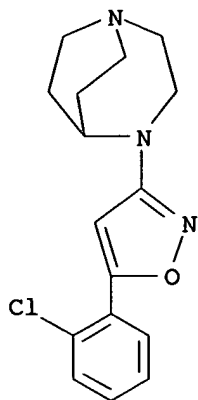


●2 HBr

RN 375812-10-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-chlorophenyl)-3-isoxazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)

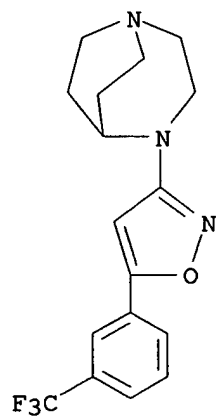
10/528,361



● HBr

RN 375812-12-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethyl)phenyl]-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

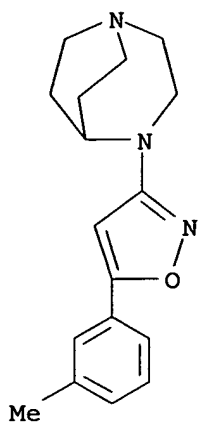


●2 HBr

RN 375812-13-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methylphenyl)-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

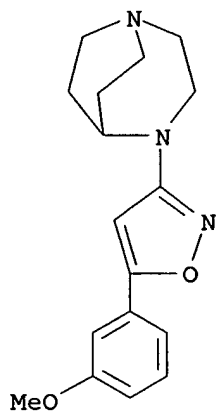
10/528,361



● 2 HBr

RN 375812-14-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methoxyphenyl)-3-isoxazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)

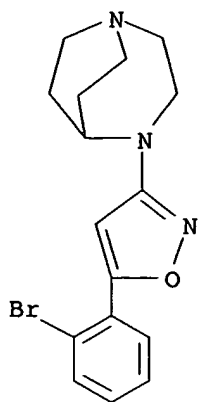


● HBr

RN 375812-16-1 CAPLUS

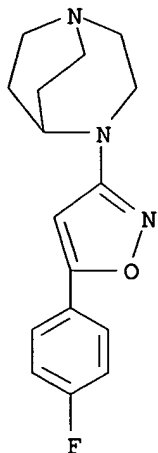
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-bromophenyl)-3-isoxazolyl]- (9CI) (CA INDEX NAME)

10/528,361



RN 375812-18-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-fluorophenyl)-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

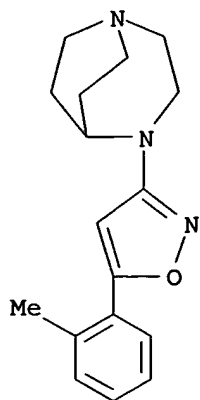


●2 HBr

RN 375812-19-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-methylphenyl)-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

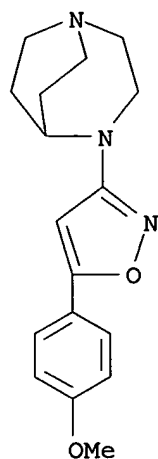
10/528,361



●2 HBr

RN 375812-21-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxyphenyl)-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

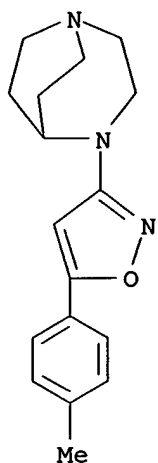


●2 HBr

RN 375812-23-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methylphenyl)-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

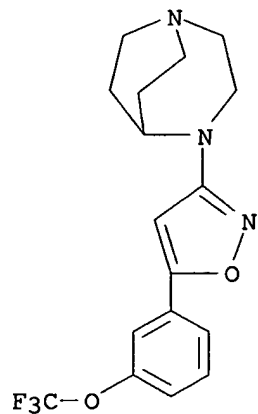
10/528,361



●2 HBr

RN 375812-25-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethoxy)phenyl]-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

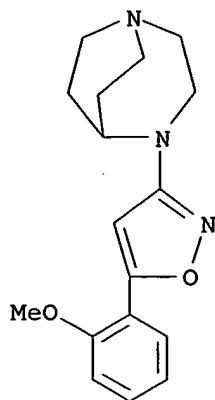


●2 HBr

RN 375812-27-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-methoxyphenyl)-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

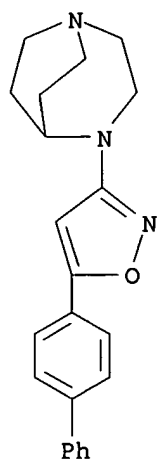
10/528,361



●2 HBr

RN 375812-29-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-[1,1'-biphenyl]-4-yl-3-isoxazolyl)-, dihydrobromide (9CI) (CA INDEX NAME)

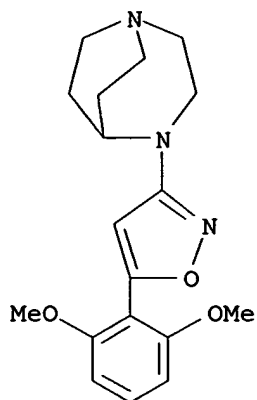


●2 HBr

RN 375812-31-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2,6-dimethoxyphenyl)-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

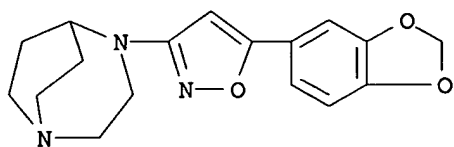
10/528,361



● 2 HBr

RN 375812-32-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3-benzodioxol-5-yl)-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)



● 2 HBr

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

110 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:597964 CAPLUS

DOCUMENT NUMBER: 135:180773

TITLE: Preparation of oxoquinolinecarboxylic acid, oxonaphthyridinecarboxylic acid, and pyridobenzoxazinecarboxylic acid derivatives as antibacterial agents

INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiko; Namba, Kenji; Tanaka, Mayumi; Miyauchi, Rie

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 104 pp.

CODEN: PIXXD2

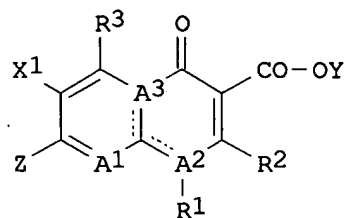
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058876	A1	20010816	WO 2001-JP861	20010207
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2398988	AA	20010816	CA 2001-2398988	20010207
AU 2001032238	A5	20010820	AU 2001-32238	20010207
EP 1262477	A1	20021204	EP 2001-904335	20010207
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2003119848	A1	20030626	US 2002-203199	20020807
NO 2002003764	A	20021009	NO 2002-3764	20020808
PRIORITY APPLN. INFO.:			JP 2000-38099	A 20000209
			WO 2001-JP861	W 20010207
OTHER SOURCE(S):	MARPAT 135:180773			
GI				



I

AB The title compds. I [R1 = alkyl, etc.; R2 = H, alkylthio; further details on R1 and R2 are given; R3 = H, alkoxy, etc.; A1 = N, etc.; A2, A3 = N, C; further details on A1, A2, A3 are given; X1 = halo, etc.; Y = H, Ph, etc.;

Z = heterocyclic substituent; further details on said heterocyclic substituent are given] are prepared I show excellent antibacterial activity (against *M. tuberculosis* and atypical acid-fast bacteria), favorable kinetics in vivo and high safety. Several compds. of this invention in vitro show MICs of 0.78 µg/mL to 3.13 µg/mL against rifampicin-resistant *M. tuberculosis*, vs. MIC of 25 µg/mL shown by ofloxacin. Formulations are given.

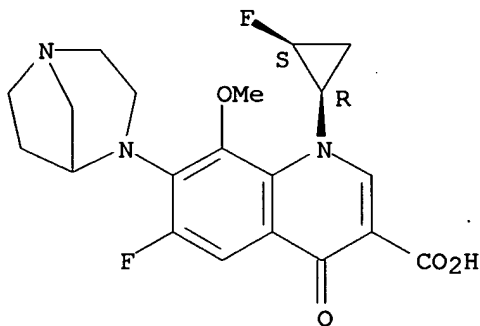
IT **354812-31-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxoquinolinecarboxylic acid, oxonaphthyridinecarboxylic acid, and pyridobenzoxazinecarboxylic acid derivs. as antibacterial agents)

RN 354812-31-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

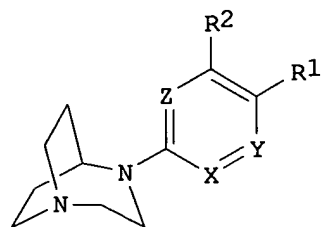
12

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/528,361

110 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:401827 CAPLUS
 DOCUMENT NUMBER: 133:30743
 TITLE: 1,4-Diazabicyclo[3.2.2]nonane derivatives, their
 preparation and therapeutic application
 INVENTOR(S): Lochead, Alistair; Jegham, Samir; Nedelec, Alain;
 Galli, Frederic; Jeunesse, Jean; Even, Luc
 PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
 SOURCE: PCT Int. Appl., 27 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000034279	A1	20000615	WO 1999-FR2975	19991201
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2786770	A1	20000609	FR 1998-15326	19981204
FR 2786770	B1	20010119		
EP 1135389	A1	20010926	EP 1999-973291	19991201
EP 1135389	B1	20030910		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002531565	T2	20020924	JP 2000-586725	19991201
AT 249460	E	20030915	AT 1999-973291	19991201
US 6407095	B1	20020618	US 2001-856945	20010716
PRIORITY APPLN. INFO.:			FR 1998-15326	A 19981204
			WO 1999-FR2975	W 19991201
OTHER SOURCE(S):	MARPAT 133:30743			
GI				



I

AB Title compds. such as I [X, Y, Z = N, CH, C-halo, C-CN; R1 = H, halo, CF3, CN, OH, alkoxy, (un)substituted phenyl] were prepared by coupling the two ring systems. Thus, refluxing 1.0 g 1,4-diazabicyclo[3.2.2]nonane, 5.0 g 3-bromopyridine, 88.9 mg Pd(OAc)₂, 247 mg 2,2'-bis(diphenylphosphino)-1,1'-binaphthyl, and 3.61 g Cs₂CO₃ in 50 mL THF for 72 h, followed by workup,

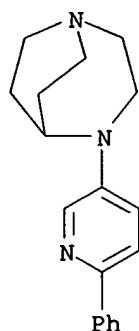
gave 0.83 g of an orange oil, which was treated with HBr to give 1.02 g I (X = Z = CH, Y = N, R1 = R2 = H)·2HBr. I were tested for their affinity to the nicotinic receptor and for analgesic activity.

IT 273721-55-4P 273721-57-6P 273721-59-8P
 273721-60-1P 273721-61-2P 273721-62-3P
 273721-63-4P 273721-64-5P 273721-65-6P
 273721-66-7P 273721-67-8P 273721-68-9P
 273721-70-3P 273721-71-4P 273721-72-5P
 273721-73-6P 273721-74-7P 273721-75-8P
 273721-76-9P 273721-77-0P 273721-78-1P
 273721-79-2P 273721-80-5P 273721-81-6P
 273721-82-7P 273721-83-8P 273721-84-9P
 273721-85-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation, analgesic activity, and nicotinic receptor affinity of heteroaryl derivs. of 1,4-diazabicyclo[3.2.2]nonane)

RN 273721-55-4 CAPLUS

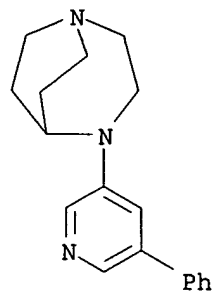
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyl-3-pyridinyl)-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-57-6 CAPLUS

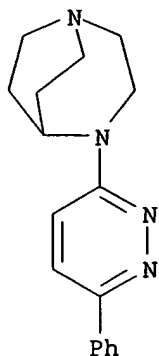
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



10/528,361

RN 273721-59-8 CAPLUS

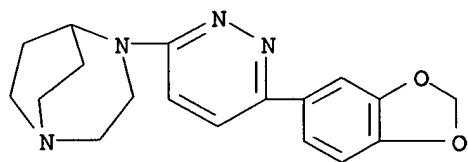
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyl-3-pyridazinyl)-, dihydrobromide
(9CI) (CA INDEX NAME)



●2 HBr

RN 273721-60-1 CAPLUS

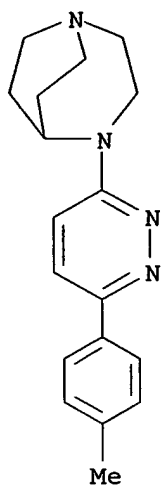
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1,3-benzodioxol-5-yl)-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-61-2 CAPLUS

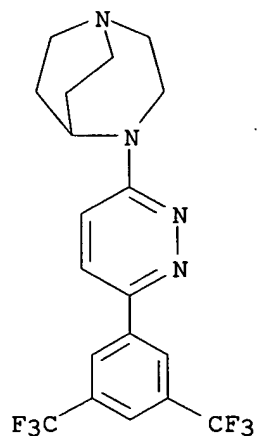
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(4-methylphenyl)-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-62-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-[3,5-bis(trifluoromethyl)phenyl]-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

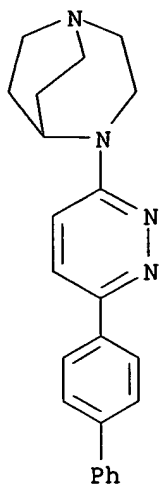


●2 HBr

RN 273721-63-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-[1,1'-biphenyl]-4-yl-3-pyridazinyl)-, dihydrobromide (9CI) (CA INDEX NAME)

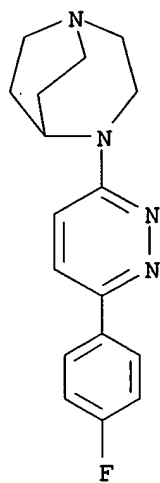
10/528,361



●2 HBr

RN 273721-64-5 CAPLUS

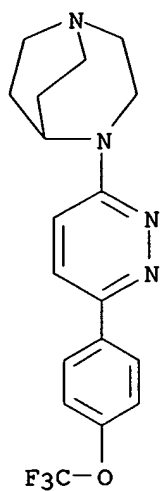
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(4-fluorophenyl)-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-65-6 CAPLUS

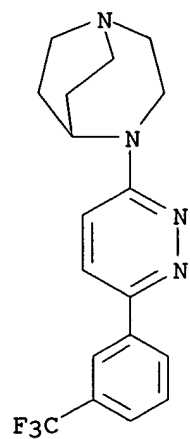
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-[4-(trifluoromethoxy)phenyl]-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-66-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-[3-(trifluoromethyl)phenyl]-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

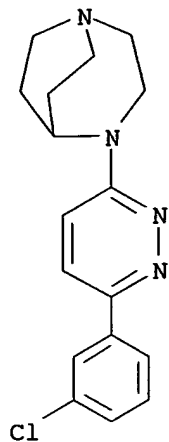


●2 HBr

RN 273721-67-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-chlorophenyl)-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

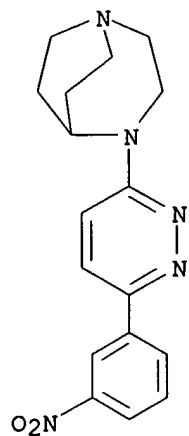
10/528,361



●2 HBr

RN 273721-68-9 CAPLUS

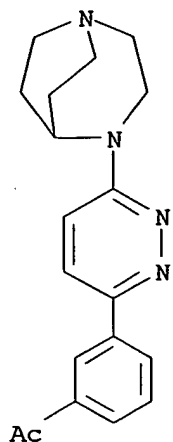
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-nitrophenyl)-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-70-3 CAPLUS

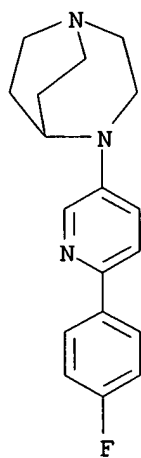
CN Ethanone, 1-[3-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridazinyl]phenyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-71-4 CAPLUS

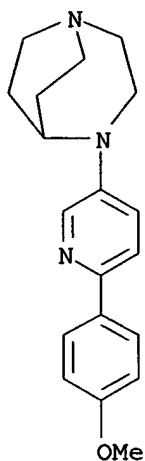
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(4-fluorophenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-72-5 CAPLUS

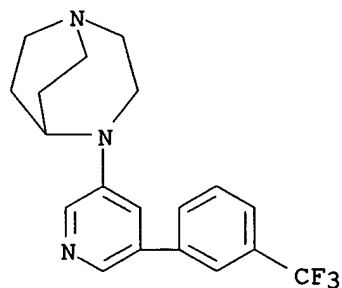
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(4-methoxyphenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-73-6 CAPLUS

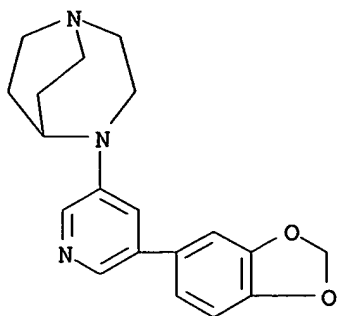
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-74-7 CAPLUS

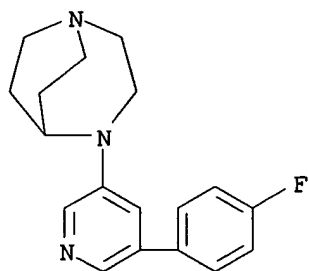
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3-benzodioxol-5-yl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-75-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-fluorophenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

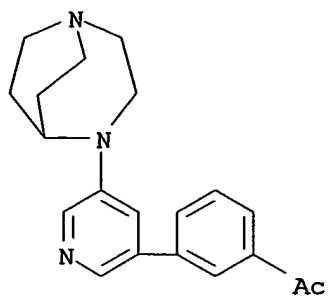


●2 HBr

RN 273721-76-9 CAPLUS

CN Ethanone, 1-[3-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]phenyl]-, dihydrobromide (9CI) (CA INDEX NAME)

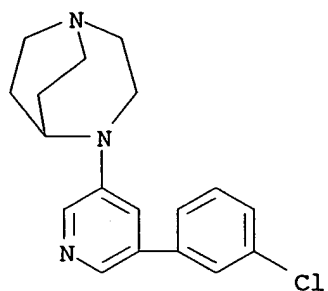
10/528,361



● 2 HBr

RN 273721-77-0 CAPLUS

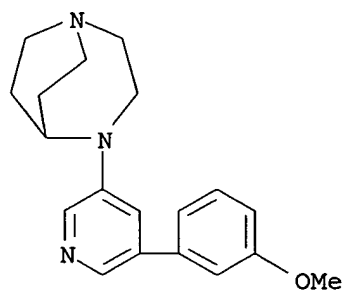
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-chlorophenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



● 2 HBr

RN 273721-78-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

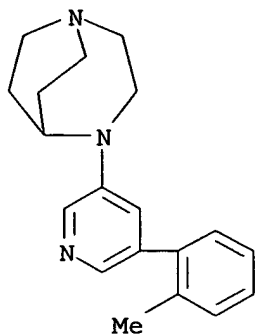


● 2 HBr

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RN 273721-79-2 CAPLUS

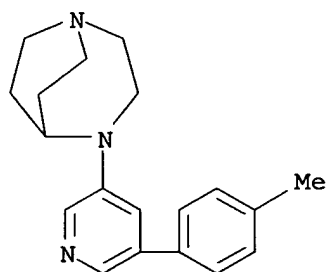
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-methylphenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-80-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methylphenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



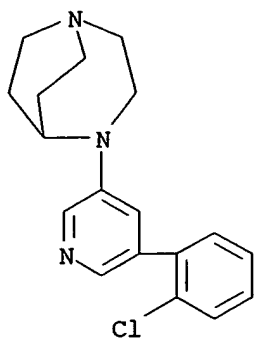
●2 HBr

RN 273721-81-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-chlorophenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



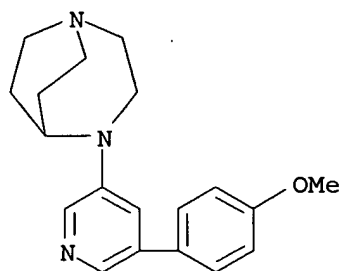
10/528,361



●2 HBr

RN 273721-82-7 CAPLUS

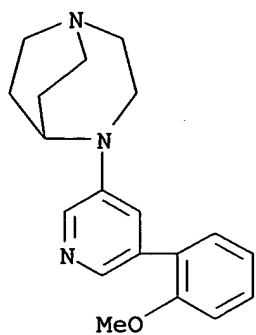
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-83-8 CAPLUS

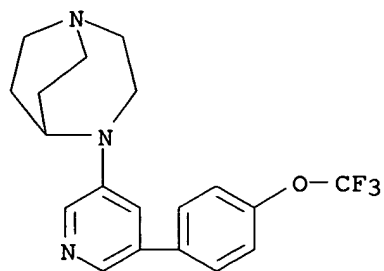
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 273721-84-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[4-(trifluoromethoxy)phenyl]-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

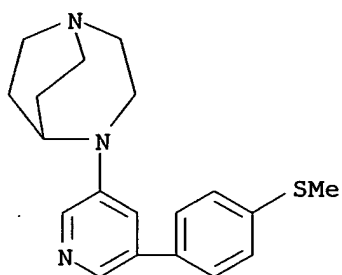


●2 HBr

RN 273721-85-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[4-(methylthio)phenyl]-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

10/528,361



● 2 HBr

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/528,361

✓
120 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:98559 CAPLUS

DOCUMENT NUMBER: 132:137410

TITLE: Preparation of novel azabicyclic compounds for treatment of CNS disorders

INVENTOR(S): Gaster, Laramie Mary; Heightman, Thomas Daniel; Wyman, Paul Adrian

PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006575	A2	20000210	WO 1999-EP5350	19990723
WO 2000006575	A3	20000518		
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			GB 1998-16288	A 19980728
			GB 1998-27881	A 19981217
OTHER SOURCE(S):			MARPAT 132:137410	
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Ra = II-IV (wherein P1-P3 = Ph, bicyclic aryl, 5-7 membered heterocyclyl, etc.; R1 = H, halo, alkyl, etc.; R2-R3 = halo, alkyl, cycloalkyl, etc.; a, b = 0-2; A = a bond, O, CH2, etc.; E = (un)substituted 5-7 membered carbocyclic ring fused at the 2,3- or 3,4-positions of the adjacent Ph ring, the ring E optionally fused to a further (un)substituted Ph ring); L = C(:V)DG, DGC(:V), YC(:V)DG; V = O, S; Y = NH, N(alkyl), CH2, O; D = N, C, CH; G = H, alkyl; Rb1, Rb2 = H, halo, OH, etc.; R4 = (un)substituted V (X = N, CH, C; m = 1-3), VI], useful in the treatment of CNS disorders such as depression, were prepared. Thus, treatment of 4-(pyridin-4-yl)naphth-1-ylamine with triphosgene in the presence of Et3N in DCM followed by addition of (S)-4-methoxy-3-(octahydropyrrolo[1,2-a]pyrazin-2-yl)aniline in DCM afforded 91% (S)-VII. All presented examples of compds. I had pKi > 7.4 at 5-HT1A, 5-HT1B and 5-HT1D receptors.

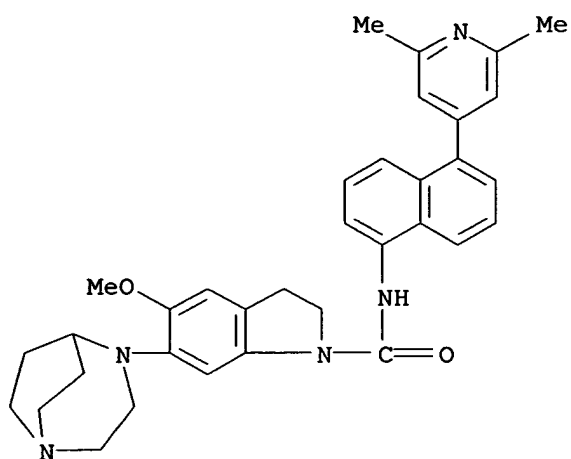
IT 256923-91-8P 256923-92-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel azabicyclic compds. for treatment of CNS disorders)

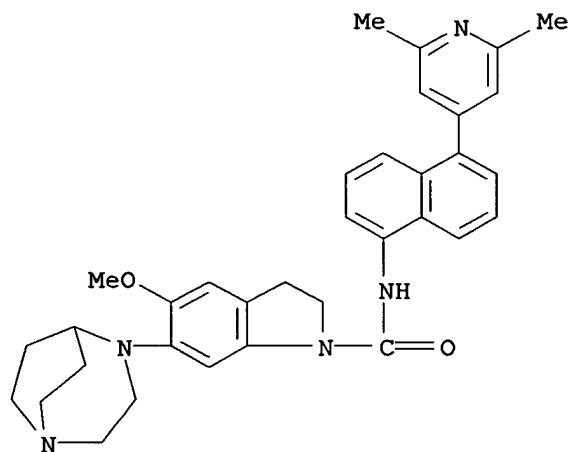
RN 256923-91-8 CAPLUS

CN 1H-Indole-1-carboxamide, 6-(1,4-diazabicyclo[3.2.2]non-4-yl)-N-[5-(2,6-dimethyl-4-pyridinyl)-1-naphthalenyl]-2,3-dihydro-5-methoxy- (9CI) (CA INDEX NAME)



RN 256923-92-9 CAPLUS

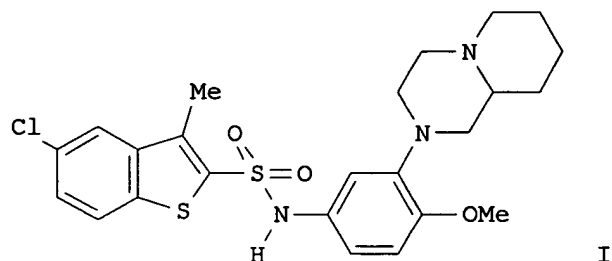
CN 1H-Indole-1-carboxamide, 6-(1,4-diazabicyclo[3.2.2]non-4-yl)-N-[5-(2,6-dimethyl-4-pyridinyl)-1-naphthalenyl]-2,3-dihydro-5-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

~~110~~ ANSWER 18 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1999:549274 CAPLUS
 DOCUMENT NUMBER: 131:170364
 TITLE: Preparation of sulfonanilide 5-HT6 receptor antagonists
 INVENTOR(S): Bromidge, Steven Mark; Serafinowska, Halina Teresa
 PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
 SOURCE: PCT Int. Appl., 24 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9942465	A2	19990826	WO 1999-EP1013	19990212
WO 9942465	A3	19990930		
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2321278	AA	19990826	CA 1999-2321278	19990212
EP 1066288	A2	20010110	EP 1999-910228	19990212
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002504484	T2	20020212	JP 2000-532417	19990212
PRIORITY APPLN. INFO.:			GB 1998-3411	A 19980218
			WO 1999-EP1013	W 19990212
OTHER SOURCE(S):		MARPAT 131:170364		
GI				



AB RZ1Z2Z3R4 [R = (un)substituted phenylene, -heterocyclylene, etc.; R4 = (un)substituted N-attached diazabicycloalkyl; Z1 = bond or alk(en)ylene; Z2 = SO2NH or NHSO2; Z3 = (un)substituted 1,3-phenylene] were prepared as 5-HT6 receptor antagonists (no data). Thus, 2-methoxy-5-nitroaniline was N-alkylated by 2-bromomethylpiperidine and the product N-alkylated by BrCH2CO2Et to give, after cyclization and 2 reduction steps, 4-methoxy-3-octahydropyrido[1,2-a]pyrazin-2-ylaniline which was amidated by 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride to give title compound I.

IT **239122-31-7P**

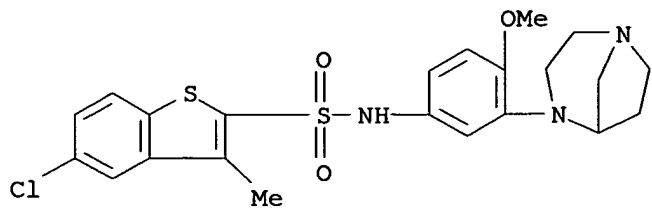
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

10/528,361

(preparation of sulfonanilide 5-HT₆ receptor antagonists)

RN 239122-31-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(1,4-diazabicyclo[3.2.1]oct-4-yl)-4-methoxyphenyl]-3-methyl- (9CI) (CA INDEX NAME)



~~L10~~ ANSWER 19 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:409426 CAPLUS

DOCUMENT NUMBER: 129:117473

TITLE: Activity of new quinolones against intracellular Mycobacterium avium in human monocytes. [Erratum to document cited in CA128:212700]

AUTHOR(S): Venkataprasad, Nandagopal; Jacobs, Michael R.; Johnson, John L.; Klopman, Gilles; Ellner, Jerrold J.

CORPORATE SOURCE: Division of Infectious Diseases, Case Western Reserve University, OH, 44106, USA

SOURCE: Journal of Antimicrobial Chemotherapy (1998), 41(6), 674

CODEN: JACHDX; ISSN: 0305-7453

PUBLISHER: Oxford University Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The ciprofloxacin MICs for strain PI 112/39 for inocula of 103, 104, and 105 were incorrectly reproduced in Table I; the corrected table is given.

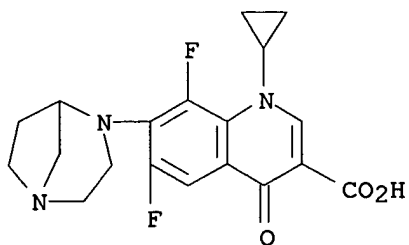
IT 100936-74-1, PD 119421

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(activity of new quinolones against intracellular Mycobacterium avium in human monocytes (Erratum))

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



~~L10~~ ANSWER 20 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:34271 CAPLUS

DOCUMENT NUMBER: 128:212700

TITLE: Activity of new quinolones against intracellular Mycobacterium avium in human monocytes

AUTHOR(S): Venkataprasad, Nandagopal; Jacobs, Michael R.; Johnson, John L.; Klopman, Gilles; Ellner, Jerrold J.

CORPORATE SOURCE: Division of Infectious Diseases, Case Western Reserve University, OH, 44106, USA

SOURCE: Journal of Antimicrobial Chemotherapy (1997), 40(6), 841-845

CODEN: JACHDX; ISSN: 0305-7453

PUBLISHER: Oxford University Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The ability to inhibit the in-vitro growth of mycobacteria within human monocytes is a useful screening assay for novel chemotherapeutic agents. In this study the MICs of a panel of new quinolones were determined by the broth microdilution method for two strains of Mycobacterium avium. Sixteen such compds. with MIC90s ranging from 2 to >32 mg/L were subsequently selected for the 7 day monocyte assay using ciprofloxacin for comparison. The degree of inhibition of intracellular growth correlated with the MICs. PD 139586, PD 143289, PD 135144, PD 119421 and PD 131575 were the most active new agents with activities superior to those of ciprofloxacin and sparfloxacin.

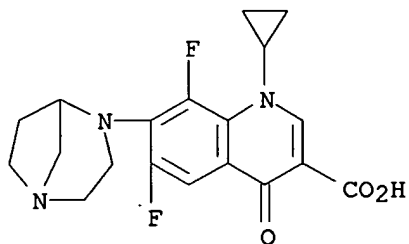
IT 100936-74-1, PD 119421

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(activity of new quinolones against intracellular Mycobacterium avium in human monocytes)

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

13

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~110~~ ANSWER 21 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:281083 CAPLUS

DOCUMENT NUMBER: 126:314002

TITLE: Design and Synthesis of Transition State Analogs for Induction of Hydride Transfer Catalytic Antibodies

AUTHOR(S): Schroeer, Josef; Sanner, Michel; Reymond, Jean-Louis; Lerner, Richard A.

CORPORATE SOURCE: Departments of Molecular Biology and Chemistry, Scripps Research Institute, La Jolla, CA, 92037, USA

SOURCE: Journal of Organic Chemistry (1997), 62(10), 3220-3229
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 126:314002

AB Alc. dehydrogenases and related aldehyde reductase enzymes catalyze the oxidation of alcs. to aldehydes and the simultaneous reduction of a nicotinamide

derivative (NAD⁺ or NADP⁺) to the corresponding 1,4-dihydronicotinamide. Herein we report the design and synthesis of a stable transition state analog for this hydride transfer process. Compound 1 is a rigid [3.2.2] bicyclic structure containing 3-piperidone oxime as a mimic for 1,4-dihydronicotinamide. The piperidone is held in the boat conformation corresponding to the transition state by a three-atom lactam bridge between N(1) and C(4). The oxime function mimics the carboxamide group in nicotinamide. The lactam nitrogen serves as an attachment point for the alkyl group of the alc. substrate, and the amide oxygen atom mimics its hydroxyl group. Compound 1 was prepared in 10 steps from N-benzylpiperidone, functionalized with substrate and cofactor recognition elements into transition state analogs 2 and 3 and conjugated to carrier proteins for immunization. These novel analogs open the way for the exploration of the dehydrogenase reaction using catalytic antibodies.

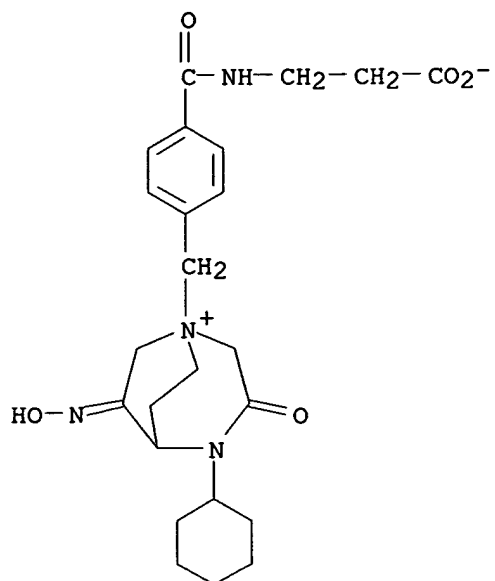
IT **189361-38-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design and synthesis of transition state analogs for induction of hydride transfer catalytic antibodies)

RN 189361-38-4 CAPLUS

CN 4-Aza-1-azoniabicyclo[3.2.2]nonane, 1-[[4-[[[2-carboxyethyl)amino]carbonyl]phenyl]methyl]-4-cyclohexyl-6-(hydroxyimino)-3-oxo-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT:

41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LIB~~ ANSWER 22 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:38543 CAPLUS

DOCUMENT NUMBER: 122:156098

TITLE: In vitro anti-Mycobacterium avium activities of quinolones: predicted active structures and mechanistic considerations

AUTHOR(S): Klopman, Gilles; Li, Ju-Yun; Wang, Shaomeng; Pearson, Anthony J.; Chang, Kieyoung; Jacobs, Michael R.; Bajaksouzian, Saralee; Ellner, Jerrold J.

CORPORATE SOURCE: Chem. Dept., Case Western Res. Univ., Cleveland, OH, 44106, USA

SOURCE: Antimicrobial Agents and Chemotherapy (1994), 38(8), 1794-1802

CODEN: AMACQJ; ISSN: 0066-4804

DOCUMENT TYPE: Journal

LANGUAGE: English

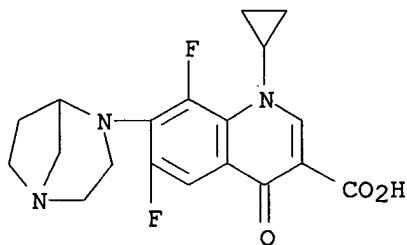
AB The relation between the structures of quinolones and their anti-M. avium activities has been previously derived by using the Multiple Computer-Automated Structure Evaluation program. A number of substructural constraints required to overcome the resistance of most of the strains have been identified. Nineteen new quinolones which qualify under these substructural requirements were identified by the program and subsequently tested. The substructural attributes identified by the program produced a successful a priori prediction of the anti-M. avium activities of the new quinolones. All 19 quinolones were active, and 4 of them are as active or better than ciprofloxacin. With these new quinolones, the updated multiple computer-automated structure evaluation program structure-activity relationship anal. has helped to uncover addnl. information about the nature of the substituents at the C5 and C7 positions needed for optimal inhibitory activity. A possible explanation of drug resistance based on the observation of suicide inactivation of bacterial cytochrome P 450 by the cyclopropylamine moiety has also been proposed and is discussed in this report. The view that the amount of the uncharged form present in a neutral pH solution plays a crucial role in the drug's penetration ability was confirmed.

IT 100936-74-1, PD 119421

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(anti-Mycobacterium activity of)

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



10/528,361

~~L10~~ ANSWER 23 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:2483 CAPLUS

DOCUMENT NUMBER: 123:164953

TITLE: Anti-mycobacterium avium activity of quinolones: in vitro activities. [Erratum to document cited in CA120:27300f]

AUTHOR(S): Klopman, Gilles; Wang, Shaomeng; Jacobs, Michael R.; Bajaksouzian, Saralee; Edmonds, Kay; Ellner, Jerrold J.

CORPORATE SOURCE: Chem. Dep., Case West. Reserve Univ., Cleveland, OH, 44106, USA

SOURCE: Antimicrobial Agents and Chemotherapy (1993), 37(12), 2766

CODEN: AMACCQ; ISSN: 0066-4804

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The errors were not reflected in the abstract or the index entries.

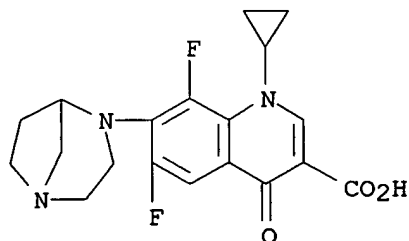
IT 100936-74-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Mycobacterium avium sensitivity to (Erratum))

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



~~NO~~ ANSWER 24 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:27300 CAPLUS

DOCUMENT NUMBER: 120:27300

TITLE: Anti-mycobacterium avium activity of quinolones: in vitro activities

AUTHOR(S): Klopman, Gilles; Wang, Shaomeng; Jacobs, Michael R.; Bajaksouzian, Saralee; Edmonds, Kay; Ellner, Jerrold J.

CORPORATE SOURCE: Chem. Dep., Case West. Reserve Univ., Cleveland, OH, 44106, USA

SOURCE: Antimicrobial Agents and Chemotherapy (1993), 37(9), 1799-806

CODEN: AMACCQ; ISSN: 0066-4804

DOCUMENT TYPE: Journal

LANGUAGE: English

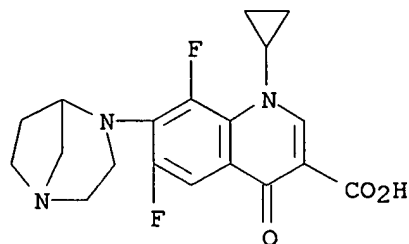
AB The MICs of 88 quinolones against 14 selected reference and clin. strains of Mycobacterium avium-M. intracellulare complex were determined. Agents tested included ciprofloxacin, sparfloxacin (PD 131501), and 86 other exptl. quinolones. Test strains were selected to represent various susceptibilities to ciprofloxacin and other drug resistance profiles. MICs were determined by the microdilution method in 7HSF broth, with incubation for 14 days at 35°. The results showed 25 of the quinolones to be active against the strains, with MICs for 90% of the strains (MIC90s) of 2 to 32 µg/mL. Ten of these compds. had activities equivalent to or greater than that of ciprofloxacin. The most active compound was PD 125354, with an MIC50 of 0.5 µg/mL and an MIC90 of 2 µg/mL; comparable values for ciprofloxacin were 4 and 8 µg/mL, resp. The next most active compds., with MIC90s of 4 µg/mL, were sparfloxacin (PD 131501), PD 123982, PD 135144, and PD 119421. MIC90s of PD 131575, PD 126889, PD 122642, PD 139586, and PD 143289 were 8 µg/mL. Further evaluation of the most active agents is warranted, as is assessment of structure-activity relationships of active and inactive agents to elucidate the active portions of the compds. and to lead to the development of compds. with enhanced activity.

IT 100936-74-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(Mycobacterium avium sensitivity to)

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



10/528,361

~~L~~0 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:539131 CAPLUS

DOCUMENT NUMBER: 119:139131

TITLE: Preparation of N-cyclopropylquinolonecarboxylates as antibacterial agents

INVENTOR(S): Hayakawa, Isao; Kimura, Youichi; Takahashi, Hisashi

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

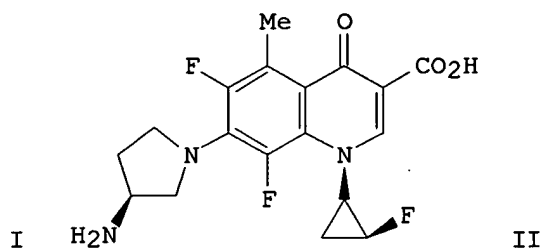
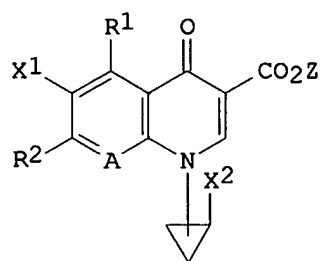
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9221659	A1	19921210	WO 1992-JP687	19920527
W: AU, CA, FI, JP, KR, NO, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
AU 9218872	A1	19930108	AU 1992-18872	19920527
AU 661999	B2	19950817		
EP 593766	A1	19940427	EP 1992-910698	19920527
EP 593766	B1	20000906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
AT 196135	E	20000915	AT 1992-910698	19920527
ES 2151488	T3	20010101	ES 1992-910698	19920527
CA 2110260	C	20011204	CA 1992-2110260	19920527
JP 05163244	A2	19930629	JP 1992-136504	19920528
JP 3215500	B2	20011009		
FI 109201	B1	20020614	FI 1993-5243	19931125
NO 9304279	A	19940128	NO 1993-4279	19931126
NO 180780	B	19970310		
NO 180780	C	19970618		
RU 2100351	C1	19971227	RU 1993-58417	19931126
US 5696132	A	19971209	US 1994-142444	19940126
GR 3034966	T3	20010228	GR 2000-402669	20001130
PRIORITY APPLN. INFO.:			JP 1991-225425	A 19910528
			WO 1992-JP687	A 19920527
OTHER SOURCE(S):			MARPAT 119:139131	
GI				



AB The title compds. [I; R1 = Me, Et, Pr, iso-Pr, FCH2, F2CH; R2 = (un)substituted saturated N-containing heterocyclyl; A = CX3; X3 = H, halo, cyano,

CF₃, C1-6 alkyl or alkyloxy; X₁, X₂ = halo; Z = phenylalkyl, H, Ph, AcOCH₂, pivaloyloxymethyl, CO₂Et, 5-indanyl, C1-6 alkyl, C2-7 alkyloxymethyl, etc.] are prepared. Thus, a mixture of 100 mg 6,7,8-trifluoro-1-[(1R,2S)-2-fluorocyclopropyl]-5-methyl-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (preparation given), 120 mg (S)-3-(tert-butoxycarbonylamino)pyrrolidine, and 3 mL DMSO was heated at 100-120° for 1 h with stirring to give, after deprotection with CF₃CO₂H and crystallization from EtOH and aqueous NH₃, a title compound (II).

II

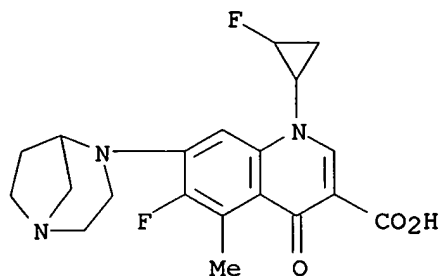
inhibited 13 bacteria, e.g., *Escherichia coli* NJHJ, *Pseudomonas aeruginosa* 32121, *Staphylococcus aureus* 209p, and *Streptococcus faecalis*, with MIC of 0.006, 0.025, 0.025, and 0.1 µg/mL, resp.. A total of 12 I were prepared

IT **149326-78-3P 149326-79-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antibacterial agent)

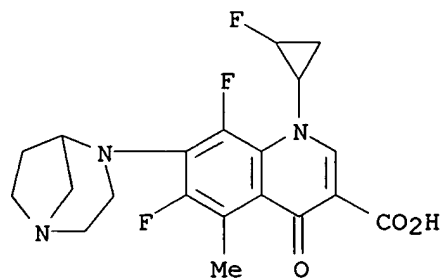
RN 149326-78-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-5-methyl-4-oxo- (9CI) (CA INDEX NAME)



RN 149326-79-4 CAPLUS

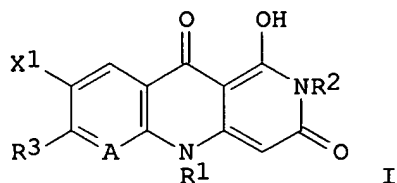
CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-5-methyl-4-oxo- (9CI) (CA INDEX NAME)



10/528,361

~~110~~ ANSWER 26 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:128900 CAPLUS
DOCUMENT NUMBER: 116:128900
TITLE: Preparation of benzo[b][1,6]naphthyridine and
pyrido[2,3-b][1,6]naphthyridine derivatives as
antibacterial agents
INVENTOR(S): Nakano, Junji; Shibamori, Koichiro; Minamida, Akira;
Hirose, Toru; Matsumoto, Junichi; Nakamura, Shinichi
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03223283	A2	19911002	JP 1990-228767	19900829
PRIORITY APPLN. INFO.:			JP 1989-223655	A1 19890830
			JP 1989-330056	A1 19891219
OTHER SOURCE(S):	MARPAT 116:128900			
GI				



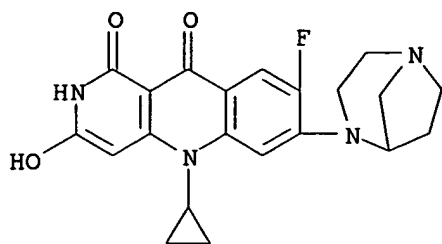
AB Tricyclic compds. [I; X1 = halo; A = N, CX2; X2 = H, halo, cyano, alkyloxy; R1 = (cyclo)alkyl, haloalkyl, alkenyl, (un)substituted Ph; R2 = H, alkyl; R3 = halo, (un)substituted NH2] are prepared Thus, a mixture of Et 1-cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylate 5.0, Zn powder 2.32, and BrCH₂CO₂Et 6 g in THF was refluxed for 4 h to give 6.4 g Et 1-cyclopropyl-2-ethoxycarbonyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylate (II). To a mixture of 8.1 g II, 200 mL 28% aqueous NH₃, and 100 mL EtOH, NH₃ (g) was introduced at room temperature and the mixture was sealed and stirred at room temperature for 1 day to give 3.8 g I (X1 = R3 = F, A = CF, R1 = cyclopropyl, R2 = H). I (X1 = F, A = CH, R1 = iso-Pr, R2 = H, R3 = 3-aminopyrrolidin-1-yl) showed min. inhibitory concentration of 0.0125 and 0.39 µg/mL against Staphylococcus aureus and Pseudomonas aeruginosa, resp. A total of 75 I were prepared

IT **139295-49-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as medical bactericide)

RN 139295-49-1 CAPLUS

CN Benzo[b][1,6]naphthyridine-3,10(2H,5H)-dione, 5-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-8-fluoro-1-hydroxy- (9CI) (CA INDEX NAME)

10/528,361



10/528,361

10 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:98888 CAPLUS

DOCUMENT NUMBER: 116:98888

TITLE: Synthesis and structure-activity relationships of 7-diazabicycloalkylquinolones, including danofloxacin, a new quinolone antibacterial agent for veterinary medicine

AUTHOR(S): McGuirk, Paul R.; Jefson, Martin R.; Mann, Douglas D.; Elliott, Nancy C.; Chang, Polly; Cisek, Eugene P.; Cornell, C. Peter; Gootz, Thomas D.; Haskell, Susan L.; et al.

CORPORATE SOURCE: Cent. Res. Div., Pfizer Inc., Groton, CT, 06340, USA

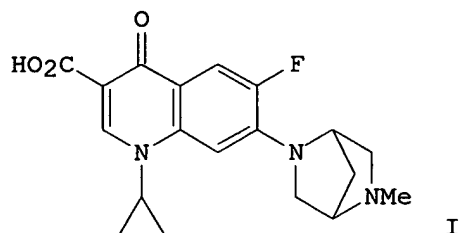
SOURCE: Journal of Medicinal Chemistry (1992), 35(4), 611-20

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB A series of novel 6-fluoro-7-diazabicycloalkylquinolonecarboxylic acids substituted with various C8 (H, F, Cl, N) and N1 (Et, cyclopropyl, vinyl, 2-fluoroethyl, 4-fluorophenyl, 2,4-difluorophenyl) substituents, as well as, 9-fluoro-10-diazabicycloalkylpyridobenzoxazinecarboxylic acids, were prepared and evaluated for antibacterial activity against a range of important veterinary pathogenic bacteria. The diazabicycloalkyl side chains investigated at the 7-position (benzoxazine 10-position) include (1S,4S)-5-methyl-2,5-diazabicyclo[2.2.1]heptane (1S,4S)-2,5-diazabicyclo[2.2.1]heptane (1R,4R)-5-methyl-2,5-diazabicyclo[2.2.1]heptane, 8-methyl-3,8-diazabicyclo[3.2.1]octane, 9-methyl-3,9-diazabicyclo[4.2.1]nonane, 1,4-diazabicyclo[3.2.2]nonane, 1,4-diazabicyclo[3.3.1]nonane and 9-methyl-3,9-diazabicyclo[3.3.1]nonane. Among these side chains, in vitro potency was not highly variable; other properties therefore proved more critical to the selection of possible development candidates. However, the relative potencies observed for several of these compds. in mouse, swine, and cattle infection models correlated well with those seen in vitro. A combination of the N1 cyclopropyl group and the C7 (1S,4S)-5-methyl-2,5-diazabicyclo[2.2.1]hept-2-yl appendage conferred the best overall antibacterial, physiochem., and pharmacodynamic properties. Hence, danofloxacin (Advocin, CP-76136 I) was selected as a candidate for development as a therapeutic antibacterial agent for veterinary medicine.

IT 112894-29-8 112894-33-4

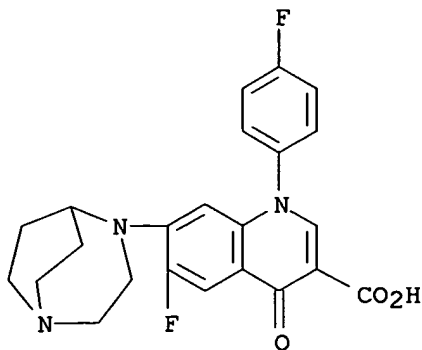
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antibacterial activity of)

RN 112894-29-8 CAPLUS

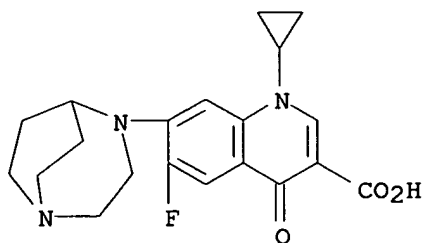
10/528,361

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 112894-33-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

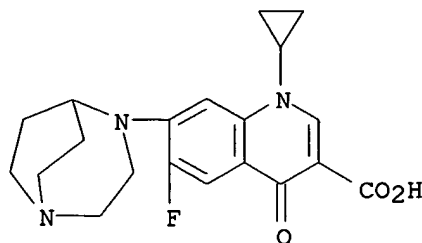


IT 138698-17-6P 138698-18-7P 138698-19-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of)

RN 138698-17-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

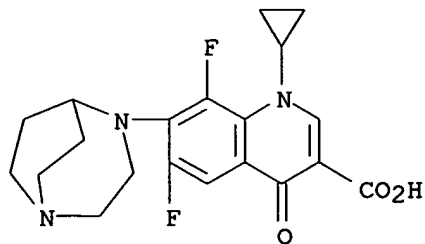


● HCl

10/528,361

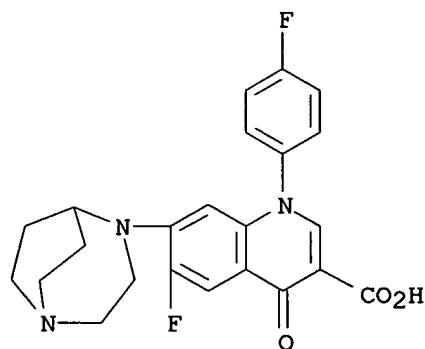
RN 138698-18-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 138698-19-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

~~L~~00 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:143090 CAPLUS

DOCUMENT NUMBER: 114:143090

TITLE: Quinolone antibacterials: preparation and activity of bridged bicyclic analogues of the C7-piperazine
 AUTHOR(S): Kiely, John S.; Hutt, Marland P.; Culbertson, Townley P.; Bucsh, Ruth A.; Worth, Donald F.; Lesheski, Lawrence E.; Gogliotti, Rocco D.; Sesnie, Josephine C.; Solomon, Marjorie; Mich, Thomas F.

CORPORATE SOURCE: Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48105, USA

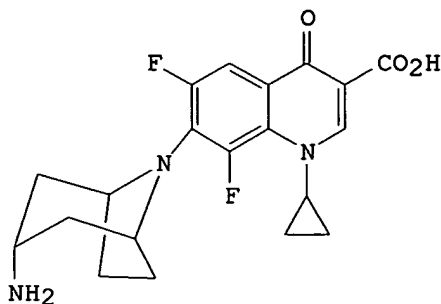
SOURCE: Journal of Medicinal Chemistry (1991), 34(2), 656-63
 CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:143090

GI



I

AB A series of quinolone and naphthyridine antibacterial agents possessing as the C7-heterocycle bicyclic 2,5-diazabicyclo[n.2.m]alkanes, where n = 2,3 and m = 1,2, and a series including 4-aminopiperidine and 3-amino-8-azabicyclo[3.2.1]octanes have been prepared and evaluated in vitro and in vivo for antibacterial activity against a variety of Gram-neg. and Gram-pos. organisms. These compds. were also tested against the target enzyme bacterial DNA gyrase. All the examples investigated are nearly equipotent with the parent 7-piperazinyl analogs. Only endo-7-(3-amino-8-azabicyclo[3.2.1]oct-8-yl)-1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid (I) displays activity that surpasses that of the piperazine parent.

IT 100936-71-8P 100936-74-1P 108437-39-4P

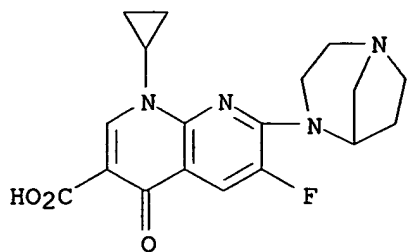
111453-70-4P 119354-59-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation, gyrase inhibition by, and bactericidal activity of)

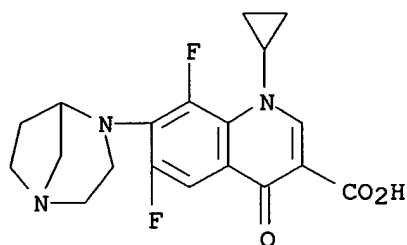
RN 100936-71-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



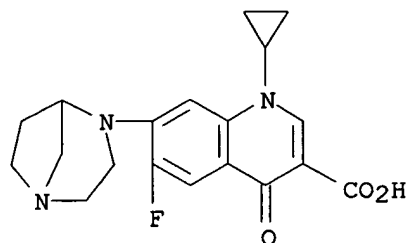
RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 108437-39-4 CAPLUS

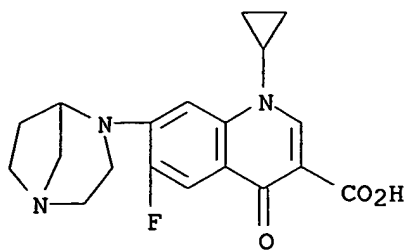
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 111453-70-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

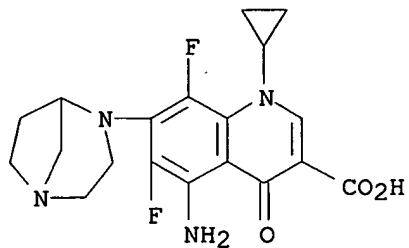
10/528,361



● HCl

RN 119354-59-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



10/528,361

~~LEO~~ ANSWER 29 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:114697 CAPLUS

DOCUMENT NUMBER: 110:114697

TITLE: Preparation of 5-substituted quinolone- and naphthyridonecarboxylic acids as antibacterial agents

INVENTOR(S): Petersen, Uwe; Grohe, Klaus; Schriewer, Michael; Schenke, Thomas; Haller, Ingo; Metzger, Karl; Endermann, Rainer; Zeiler, Hans Joachim

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 32 pp.

CODEN: GWXXBX

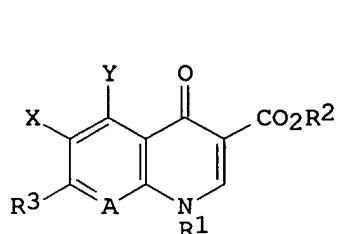
DOCUMENT TYPE: Patent

LANGUAGE: German

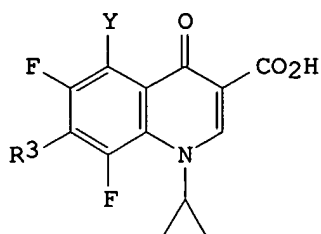
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3711193	A1	19881013	DE 1987-3711193	19870402
NO 8801121	A	19881003	NO 1988-1121	19880314
EP 284935	A1	19881005	EP 1988-104452	19880321
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AU 8813811	A1	19881006	AU 1988-13811	19880328
DD 274029	A5	19891206	DD 1988-314159	19880329
DK 8801802	A	19881003	DK 1988-1802	19880330
FI 8801501	A	19881003	FI 1988-1501	19880330
CN 88101741	A	19881116	CN 1988-101741	19880331
ZA 8802318	A	19881228	ZA 1988-2318	19880331
JP 63258855	A2	19881026	JP 1988-78298	19880401
HU 47098	A2	19890130	HU 1988-1619	19880401
HU 201050	B	19900928		
PRIORITY APPLN. INFO.:			DE 1987-3711193	A 19870402
OTHER SOURCE(S):			CASREACT 110:114697; MARPAT 110:114697	
GI				



I



II

AB The title compds. [I; A = N, CR9; R1 = Me, Et, cyclopropyl, etc.; R2 = H, alkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl; R3 = Me, 13 N-attached heterocyclyl; R9 = H, halo, Me, cyano, NO2; R1R9 = OCH2CHMe, SCH2CHMe, CH2CH2CHMe] were prepared C6F5COCH2CO2Et (preparation given) was refluxed 2 h with HC(OEt)3 in Ac2O to give C6F5COC(CO2Et):CHOEt which was treated overnight with cyclopropylamine in EtOH to give C6F5COC(CO2Et):CHNHR (R = cyclopropyl). The latter was refluxed 3 h in DMF containing NaF to give, after saponification, quinolonecarboxylate II (R3 = Y = F) which was refluxed 3 h with 1-methylpiperazine in MeCN/DMF containing Dabco to give II (R3 = 4-methyl-1-piperazinyl, Y = F) (III). Tablets were prepared each containing

III

583.0, cellulose 55.0, starch 72.0, polyvinylpyrrolidone 30.0, SiO₂ 5.0, and Mg stearate 5.0 mg with a coating comprising (hydroxypropyl)methylcellulose 6.0, Macrogol 40,000 2.0, and TiO₂ 2.0 mg.
 II (R₃ = 3-methyl-1-piperazinyl, Y = NH₂) had a min. inhibitory concentration

of

0.5 (units not given) against Escherichia coli 455/7.

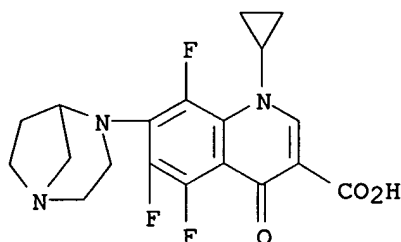
IT **119354-04-0P 119354-05-1P 119354-06-2P**

119354-32-4P 119354-33-5P 119354-59-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as antibacterial agent)

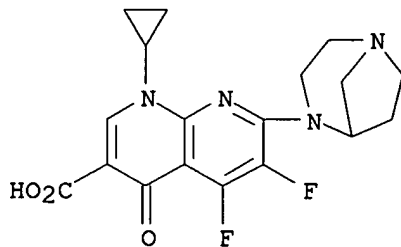
RN 119354-04-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-5,6,8-trifluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



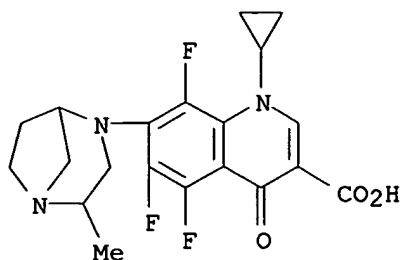
RN 119354-05-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-5,6-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



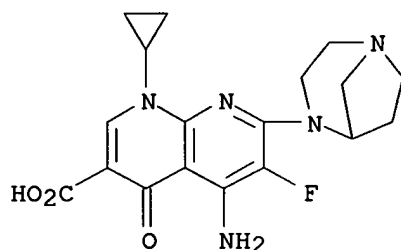
RN 119354-06-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-5,6,8-trifluoro-1,4-dihydro-7-(2-methyl-1,4-diazabicyclo[3.2.1]oct-4-yl)-4-oxo- (9CI) (CA INDEX NAME)



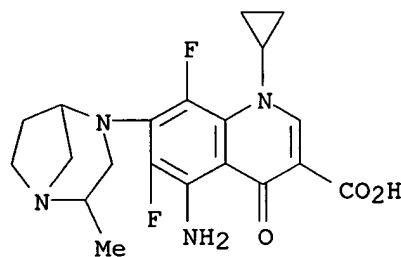
RN 119354-32-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 5-amino-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 119354-33-5 CAPLUS

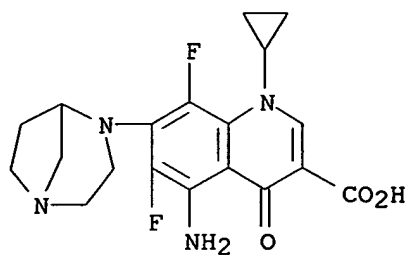
CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-6,8-difluoro-1,4-dihydro-7-(2-methyl-1,4-diazabicyclo[3.2.1]oct-4-yl)-4-oxo- (9CI) (CA INDEX NAME)



RN 119354-59-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

10/528,361



~~110~~ ANSWER 30 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:57534 CAPLUS

DOCUMENT NUMBER: 110:57534

TITLE: Preparation of substituted bridged-diazabicycloalkylquinolonecarboxylic acids as antibacterials

INVENTOR(S): Jefson, Martin R.; McQuirk, Paul R.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S., 14 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 4775668	A	19881004	US 1986-898458	19860819
PRIORITY APPLN. INFO.:			US 1986-898458	19860819
OTHER SOURCE(S):		CASREACT 110:57534; MARPAT 110:57534		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

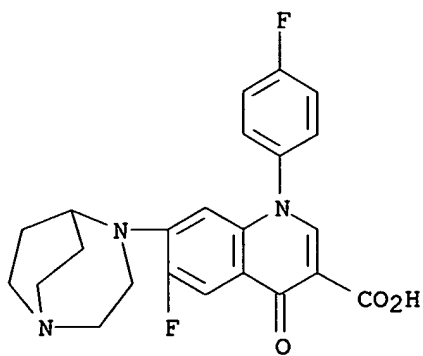
AB The title compds. [I; R1 = H, alkyl, pharmaceutically acceptable cation; R2 = Q1-Q5; A = CH, CF, CCl, N; Y = alkyl, haloalkyl, cyclopropyl, vinyl, MeO, 4-FC6H4, 4-HOC6H4, 4-H2NC6H4, etc.; AY = bridge group; Q = H, Cl-3 alkyl, alkylcarbonyl, alkoxycarbamoyl; n = 1-3; m = 1, 2; p = 0,1] and their pharmaceutically acceptable salts were prepared as bactericides (no data). A mixture of 1-ethyl-6,7-difluoro-4-oxo-1,4-dihydro-3-quinolinecarboxylic acid, 8-methyl-3,8-diazabicyclo[3.2.1]octane-2HCl, and 1,8-diazabicyclo[5.4.0]undec-7-ene in pyridine was heated at 80° for 3 h to give 65% 1-ethyl-6-fluoro-1,4-dihydro-7-(8-methyl-3,8-diazabicyclo[3.2.1]oct-3-yl)-4-oxo-3-quinoline carboxylic acid.

IT 112894-29-8P 112894-33-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antibacterial)

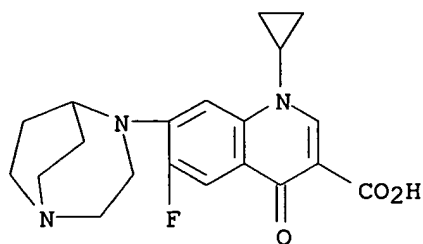
RN 112894-29-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 112894-33-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



110 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:549373 CAPLUS

DOCUMENT NUMBER: 109:149373

TITLE: Preparation of 7-amino- or -N-heterocyclylquinol-4-one-3-carboxylates as antibacterial agents or immunostimulants

INVENTOR(S): Preiss, Michael

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 13 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

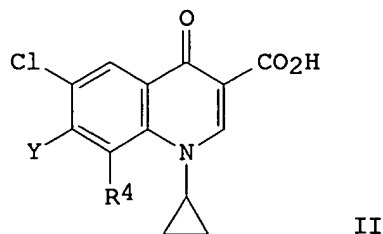
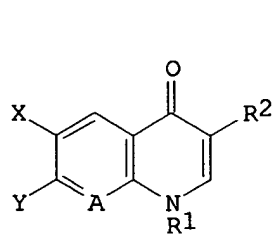
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3641312	A1	19880609	DE 1986-3641312	19861203
NO 8704788	A	19880606	NO 1987-4788	19871117
NO 174199	B	19931220		
NO 174199	C	19940406		
EP 274033	A1	19880713	EP 1987-117130	19871120
EP 274033	B1	19920311		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 73446	E	19920315	AT 1987-117130	19871120
ES 2038156	T3	19930716	ES 1987-117130	19871120
IL 84627	A1	19920115	IL 1987-84627	19871127
CS 270577	B2	19900712	CS 1987-8688	19871130
FI 8705289	A	19880604	FI 1987-5289	19871201
JP 63145268	A2	19880617	JP 1987-301624	19871201
DD 270904	A5	19890816	DD 1987-309727	19871201
DK 8706331	A	19880604	DK 1987-6331	19871202
DK 174929	B1	20040301		
CN 87107230	A	19880706	CN 1987-107230	19871202
ZA 8709040	A	19880727	ZA 1987-9040	19871202
HU 45521	A2	19880728	HU 1987-5424	19871202
HU 199823	B	19900328		
SU 1482526	A3	19890523	SU 1987-4203762	19871202
PL 158614	B1	19920930	PL 1987-269185	19871202
KR 9705191	B1	19970414	KR 1987-13716	19871202
AU 8782177	A1	19880609	AU 1987-82177	19871203
AU 593961	B2	19900222		

PRIORITY APPLN. INFO.:

DE 1986-3641312 A 19861203
EP 1987-117130 A 19871120

OTHER SOURCE(S): MARPAT 109:149373

GI



AB The title compds. [I; A = N, CR6; R1 = cyclopropyl, Me, Et, etc.; R2 = cyano, CO2R, dialkylcarbamoyl; R = H, alkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl; R6 = H, halo, Me, NO2; X = halo, NO2, alkylsulfonyl, alkylsulfonyloxy; Y = R3; R3 = (un)substituted NH2, 7 specific and 4 general N-heterocyclyl] were prepared as antibacterial agents and immunostimulants (no data). 5,2,3,4-ClF3C6HCOCH2CO2Et (preparation given) was heated with HC(OEt)3 in Ac2O at 150-160° for 2 h to give 5,2,3,4-ClF3C6HCOC(:CHOEt)CO2Et which was stirred 2 h with cyclopropylamine in EtOH to give 5,2,3,4-ClF3C6HCOC(:CHR5)CO2Et (R5 = cyclopropylamino). The latter was heated 2 h at 160-170° in DMF containing NaF to give, after ester hydrolysis, quinolonecarboxylate II (R4 = Y = F). II (R4 = H, Y = F) and piperazine were heated at 150-160° for 30 min to give 98% II (R4 = H, Y = 1-piperazinyl).

IT 100936-74-1P 111453-57-7P 111453-60-2P

111453-69-1P 116572-58-8P 116572-59-9P

116572-60-2P 116572-63-5P 116572-64-6P

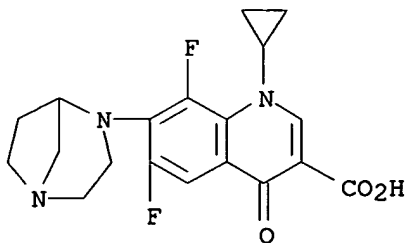
116572-65-7P 116607-46-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as antibacterial and immunostimulant)

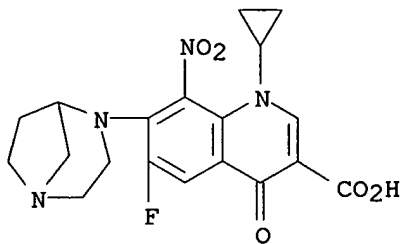
RN 100936-74-1 CAPLUS

CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



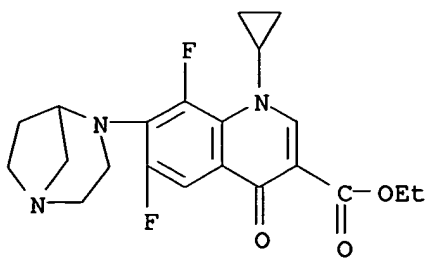
RN 111453-57-7 CAPLUS

CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-8-nitro-4-oxo- (9CI) (CA INDEX NAME)



RN 111453-60-2 CAPLUS

CN 3-Quinolonecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



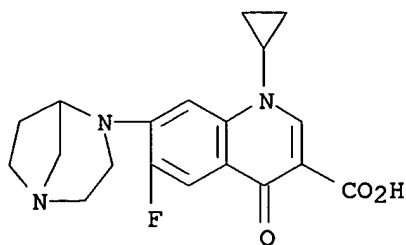
RN 111453-69-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, 4,4'-methylenebis[3-hydroxy-2-naphthalenecarboxylate] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 108437-39-4

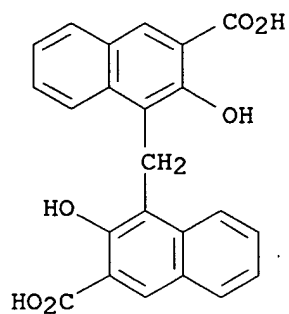
CMF C19 H20 F N3 O3



CM 2

CRN 130-85-8

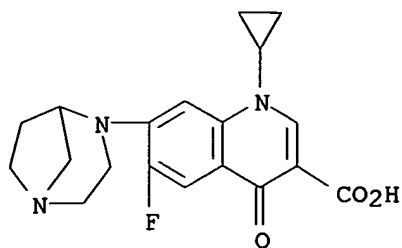
CMF C23 H16 O6



RN 116572-58-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

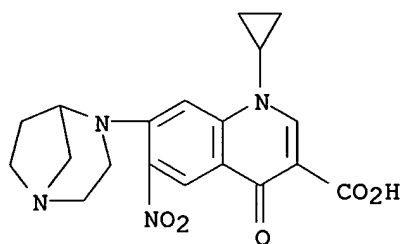
10/528,361



●x HCl

RN 116572-59-9 CAPLUS

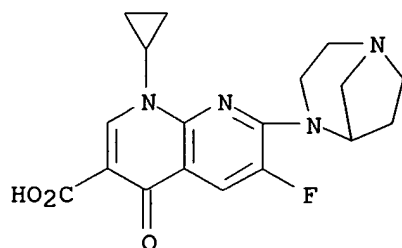
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1,4-dihydro-6-nitro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 116572-60-2 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

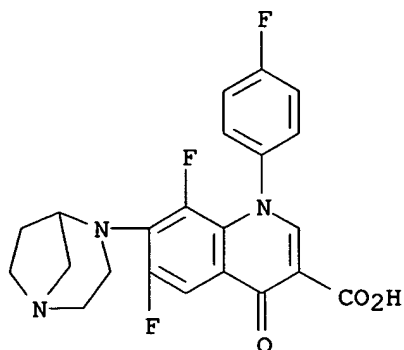


●x HCl

RN 116572-63-5 CAPLUS

10/528,361

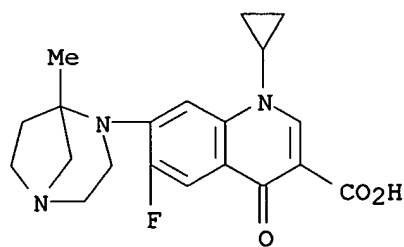
CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 116572-64-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-(5-methyl-1,4-diazabicyclo[3.2.1]oct-4-yl)-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

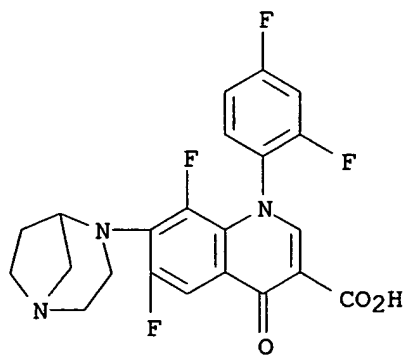


●x HCl

RN 116572-65-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-(2,4-difluorophenyl)-6,8-difluoro-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

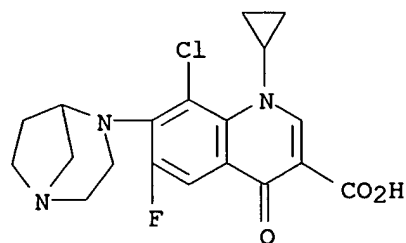
10/528,361



●x HCl

RN 116607-46-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 8-chloro-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

10/528,361

~~10~~ ANSWER 32 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:167325 CAPLUS

DOCUMENT NUMBER: 108:167325

TITLE: A process for the preparation of 7-(substituted amino)-6,7-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acids as medicinal bactericides

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

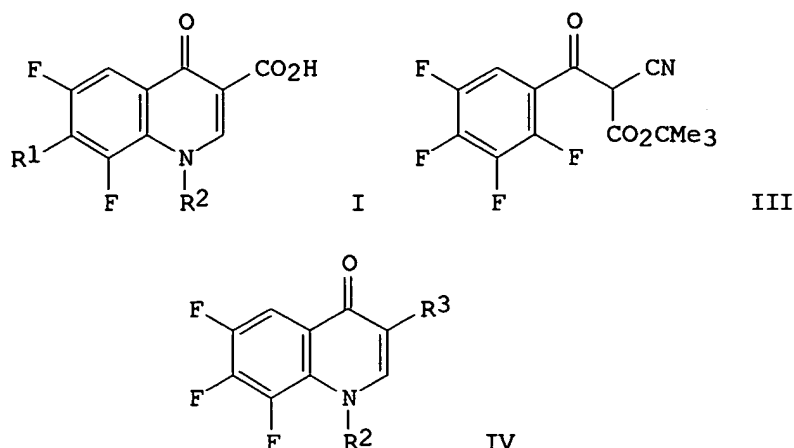
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62167769	A2	19870724	JP 1987-3428	19870112
US 4772706	A	19880920	US 1986-818450	19860113
ZA 8609689	A	19880831	ZA 1986-9689	19861223
AU 8666954	A1	19870716	AU 1986-66954	19861224
AU 587885	B2	19890831		
IL 81144	A1	19901223	IL 1987-81144	19870101
CA 1283658	A1	19910430	CA 1987-526641	19870105
DK 8700096	A	19870714	DK 1987-96	19870109
FI 8700086	A	19870714	FI 1987-86	19870109
FI 88614	B	19930226		
FI 88614	C	19930610		
NO 8700109	A	19870714	NO 1987-109	19870112
NO 175366	B	19940627		
NO 175366	C	19941005		
EP 236673	A2	19870916	EP 1987-100257	19870112
EP 236673	A3	19880831		
EP 236673	B1	19940713		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 44513	A2	19880328	HU 1987-94	19870112
HU 197324	B	19890328		
HU 204258	B	19911230	HU 1988-433	19870112
HU 46671	A2	19881128		
ES 2056048	T3	19941001	ES 1987-100257	19870112
CN 87100298	A	19870819	CN 1987-100298	19870113
DK 9400749	A	19940623	DK 1994-749	19940623
PRIORITY APPLN. INFO.:			US 1986-818450	A 19860113
OTHER SOURCE(S):			CASREACT 108:167325; MARPAT 108:167325	
GI				



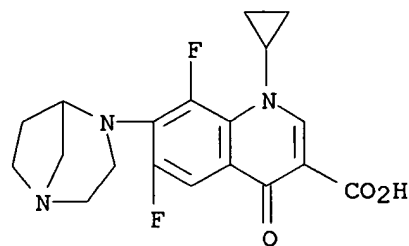
AB The title compds. (I; R1 = substituted amino; R2 = C1-3 alkyl, C3-6 cycloalkyl) and their pharmaceutically acceptable salts, useful as bactericides, are prepared from 2,3,4,5-F4C6HCOCl (II) via III and IV (R3 = CN). A mixture of 1-cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carbonitrile and 3-(tert-butyloxycarbonylamino)pyrrolidine (preparation given) in MeCN was refluxed overnight; following addition of Et3N, the mixture was refluxed for 7 h to give 95% 7-[3-(tert-butyloxycarbonylamino)pyrrolidin-1-yl]-1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxoquinoline-3-carbonitrile, which was treated with 30% HCl with heating to afford 69% I (R1 = 3-aminopyrrolidin-1-yl; R2 = cyclopropyl) (V). V in vitro showed MIC values of <0.1 µg/mL against *Escherichia coli* Vogel, *Klebsiella pneumoniae* MGH-2, *Proteus rettgeri* M1771, *Pseudomonas aeruginosa* UI-18, *Staphylococcus aureus* H282, *Streptococcus faecalis* MGH-2, etc.

IT 100936-74-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bactericide)

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



10/528,361

X10 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:94417 CAPLUS
Correction of: 1987:407085

DOCUMENT NUMBER: 108:94417
Correction of: 107:7085

TITLE: Antibacterial, substituted (bridged-
diazabicycloalkyl)quinolonecarboxylic acids and a
process for their preparation

INVENTOR(S): Jefson, Martin Raymond; McGuirk, Paul Robert

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Eur. Pat. Appl., 56 pp.

CODEN: EPXXDW

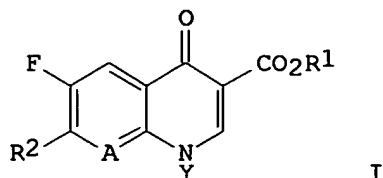
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 215650	A2	19870325	EP 1986-307045	19860912
EP 215650	A3	19871202		
EP 215650	B1	19920129		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
IN 166416	A	19900505	IN 1986-DE740	19860818
US 4861779	A	19890829	US 1986-898473	19860819
AT 72245	E	19920215	AT 1986-307045	19860912
IL 80033	A1	19920525	IL 1986-80033	19860915
ES 2001428	A6	19880516	ES 1986-1935	19860916
PL 149987	B1	19900430	PL 1986-261410	19860916
CZ 277825	B6	19930317	CZ 1986-6678	19860916
SK 278605	B6	19971105	SK 1986-6678	19860916
CA 1340734	A1	19990914	CA 1986-518238	19860916
AU 8662768	A1	19870319	AU 1986-62768	19860917
AU 576302	B2	19880818		
FI 8603756	A	19870319	FI 1986-3756	19860917
FI 87565	B	19921015		
FI 87565	C	19930125		
NO 8603718	A	19870319	NO 1986-3718	19860917
NO 170335	B	19920629		
NO 170335	C	19921007		
DK 8604458	A	19870527	DK 1986-4458	19860917
DK 171276	B1	19960819		
CN 86106385	A	19870603	CN 1986-106385	19860917
CN 1014789	B	19911120		
HU 43070	A2	19870928	HU 1986-3976	19860917
HU 200462	B	19900628		
ZA 8607063	A	19880427	ZA 1986-7063	19860917
DD 259190	A5	19880817	DD 1986-294486	19860917
SU 1482531	A3	19890523	SU 1986-4028142	19860917
JP 62103083	A2	19870513	JP 1986-220819	19860918
JP 07098819	B4	19951025		
US 5091383	A	19920225	US 1988-157182	19880216
PRIORITY APPLN. INFO.:			US 1985-777471	A 19850918
			US 1986-898155	B2 19860819
			EP 1986-307045	A 19860912
OTHER SOURCE(S):			CASREACT 108:94417; MARPAT 108:94417	
GI				



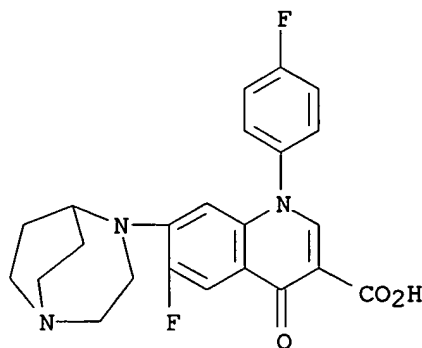
AB Title compds. I [R1 = H, cation, alkyl; A = CH, CF, CCl, N; Y = alkyl, haloalkyl, cyclopropyl, CH:CH2, OMe, NHMe, C6H4F-4, C6H4OH-4, C6H4NH2-4; or A = C and forms ring with Y, optionally containing O and/or substituted by Me or :CH2; R2 = bridged diazabicycloalkyl with possible N-substitution by alkyl, alkoxycarbonyl, or alkylcarbamoyl] are prepared as antibacterials (no data). A mixture of 1-ethyl-6,7-difluoro-4-oxo-1,4-dihydro-3-quinolinecarboxylic acid 11.9, 8-methyl-3,8-diazabicyclo[3.2.1]octane-2HCl 22.7, and DBU 4.6 mmol in pyridine was stirred under N at 80° for 3 h to give 65% I (R1 = H, R2 = 8-methyl-3,8-diazabicyclo[3.2.1]oct-3-yl, A = CH, Y = Et).

IT 112894-29-8P 112894-33-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antibacterial)

RN 112894-29-8 CAPLUS

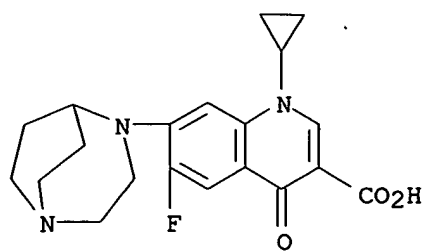
CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 112894-33-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

10/528,361



10/528,361

~~10~~ ANSWER 34 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:636747 CAPLUS

DOCUMENT NUMBER: 107:236747

TITLE: Preparation of 7-(azabicycloalkyl)-3-quinolinecarboxylates and -3-naphthyridinecarboxylates as bactericides and feed additives

INVENTOR(S): Petersen, Uwe; Grohe, Klaus; Schenke, Thomas; Hagemann, Hermann; Zeiler, Hans Joachim; Metzger, Karl Georg

PATENT ASSIGNEE(S): Bayer A.-G. , Fed. Rep. Ger.

SOURCE: Ger. Offen., 26 pp.

CODEN: GWXXBX

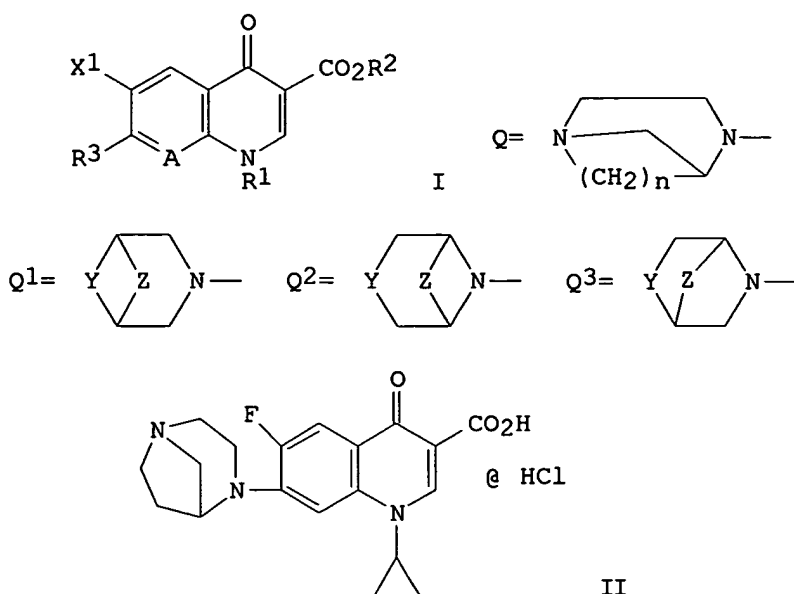
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3601567	A1	19870723	DE 1986-3601567	19860121
AU 8767463	A1	19870723	AU 1987-67463	19870109
NO 8700126	A	19870722	NO 1987-126	19870113
EP 230274	A2	19870729	EP 1987-100460	19870115
EP 230274	A3	19880309		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
SU 1538897	A3	19900123	SU 1987-4028796	19870115
FI 8700200	A	19870722	FI 1987-200	19870119
DD 265401	A5	19890301	DD 1987-299333	19870119
DK 8700292	A	19870722	DK 1987-292	19870120
ZA 8700380	A	19870930	ZA 1987-380	19870120
JP 62169789	A2	19870725	JP 1987-10113	19870121
CN 87100354	A	19870902	CN 1987-100354	19870121
HU 45531	A2	19880728	HU 1987-178	19870121
PRIORITY APPLN. INFO.:			DE 1986-3601567	A 19860121
OTHER SOURCE(S):			CASREACT 107:236747; MARPAT 107:236747	
GI				



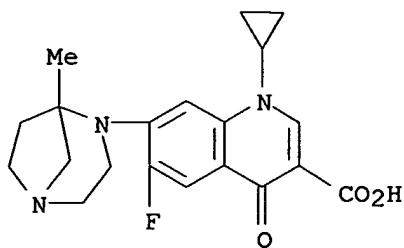
AB The title compds. [I; A = N, R₄C; R₁ = Me, Et, Pr, Me₂CH, cyclopropyl, CH₂:CH, HOCH₂CH₂, FCH₂CH₂, MeO, Ph, FC₆H₄, 2,4-F₂C₆H₃, NH₂, MeNH, Me₂N; R₂ = H, C₁-4 alkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl; R₃ = Q-Q₃, optionally substituted by OH, Me; R₄ = H, Me, Cl, F, NO₂, R₁R₄ = OCH₂CHMe, SCH₂CHMe, CH₂CH₂CHMe; X₁ = Cl, F, NO₂; Y = R₅N, O, S; R₅ = H, C₂-4 oxoalkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl, (OH-substituted) C₁-4 alkyl, alkenyl, alkynyl, (un)substituted PhCH₂; Z = (CH₂)_n, CH₂OCH₂, CH₂SCH₂, CH₂S, CH₂,NR₆CH₂; R₆ = H, Me; n = 1-3] were prepared as bactericides and feed additives. 1-Cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid and 1,4-diazabicyclo[3.2.1]octane were refluxed 6 h in MeCN/DMF in the presence of 1,4-diazabicyclo[2.2.2]octane to give, after acidification, diazabicyclooctylquinoline carboxylate II. II had a min. inhibitory concentration of 0.125 mcg/mL against *Staphylococcus aureus* 133 compared to 0.5 mcg/mL for ciprofloxacin. Tablets were prepared each containing II 583.0, microcryst. cellulose 55.0, cornstarch 72.0, polyvinylpyrrolidone 30.0, colloidal silica 5.0, Mg stearate 5.0, (hydroxypropyl)methylcellulose 6.0, macrogol 4000 2.0, and TiO₂ 2.0 mg.

IT 111453-53-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(aminolysis of, by diazabicyclooctane)

RN 111453-53-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-(5-methyl-1,4-diazabicyclo[3.2.1]oct-4-yl)-4-oxo- (9CI) (CA INDEX NAME)



IT 100936-74-1P 108437-39-4P 111453-57-7P

111453-59-9P 111453-60-2P 111453-62-4P

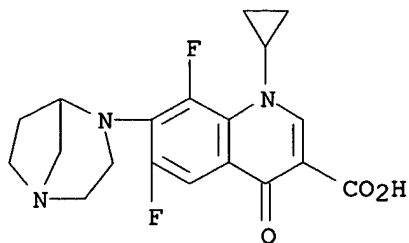
111453-65-7P 111453-66-8P 111453-67-9P

111453-68-0P 111453-69-1P 111453-70-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bactericide)

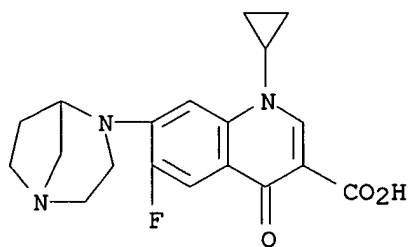
RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



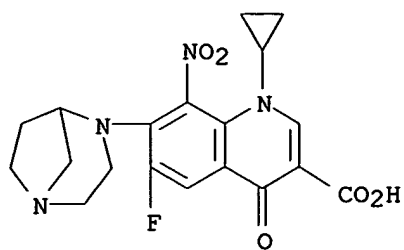
RN 108437-39-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



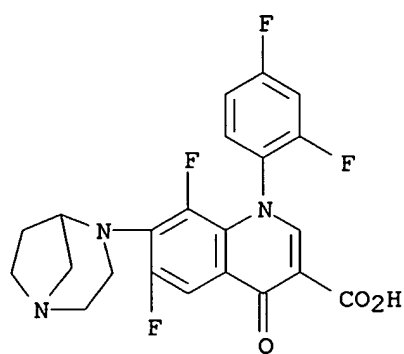
RN 111453-57-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-8-nitro-4-oxo- (9CI) (CA INDEX NAME)



RN 111453-59-9 CAPLUS

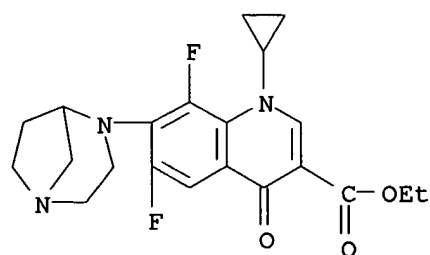
CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-(2,4-difluorophenyl)-6,8-difluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

RN 111453-60-2 CAPLUS

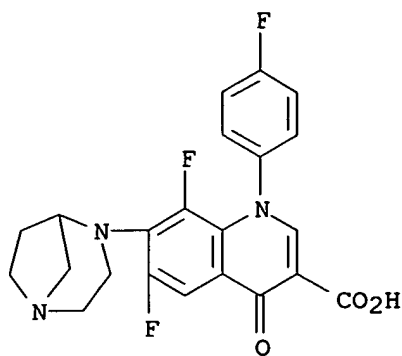
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 111453-62-4 CAPLUS

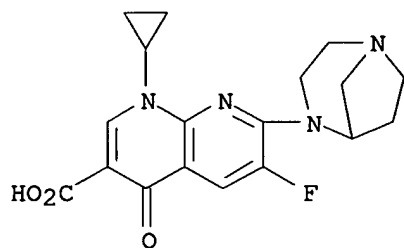
CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, monohydrochloride (9CI)
(CA INDEX NAME)

10/528,361



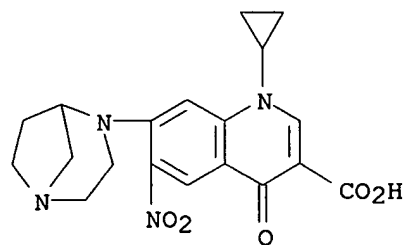
● HCl

RN 111453-65-7 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 111453-66-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1,4-dihydro-6-nitro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

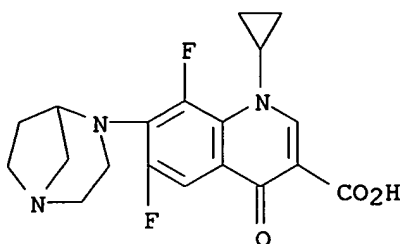


● HCl

10/528,361

RN 111453-67-9 CAPLUS

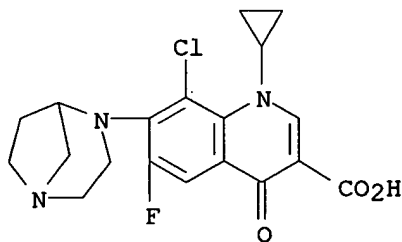
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 111453-68-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 8-chloro-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 111453-69-1 CAPLUS

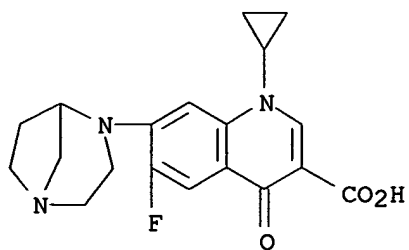
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, 4,4'-methylenebis[3-hydroxy-2-naphthalenecarboxylate] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 108437-39-4

CMF C19 H20 F N3 O3

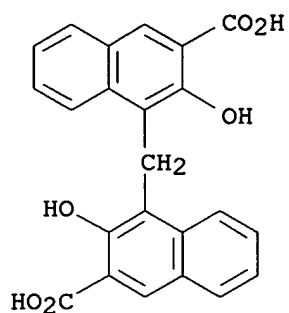
10/528,361



CM 2

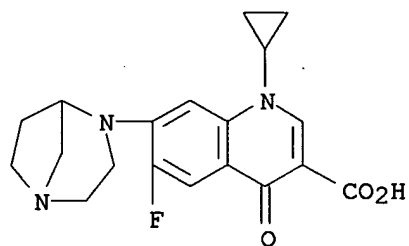
CRN 130-85-8

CMF C23 H16 O6



RN 111453-70-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

10/528,361

110 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:407085 CAPLUS

DOCUMENT NUMBER: 107:7085

TITLE: Substituted bridged-diazabicycloalkylquinolonecarboxylic acids as bactericides

INVENTOR(S): Jefson, Martin Raymond; McGuirk, Paul Robert

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Eur. Pat. Appl., 56 pp.

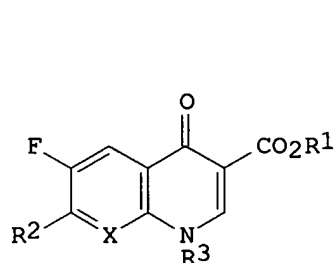
CODEN: EPXXDW

DOCUMENT TYPE: Patent

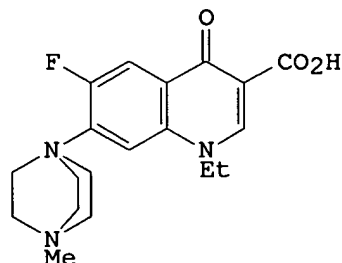
LANGUAGE: English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 215650 A2		19870325	EP 1986-307045	19860912
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
PRIORITY APPLN. INFO.:			US 1985-777471	19850918
GI				



I



II

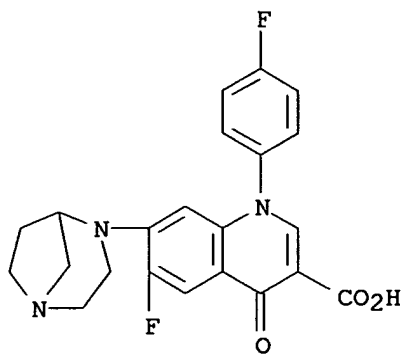
AB The title compds. (I; R1 = H, alkyl, pharmaceutically-acceptable cation; R2 = diazabicycyl; R3 = alkyl, haloalkyl, cyclopropyl, vinyl, OMe, etc.; X = CH, CF, CCl, N; R3X = atoms to complete a ring) were prepared as antibiotics (no data). Difluoroquinolone I (R1 = H, R2 = F, R3 = Et) was heated with 8-methyl-3,8-diazabicyclo[3.2.1]octane.HCl in pyridine and 1,8-diazabicyclo[5.4.0]undec-7-ene at 80° for 3 h to give 65% of quinolonecarboxylate derivative II.

IT 108437-32-7P 108437-39-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bactericide)

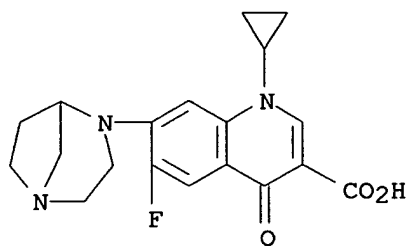
RN 108437-32-7 CAPLUS

CN 3-Quinolonecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 108437-39-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



10/528,361

10 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:442669 CAPLUS

DOCUMENT NUMBER: 105:42669

TITLE: Quinoline-3-carboxylic acid antibacterial agents

INVENTOR(S): Domagala, John M.; Schroeder, Mel C.

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: U.S., 7 pp.

CODEN: USXXAM

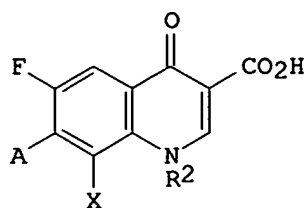
DOCUMENT TYPE: Patent

LANGUAGE: English

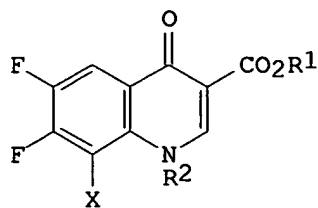
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

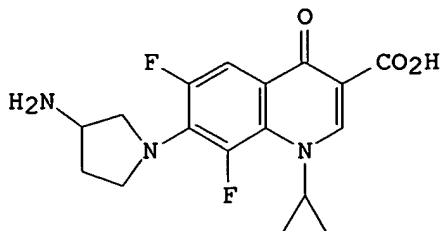
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4578473	A	19860325	US 1985-723019	19850415
IL 78275	A1	19890910	IL 1986-78275	19860326
CA 1277661	A1	19901211	CA 1986-505198	19860326
ZA 8602384	A	19871125	ZA 1986-2384	19860401
AU 8655674	A1	19861023	AU 1986-55674	19860404
AU 589415	B2	19891012		
DK 8601661	A	19861016	DK 1986-1661	19860411
FI 8601547	A	19861016	FI 1986-1547	19860411
EP 198678	A2	19861022	EP 1986-302687	19860411
EP 198678	A3	19870325		
EP 198678	B1	19910821		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 66474	E	19910915	AT 1986-302687	19860411
CN 86102449	A	19861015	CN 1986-102449	19860412
NO 8601449	A	19861016	NO 1986-1449	19860414
NO 168475	B	19911118		
NO 168475	C	19920226		
JP 61238779	A2	19861024	JP 1986-84403	19860414
DD 244135	A5	19870325	DD 1986-289075	19860414
HU 41019	A2	19870330	HU 1986-1556	19860414
HU 195497	B	19880530		
ES 553991	A1	19871116	ES 1986-553991	19860415
AU 596820	B2	19900517	AU 1986-66870	19861222
AU 8666870	A1	19871008		
PRIORITY APPLN. INFO.:			US 1985-723019	A 19850415
			EP 1986-302687	A 19860411
OTHER SOURCE(S):			CASREACT 105:42669	
GI				



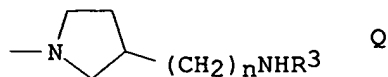
I



II



III



Q

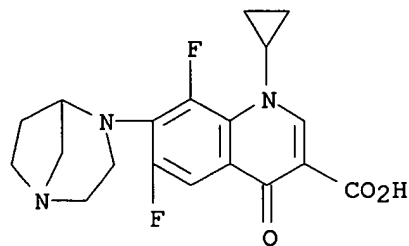
AB A process for the preparation of quinolinecarboxylic acids I [A = piperazino, N-methylpiperazino, Q [n = 0, 1; R₃ = H, Me, Et, Pr, CHMe₂, (un)substituted mono- or diazabicycloalkyl]; X = H, F; R₂ = C1-3 alkyl, C3-6 cycloalkyl] and their pharmaceutically acceptable salts, useful as antibacterials (no data), comprised: (a) reacting 1.0-3.0 equiv of an iodotrialkylsilane in an inert solvent with II (R₁ = C1-3 alkyl) and heating the reaction mixture until the reaction is complete at 30-100° to form a trialkylsilyl ester thereof; (b) adding ≥1 equiv of the appropriate amine to the trialkylsilyl ester in an aprotic solvent or an aprotic cosolvent and heating the reaction mixture between 60° and 120° until the reaction is complete. In an example, 97% III was prepared

IT 100936-74-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antibacterial)

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



10/528,361

110 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:148850 CAPLUS

DOCUMENT NUMBER: 104:148850

TITLE: Substituted naphthyridine-, quinoline- and benzoxazinecarboxylic acids as antibacterial agents

INVENTOR(S): Hutt, Marland P.; Mich, Thomas F.; Culbertson, Townley P.

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: Eur. Pat. Appl., 64 pp.

CODEN: EPXXDW

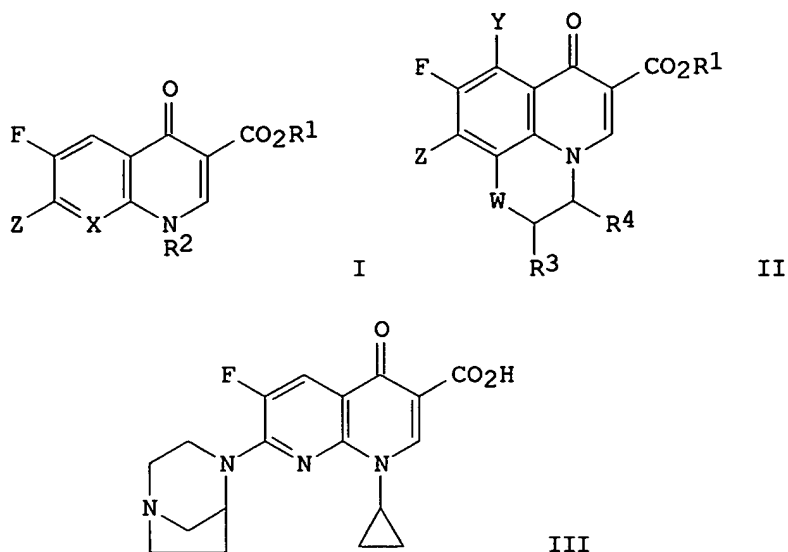
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 159174	A2	19851023	EP 1985-302479	19850409
EP 159174	A3	19870204		
EP 159174	B1	19911023		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4571396	A	19860218	US 1985-708565	19850311
CA 1340695	A1	19990810	CA 1985-477394	19850325
ZA 8502365	A	19851127	ZA 1985-2365	19850328
AU 8540920	A1	19851024	AU 1985-40920	19850409
AU 566984	B2	19871105		
AT 68793	E	19911115	AT 1985-302479	19850409
IL 74882	A1	19880630	IL 1985-74882	19850411
FI 8501471	A	19851017	FI 1985-1471	19850412
FI 83872	B	19910531		
FI 83872	C	19911230		
DK 8501696	A	19851017	DK 1985-1696	19850415
DK 172796	B1	19990719		
NO 8501501	A	19851017	NO 1985-1501	19850415
NO 162560	B	19891009		
NO 162560	C	19900117		
JP 60260573	A2	19851223	JP 1985-78623	19850415
JP 07002739	B4	19950118		
HU 37759	A2	19860228	HU 1985-1399	19850415
ES 542239	A1	19860301	ES 1985-542239	19850415
HU 201554	B	19901128	HU 1990-805	19850415
FI 88040	B	19921215	FI 1990-3556	19900713
FI 88040	C	19930325		
PRIORITY APPLN. INFO.:			US 1984-600934	A 19840416
			US 1985-708565	A 19850311
			EP 1985-302479	A 19850409
OTHER SOURCE(S):			CASREACT 104:148850; MARPAT 104:148850	
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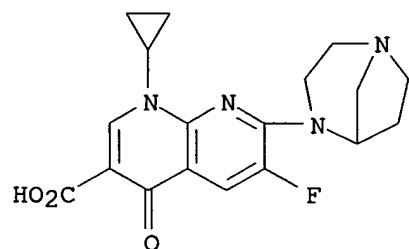
AB The title compds. [I; R1 = H, alkyl, cation; R2 = CH₂:CH, cycloalkyl, (un)substituted alkyl; X = CH, CF, N; Z = bicyclic amino; and II; R1, Z as given; R3, R4 = H, alkyl; W = CH₂, O, S, RN; Y = H, F, amino; R = H, (hydroxy)alkyl, PhCH₂, 4-H₂NC₆H₄CH₂] were prepared. Thus, 2.67 g I (R1 = H, R2 = cyclopropyl, X = N, Z = EtSO₂), prepared in 11 steps from Et 4-(6-chloro-3-nitro-2-pyridinyl)-1-piperazinecarboxylate, was stirred with 1.58 g 1,4-diazabicyclo[3.2.1]octane-di-HCl at 0°, then 18 h at room temperature, to give 1.04g diazabicyclooctylnaphthyridinecarboxylic acid III. Against Escherichia coli Vogel III had a min. inhibitory concentration of 0.05 µg/mL.

IT 100936-71-8P 100936-74-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as bactericide)

RN 100936-71-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

